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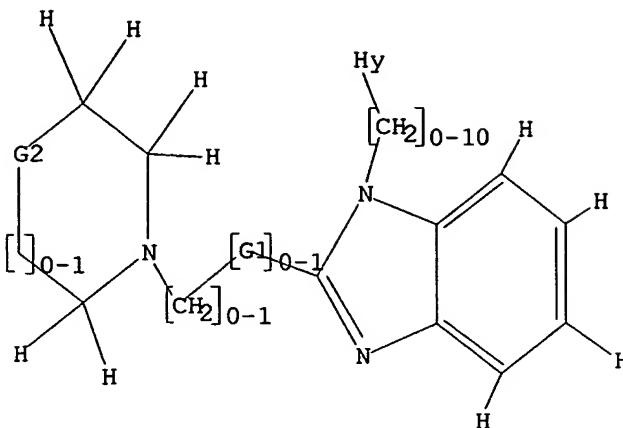
2

L1 STRUCTURE UPLOADED

=&gt; d 11

L1 HAS NO ANSWERS

L1 STR



G1 C,N,Ak,O,S,SO2,CH2

G2 C,N

Structure attributes must be viewed using STN Express query preparation.

=&gt; s 11

SAMPLE SEARCH INITIATED 16:23:05 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 16466 TO ITERATE

6.1% PROCESSED 1000 ITERATIONS  
 INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
 SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
                           BATCH \*\*COMPLETE\*\*  
 PROJECTED ITERATIONS: 321647 TO 336993  
 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=&gt; s 11 sss full

FULL SEARCH INITIATED 16:23:13 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 325792 TO ITERATE

100.0% PROCESSED 325792 ITERATIONS  
 SEARCH TIME: 00.00.14

125 ANSWERS

L3 125 SEA SSS FUL L1

=&gt; file caplus

COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE ENTRY	TOTAL SESSION
148.15	148.36

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FILE COVERS 1907 - 2 Jun 2003 VOL 138 ISS 23  
FILE LAST UPDATED: 1 Jun 2003 (20030601/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4 17 L3

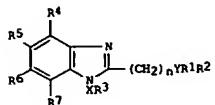
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L4 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2002:088718 CAPLUS  
 DOCUMENT NUMBER: 137:384842  
 TITLE: Benzimidazole compounds and antiviral uses thereof  
 INVENTOR(S): Lackey, John William; Kinder, Daniel S.; Tvermoes, Nicolai A.  
 PATENT ASSIGNEE(S): Trimeris, Inc., USA  
 SOURCE: PCT Int. Appl., 143 pp.  
 CODEN: PIXX02  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092575	A1	20021121	WO 2002-US14598	20020510
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MA, MD, MG, MK, MN, MW, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZV, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RV: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZV, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG	US 2001-290038P	P 20010511		

PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 137:384842

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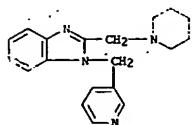
AB title compds. I (R1, R2 = H, (un)substituted alkyl, cycloalkyl, heterocyclic, aryl, heteroaryl; R3 = H, halo, (un)substituted alkyl, Oh, alkoxyl, aryl, heterocyclic, heteroaryl; R4-R7 = H, halo, (un)substituted alkyl, Oh, alkoxyl, aryl, heterocyclic, heteroaryl; X = bond, (un)substituted alkyl, C(=N), CO, P, S; Y = N, P, O, S; when Y = O, S, R2 is absent; n = 0-4) were prep'd. for use as virucides that inhibit membrane fusion assoc'd. events such as viral transmission, reduce viral load or otherwise treat viral infections, particularly that caused by Respiratory Syncytial Virus. Thus, I [R1 = cyclohexyl, R2 = CHMe2, Y = N, X = CH2, R3 = 2-quinolonyl, R4-R7 = H] had IC50 of 5.16 μM/g/mL.

IT 475646-87-8P 475646-90-3P 475646-92-5P

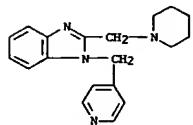
475646-93-6P 475646-94-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L4 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 RN 475646-93-6 CAPLUS  
 CN 1H-Benzimidazole, 2-(1-piperidinylmethyl)-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



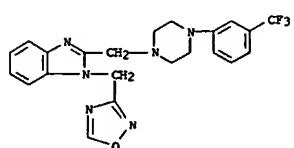
RN 475646-94-7 CAPLUS  
 CN 1H-Benzimidazole, 2-(1-piperidinylmethyl)-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



IT 475646-96-5P  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of benzimidazole derivs. as virucides for treating Respiratory Syncytial Virus infections)

RN 475646-96-5 CAPLUS

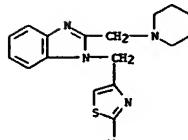
CN 1H-Benzimidazole, 1-(1,2,4-oxadiazol-3-ylmethyl)-2-[(4-[3-(trifluoromethyl)phenyl]-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



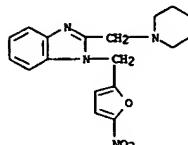
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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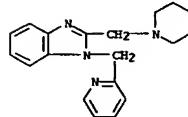
L4 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 (Uses)  
 (prepa. of benzimidazole derivs. as virucides for treating Respiratory Syncytial Virus infections)  
 RN 475646-97-8 CAPLUS  
 CN 1H-Benzimidazole, 1-[(2-methyl-4-thiazolyl)methyl]-2-(1-piperidinylmethyl)- (9CI) (CA INDEX NAME)



RN 475646-90-3 CAPLUS  
 CN 1H-Benzimidazole, 1-[(5-nitro-2-furanyl)methyl]-2-(1-piperidinylmethyl)- (9CI) (CA INDEX NAME)



RN 475646-92-5 CAPLUS  
 CN 1H-Benzimidazole, 2-(1-piperidinylmethyl)-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



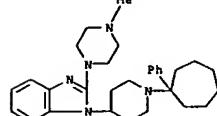
L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2002:10123 CAPLUS  
 DOCUMENT NUMBER: 136:64091  
 TITLE: Method and system for predicting pharmacokinetic properties  
 INVENTOR(S): Hattori, Kazunari; Shimada, Kaoru; Uchiyama, Mamoru  
 PATENT ACCN. NUMBER(S): PCT: Int., "USA"  
 SOURCE: Eur. Pat. Appl., 27 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PRIORITY APPLN. INFO.: US 2003069598 A1 20030410 US 2001-877676 20010607  
 JP 2003014728 A2 20030115 JP 2001-179774 20010614  
 AB This invention provides a method for predicting pharmacokinetic properties of mols. comprising the steps of: (a) prepa. 2D-structures of mols. used as a training set; (b) constructing a 2D-fingerprint by counting the no. of structural descriptors that potentially relate to a pharmacokinetic property, either manually or automatically using internally developed macros wherein said structural descriptors consist of predefined 20 to 80 atoms/fragments or substructures; (c) analyzing the obtained 2D-fingerprint by a statistical anal. method to correlate with the pharmacokinetic property of the mol. to yield a quant. structure-property relation (QSPR) model; and (d) calcg. the pharmacokinetic property of a trial mol. using the above obtained QSPR model. A system for this invention is also provided. According to this method and system, it is possible to predict pharmacokinetic properties of mols. prior to synthesis, without labor-intensive and time-consuming experimentation.

IT 258286-77-0 258286-79-2 258286-84-9  
 258287-25-1 258287-29-5 258287-69-2  
 258288-00-5 258288-26-5 258288-50-5  
 384329-46-8 384329-49-1 384329-50-4  
 RL: PKT (Pharmacokinetics); PRP (Properties); BIOL (Biological study)  
 (method and system for predicting pharmacokinetic properties)

RN 258286-77-0 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcycloheptyl)-4-

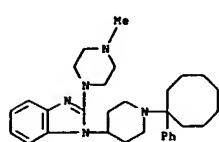
piperidinyl]- (9CI) (CA INDEX NAME)



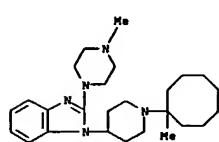
RN 258286-79-2 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcyclooctyl)-4-

6/02/2003

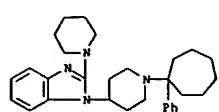
L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)  
piperidinyl)- (9CI) (CA INDEX NAME)



RN 258286-84-9 CAPLUS  
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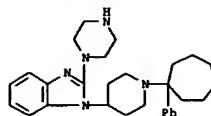


RN 258287-25-1 CAPLUS  
CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(1-piperidinyl)- (9CI) (CA INDEX NAME)

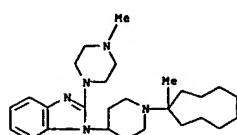


RN 258287-29-5 CAPLUS  
CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

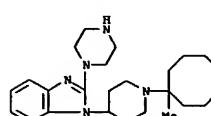
L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 258287-68-2 CAPLUS  
CN 1H-Benzimidazole, 1-[1-(1-methylcycloheptyl)-4-piperidinyl]-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

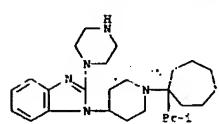


RN 258288-00-5 CAPLUS  
CN 1H-Benzimidazole, 1-[1-(1-methylcycloheptyl)-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

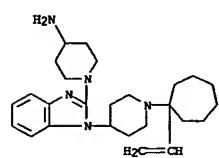


RN 258288-26-5 CAPLUS  
CN 1H-Benzimidazole, 1-[1-(1-methylcycloheptyl)-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

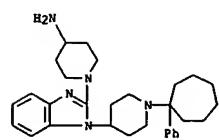
L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 258288-50-5 CAPLUS  
CN 4-Piperidinamine, 1-[1-(1-ethenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

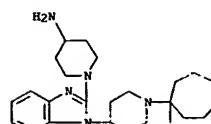


RN 384329-46-8 CAPLUS  
CN 4-Piperidinamine, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

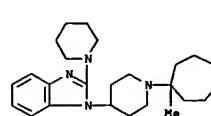


RN 384329-49-1 CAPLUS  
CN 4-Piperidinamine, 1-[1-(1-methylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 384329-50-4 CAPLUS  
CN 4-Piperidinamine, 1-[1-(1-methylcycloheptyl)-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



## L4 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:319725 CAPLUS

DOCUMENT NUMBER: 134:320888

TITLE: Use of substance P antagonists for influencing the circadian timing system

INVENTOR(S): Dugovic, Christine Jeannine Janssens, Frans Eduard

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 37 pp.

CODEN: PIKXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001030348	A1	20010503	WO 2000-EP10201	20001016
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RV: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, HL, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			EP 1999-203499	A 19991025

OTHER SOURCE(S): MARPAT 134:320888

AB The invention concerns the use of N-contg. heterocyclic derivs. (Markush included) in the manuf. of medicaments useful for beneficially influencing the circadian timing system or enhancing the sleep efficiency of a mammal, suitably a human being. The compds. of the invention are described in full in WO 97/16440, WO 97/14324, WO 97/24350, and WO 97/24356.

IT 336790-88-6 336790-88-6D, N-oxides and stereoisomers

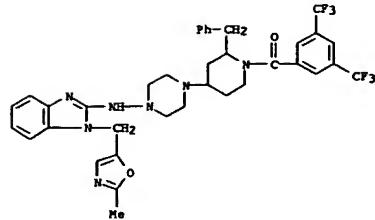
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); TRU (Therapeutic use); BIOL (Biological study); USES (Uses)

(substance P antagonists for influencing circadian timing system)

RN 336790-88-6 CAPLUS

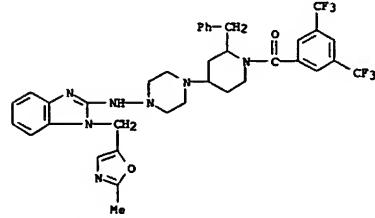
CN Piperidine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperazinyl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

## L4 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 336790-88-6 CAPLUS

CN Piperidine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperazinyl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

## L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:12444 CAPLUS

DOCUMENT NUMBER: 134:86248

TITLE: Preparation of benzimidazoles as respiratory syncytial virus replication inhibitors.

INVENTOR(S): Janssens, Frans Eduard; Meersman, Kathleen Petrus Marie-José; van Franckx, Francois; Guillemin, Jerome; Emile Georges; Juchemme, Jean Fons; Van Handel, Andries; Koenraad Jozef Lodewijk Marcel

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 119 pp.

CODEN: PIKXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

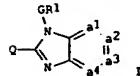
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000611	A1	20010104	WO 2000-EP5676	20000620
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RV: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, HL, MR, NE, SN, TD, TG				
BR 2000012054	A	20020319	BR 2000-12054	20000620
EP 1196408	A1	20020417	EP 2000-943841	20000620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, NO				
JP 2003503401	T2	20030128	JP 2001-507020	20000620
EE 200100692	A	20030217	EE 2001-692	20000620
NO 2001006368	A	20020228	NO 2001-6368	20011227
BG 106287	A	20021031	BG 2002-106287	20020108
PRIORITY APPLN. INFO.:			EP 1995-202071	A 19990628
			EP 2000-200452	A 20000211
			WO 2000-EP5676	W 20000620

OTHER SOURCE(S): MARPAT 134:86248

GI



AB Use of title compds. [I; a1:a2a3:a4 = (substituted) CH:CHCH:CH, N:CHCH:CH, CH:N:CH:CH, CH:CH:N:CH; Q = R2R4NAX1, R2R4NCOA1, specified (heterocyclic) ring, etc.; A = alkylenes; R2 = H, CHO, alkylcarbonyl, pyrrolidinyl, piperidinyl, homopiperidinyl, aminocycloalkyl, etc.; R4 = H, alkyl, aralkyl; G = bond, alkanediyl; R1 = (substituted) piperidinyl, piperazinyl, pyridyl, pyrazinyl, pyridazinyl, pyrrolyl, furyl, thiényl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, etc.] for treatment of viral

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## L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

infection is claimed. Thus, 1,1-dimethylethyl 4-[(1-[(3,5-dihydro-3,3-dimethyl-9-(phenylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl)carboxylate was refluxed 6 h in 10N HCl to give 4-[(1-[(3,5-dihydro-3,3-dimethyl-9-(phenylmethyl)-1H-3-dioxepino[5,6-c]pyridin-2-yl)methyl]-1H-benzimidazol-2-yl)amino]piperidine. Tested 1 in vitro for SARS virus replication with IC50 = 0.0012-2.5119 μM.

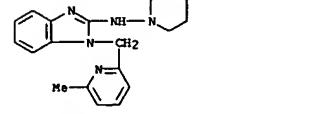
IT 317846-35-8 317846-97-2P 317846-98-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (e.g. in piperazine, ...); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prep. of benzimidazole as respiratory syncytial virus replication inhibitor)

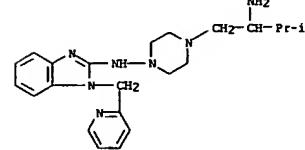
RN 317846-35-8 CAPLUS

CN 1,4-Piperidinediamine, N4-(2-aminoethyl)-N1-(1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



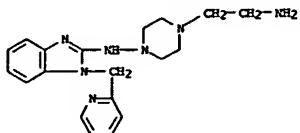
RN 317846-97-2 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[4-(2-amino-3-methylbutyl)-1-piperazinylmethyl]- (9CI) (CA INDEX NAME)

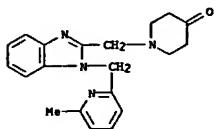


RN 317846-98-3 CAPLUS

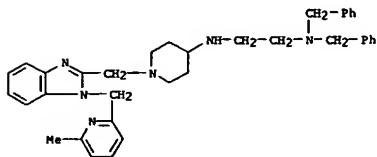
CN 1H-Benzimidazol-2-amine, N-[4-(2-aminoethyl)-1-piperazinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



IT 317847-52-2P 317847-53-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of benzimidazoles as respiratory syncytial virus replication inhibitors)  
 RN 317847-52-2 CAPLUS  
 CN 4-Piperidinone, 1-[(1-[(6-methyl-1-pyridinyl)methyl]-1H-benzimidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



RN 317847-53-3 CAPLUS  
 CN 1,2-Ethanediamine, N'-(1-[(1-[(6-methyl-1-pyridinyl)methyl]-1H-benzimidazol-2-yl)methyl]-4-piperidinyl)-N,N-bis(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2000:117042 CAPLUS

DOCUMENT NUMBER: 132:151821

TITLE: Preparation of 2-substituted-1-piperidylbenzimidazoles as ORL1 receptor agonists.

INVENTOR(S): Ito, Fumitaka; Noguchi, Hirohide; Kondo, Hiroshi  
Pfizer Pharmaceuticals Inc., New York, NY, USA

PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., New York, NY, USA

SOURCE: PCT Int. Appl., 127 pp;

CODEN: PIXX02

DOCUMENT TYPE: Patent

LANGUAGE: English

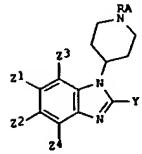
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000008013	A2	20000217	WO 1999-1B1239	19990705
WO 2000008013	A3	20000323		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KE, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KE, MD, RU, TJ, TM				
RV: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2339621	AA	20000217	CA 1999-2339621	19990705
AU 9943859	A1	20000228	AU 1999-43859	19990705
AU 749166	B2	20020620		
EP 1102762	A2	20010530	EP 1999-926688	19990705
EP 1102762	B1	20021113		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9912778	A	20010925	BR 1999-12778	19990705
EE 200100075	A	20020617	EE 2001-75	19990705
AT 227716	E	20021115	AT 1999-926688	19990705
JP 3367945	B2	20030120	JP 2000-563646	19990705
ES 2185357	T3	20030416	ES 1999-926688	19990705
US 6172067	B1	20010109	US 1999-369208	19990805
NO 2001000603	A	20010405	NO 2001-603	20010205
NO 2001000603	A	20011231	BG 2001-105301	20010301
PRIORITY APPLN. INFO.:				
WO 1998-1B1206	V		19980806	
WO 1999-1B1239	V		19990705	

OTHER SOURCE(S): MARPAT 132:151821

GI



Habte

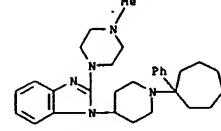
AB Title compds. [I; R = (substituted) mono-, di-, tri-, or tetracycloalkyl; A = alkyl, haloalkyl, alkenyl, alkynyl, (substituted) phenylalkyl, aryl, heteroaryl, heterocyclic; Y = H, halo, amino, SR, (substituted) alkyl-H, cycloalkyl-H, alkenyl-H, alkyl-NH-alkyl-H, dialkyl-N-alkyl-H, -alkyl-H, -alkoxy-alkyl-H, arylalkyl-H, etc.; M = bond, O, S, NH, S, SO, SO2, etc.; 21-24 = H, halo, alkyl, haloalkyl, alkoxy, alkylsulfonyl, alkylcarbonyl, CO2H, amino, H2NCO, Ph, naphthyl, etc.], etc., were prep'd. as ORL1 receptor agonists (no data). Thus, 2-chloro-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]benzimidazole (prepn. given) was stirred with MeNH2 in MeOH in an autoclave at 110.degrees. For 6 h to give N-methyl-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]benzimidazol-2-amine.

IT 258286-68-3P 258286-69-4P 258287-04-9P 258287-07-9P  
 258287-08-1P 258287-26-2P 258287-27-3P  
 258287-29-4P 258287-29-5P 258287-30-8P  
 258287-37-8P 258287-38-5P 258287-39-7P  
 258287-59-1P 258287-60-4P 258287-61-5P  
 258287-62-6P 258287-67-1P 258287-68-2P  
 258287-69-3P 258287-78-4P 258287-79-5P  
 258287-83-1P 258287-84-2P 258287-85-3P  
 258287-86-4P 258287-87-5P 258287-88-6P  
 258287-99-7P 258288-00-5P 258288-01-6P  
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 258289-32-3P 258289-33-4P 258289-40-3P  
 258290-42-4P 258289-50-5P 258289-51-6P  
 258289-56-1P 258289-57-2P 258289-05-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIO (Biological study); PREP (Preparation); USES (Uses) (prepn. of 2-substituted-1-piperidylbenzimidazoles as ORL1 receptor agonists)

RN 258286-77-2 CAPLUS

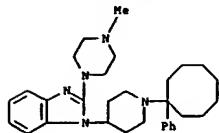
CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcycloheptyl)-4-

piperidinyl]- (9CI) (CA INDEX NAME)

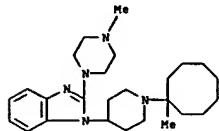


RN 258286-79-2 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcyclooctyl)-4-

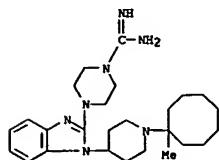
piperidinyl]- (9CI) (CA INDEX NAME)



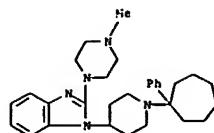
RN 258286-84-9 CAPLUS  
 CN 1H-Benzimidazole, 1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 258286-88-3 CAPLUS  
 CN 1-Piperazinecarboximidamide, 4-[1-[1-(1-methylcyclooctyl)-4-piperidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

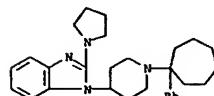


RN 258287-00-2 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-, trihydrochloride (9CI) (CA INDEX NAME)



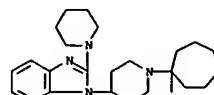
●3 HCl

RN 258287-07-9 CAPLUS  
 CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(1-pyrrolidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

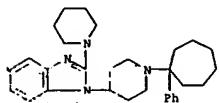


●2 HCl

RN 258287-25-1 CAPLUS  
 CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(1-piperidinyl)- (9CI) (CA INDEX NAME)

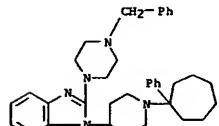


RN 258287-26-2 CAPLUS  
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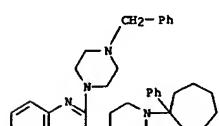


●2 HCl

RN 258287-27-3 CAPLUS  
 CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(4-phenylmethyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

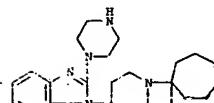


RN 258287-28-4 CAPLUS  
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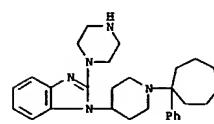


●3 HCl

RN 258287-29-5 CAPLUS  
 CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

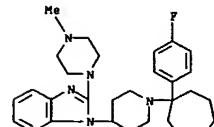


RN 258287-30-8 CAPLUS  
 CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(1-piperazinyl)-, trihydrochloride (9CI) (CA INDEX NAME)



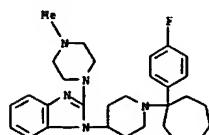
●3 HCl

RN 258287-37-5 CAPLUS  
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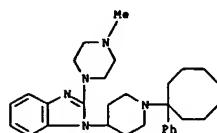
RN 258287-38-6 CAPLUS  
 CN 1H-Benzimidazole, 1-[1-(4-fluorophenyl)cycloheptyl]-4-piperidinyl]-2-(4-methyl-1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



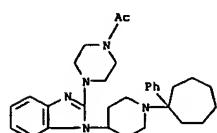
• x HCl

RN 258287-39-7 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcyclooctyl)-4-piperidinyl]-, hydrochloride (9CI) (CA INDEX NAME)



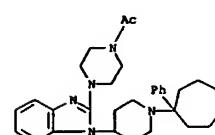
• x HCl

RN 258287-59-1 CAPLUS  
 CN Piperazine, 1-acetyl-4-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



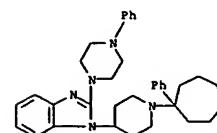
RN 258287-60-4 CAPLUS

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 CN Piperazine, 1-acetyl-4-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl)-, trihydrochloride (9CI) (CA INDEX NAME)



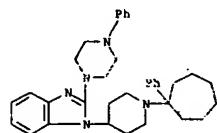
• 3 HCl

RN 258287-61-5 CAPLUS  
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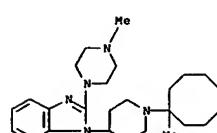
RN 258287-62-6 CAPLUS  
 CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(4-phenyl-1-piperazinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



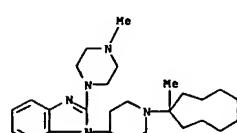
• 3 HCl

RN 258287-67-1 CAPLUS  
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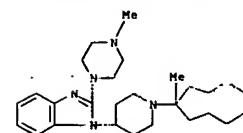
• 3 HCl

RN 258287-68-2 CAPLUS  
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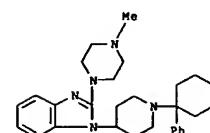
RN 258287-69-3 CAPLUS  
 CN 1H-Benzimidazole, 1-[1-(1-methylcyclononyl)-4-piperidinyl]-2-(4-methyl-1-piperazinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

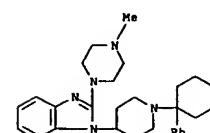


• 3 HCl

RN 258287-70-4 CAPLUS  
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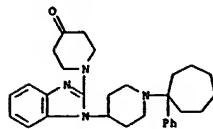
RN 258287-79-5 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcyclohexyl)-4-piperidinyl]-, trihydrochloride (9CI) (CA INDEX NAME)



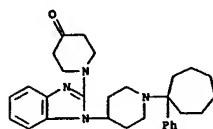
• 3 HCl

RN 258287-83-1 CAPLUS  
 CN 4-Piperidinone, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

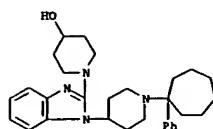


RN 258287-84-2 CAPLUS  
 CN 4-Piperidinone, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



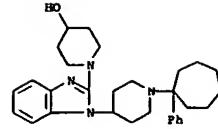
●2 HCl

RN 258287-85-3 CAPLUS  
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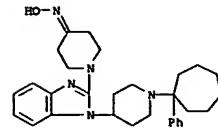
RN 258287-86-4 CAPLUS  
 CN 4-Piperidinol, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



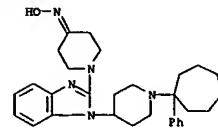
●2 HCl

RN 258287-87-5 CAPLUS  
 CN 4-Piperidinone, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]-, oxime (9CI) (CA INDEX NAME)



RN 258287-88-6 CAPLUS  
 CN 4-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]-, oxime, (2E)-2-butenedicarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 258287-87-5  
CMF C30 H39 N5 O

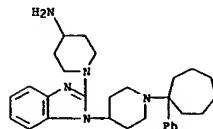
L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2  
CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

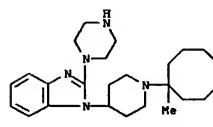


RN 258287-89-7 CAPLUS  
 CN 4-Piperidinamine, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]-, trihydrochloride (9CI) (CA INDEX NAME)



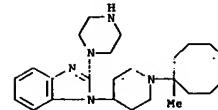
●3 HCl

RN 258288-00-5 CAPLUS  
 CN 1H-Benzimidazole, 1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



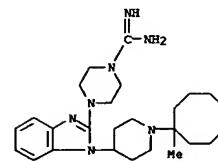
RN 258288-01-6 CAPLUS  
 CN 1H-Benzimidazole, 1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(1-piperazinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



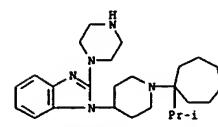
●3 HCl

RN 258288-04-9 CAPLUS  
 CN 1-Piperazinecarboximidamide, 4-(1-(1-methylcyclooctyl)-4-piperidinyl)-1H-benzimidazol-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)



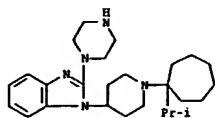
●2 HCl

RN 258288-26-5 CAPLUS  
 CN 1H-Benzimidazole, 1-[1-(1-methylethyl)cycloheptyl]-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 258288-28-7 CAPLUS  
 CN 1H-Benzimidazole, 1-[1-(1-methylethyl)cycloheptyl]-4-piperidinyl]-2-(1-piperazinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

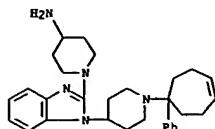
L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



●3 HCl

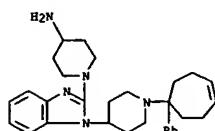
RN 258288-32-3 CAPLUS

CN 4-Piperidinamine, 1-[1-(1-phenyl-4-cyclohepten-1-yl)-4-piperidinyl]-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



RN 258288-33-4 CAPLUS

CN 4-Piperidinamine, 1-[1-(1-phenyl-4-cyclohepten-1-yl)-4-piperidinyl]-1H-benzimidazol-2-yl)-, trihydrochloride (9CI) (CA INDEX NAME)

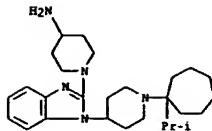


●3 HCl

RN 258288-40-3 CAPLUS

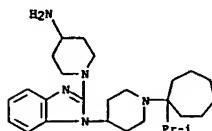
CN 4-Piperidinamine, 1-[1-[1-(1-methylethyl)cycloheptyl]-4-piperidinyl]-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 258288-42-5 CAPLUS

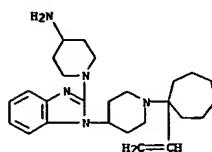
CN 4-Piperidinamine, 1-[1-[1-(1-methylethyl)cycloheptyl]-4-piperidinyl]-1H-benzimidazol-2-yl)-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

RN 258288-50-5 CAPLUS

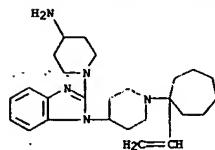
CN 4-Piperidinamine, 1-[1-(1-ethenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl)- (9CI) (CA INDEX NAME)



RN 258288-51-6 CAPLUS

CN 4-Piperidinamine, 1-[1-(1-ethenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

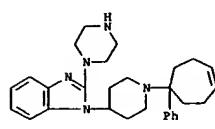
L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



●2 HCl

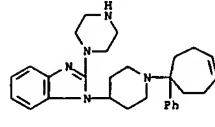
RN 258288-56-1 CAPLUS

CN 1H-Benzimidazole, 1-[1-(1-phenyl-4-cyclohepten-1-yl)-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 258288-57-2 CAPLUS

CN 1H-Benzimidazole, 1-[1-(1-phenyl-4-cyclohepten-1-yl)-4-piperidinyl]-2-(1-piperazinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

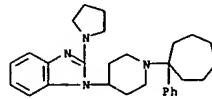


●3 HCl

RN 258289-05-3 CAPLUS

CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

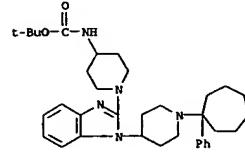


IT 258288-69-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preps of 2-substituted-1-piperidylbenzimidazoles as ORL1 receptor agonists)

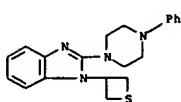
RN 258288-69-9 CAPLUS

CN Carbamic acid, [1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



14 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1998:260235 CAPLUS  
 DOCUMENT NUMBER: 129-49337  
 TITLE: Synthesis of biologically active derivatives of xanthine and benzimidazole  
 AUTHOR(S): Khalilullin, F. A.; Kataev, V. A.; Alekhin, E. K.; Volkova, S. S.; Nasirov, Kh. M.; Strokin, Yu. V.  
 CORPORATE SOURCE: Bashk. Gos. Med. Univ., Ufa, Russia  
 SOURCE: Bashkirskii Khimicheskiii Zhurnal (1997), 4(4), 59-62  
 PUBLISHER: Izdatel'stvo "Reaktiv"  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Russian  
 AB A study was done of reactions of amines with products of xanthines or chlorobenzimidazole alkylation by epithiobichlorhydrin. 2-Amino-substituted 1-(3-thiastanyl)benzimidazoles were synthesized from 1-(3-thiastanyl)-2-chlorobenzimidazole. 8-Amino-substituted derivs. were formed from 8-bromo-1,3-dimethyl-1-(1-oxothiastanyl-1)- and 8-bromo-1,3-dimethyl-1-(1,1-dioxothiastanyl-3) xanthines. 2-Amino-substituted 2,3-dihydrothiazolo[3,2-a]benzimidazoles were synthesized from 2-methylsulfonyl-1-(2,3-epithiopropyl)benzimidazole. Immunotropic and anti-inflammatory activities of the synthesized compds. were discovered.

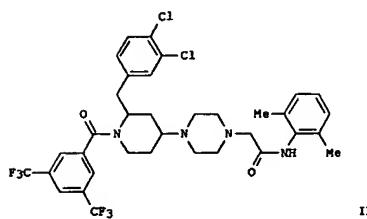
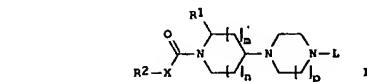
IT 208577-07-5P  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of biol. active derivs. of xanthine and benzimidazole)  
 RN 208577-07-5  
 CN 1H-Benzimidazole, 2-(4-phenyl-1-piperazinyl)-1-(3-thietanyl)- (9CI) (CA INDEX NAME)



14 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1997:425250 CAPLUS  
DOCUMENT NUMBER: 127:34245  
TITLE: Preparation of 1-(1,2-disubstituted  
piperidinyl)-4-substituted piperazine derivatives as  
substance-P antagonists  
INVENTOR(S): Janssens, Frans Edward; Sonnen, Francois Marie;  
Surleraux, Dominique Louis Nestor Ghislaine;  
Leenaerts, Joseph Elisabeth; Van Roosbroeck, Yves  
Emiel Maria  
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; Janssens, Frans  
Edward; Sonnen, Francois Marie; Surleraux, Dominique  
Louis Nestor Ghislaine; Leenaerts, Joseph Elisabeth;  
Van Roosbroeck, Yves Emiel Maria  
SOURCE: PCT Int. Appl. 69 pp.  
CODEN: PIKXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WD 9716440	A1	19970509	WD 1996-EP14660	19961025
W:	AL, AM, AU, BA, BB, BG, BR, CA, CH, CZ, ER, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MK, MN, MO, NL, NO, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RE:	KE, LS, MW, SD, SG, US, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
TV 460473	B	20011021	TV 1996-85113017	19961024
CA 2234096	AA	19970509	CA 1996-2234096	19961025
AU 9674932	A1	19970522	AU 1996-74932	19961025
AU 704155	B2	19990415		
EP 862566	A1	19980909	EP 1996-937248	19961025
EP 862566	B1	20000112		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI			
CN 1205699	A	19990120	CN 1996-199225	19961025
BR 9611184	A	19990330	BR 1996-11184	19961025
JP 11514634	T2	19991214	JP 1996-517050	19961025
AT 188691	E	20000115	AT 1996-937248	19961025
ES 2143238	T3	20000501	ES 1996-937248	19961025
JP 3073282	B2	20000807	JP 1997-517050	19961025
IL 123962	A1	20010111	IL 1996-123962	19961025
PL 185029	B1	20030228	PL 1996-327406	19961025
ZA 9609090	A	19980429	ZA 1996-9090	19961029
CN 1206406	A	19990127	CN 1996-199389	19961220
CN 1206414	A	19990127	CN 1996-199406	19961220
NO 9801534	A	19980624	NO 1998-1534	19980403
US 6197772	B1	20010306	US 1998-51963	19980403
US 6521621	B1	20020128	US 2000-145513	20001222
US 37896	E	20021015	US 2001-035666	20010823
PRIORITY APPLN. INFO.:			EP 1995-202929	A 19951030
			EP 1995-744565	W 19951035

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)  
US 1998-54963 AI 19980403  
OTHER SOURCE(S): MARPAT 127:34245  
GI



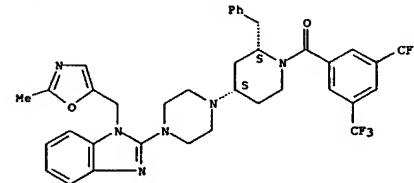
AB The title compds. [i,  $n = 0-2$ ;  $m = 1-2$  (if  $n = 2$ , then  $n = 1$ ); P = 1-2; Q = O, NR3; X = a covalent bond, a bivalent radical of formula O, S, NR3, RI = Ar1, Ar1Cl-6-Alkyl, di(Ar1)Cl-6-Alkyl (wherein each Cl-6-Alkyl group is optionally substituted with hydroxyl, Cl-4-Alkyloxy, one, a ketalized oxo substituent); R2 = Ar2, Ar2Cl-6-Alkyl, Het1, Het1Cl-6-Alkyl; R3 = H, Cl-6-Alkyl; L = H, Ar3; Cl-6-Alkyl, etc. Ar1, Ar2, Ar3 = [un]substituted Ph, Het1, Het2 = monocyclic, bicyclic heterocycle] and their N-oxide forms, the pharmaceutically acceptable addn. salts and the stereoisomeric forms, useful as substance-P antagonists were prep'd. and formulated. Thus, reaction of 3,5-bis(trifluoromethyl)benzyl chloride with (+,-)-trans-4-(2-[3,4-dichlorophenyl]methyl-4-piperidinyl)-N-(2,6-dimethylphenyl)-1-piperazineacetamide in the presence of Et3N in DCM afforded 44 I which showed IC50 of 0.13x10<sup>-9</sup> M against substance-P induced relaxation of the pig coronary arteries.

IT 190963-36-1P 190963-37-2P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepns. of 1-(1,2-disubstituted piperidinyl)-4-substituted piperazine derivs. as substance-P antagonists)

RN 190963-36-1 CAPIUS  
CN Piperidine, 1-[3-[5-bis(trifluoromethyl)benzoyl]-4-[4-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-1-piperazinyl]-2-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

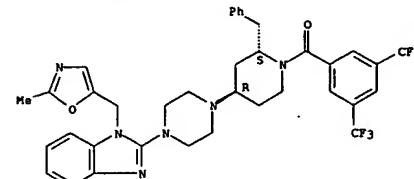
c15- (901) (LA INDEX)

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)  
Relative stereochemistry.



RN 190963-37-2 CAPLUS  
CN Piperidine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[4-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-1-piperazinyl]-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

### Relative stereochemistry



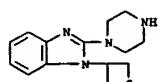
Habte

6/02/2003

L4 ANSWER 8 OF 17 CAPIUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1996:488344 CAPIUS  
 DOCUMENT NUMBER: 125:237997  
 TITLE: Preparation and immunomodulating effect of (1-thietanyl-3)benzimidazoles  
 AUTHOR(S): Katshev, V. A.; Sadykov, R. F.; Khalilullia, F. A.; Sibiryak, S. V.; Alekhin, E. K.; Volkova, S. S.  
 CORPORATE SOURCE: Bashkirskii Gosudarstvennyi Meditsinskii Institut, Ufa, Russia  
 SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1996), 30(7), 22-24  
 CODEN: KHFZAN; ISSN: 0023-1134  
 PUBLISHER: Izdatel'stvo Foliu  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Russian

AB 2-Amino-substituted 1-(3-thietanyl)benzimidazoles, 2-[1-(3-thietanyl)benzimidazolyl-2-thio]acetic acid, and its potassium salt (I) were prep'd. and their effects on anti-infectious and antitumor immunity were studied. I demonstrated considerable potentiation of antitumor immunity.

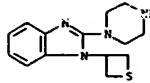
IT 182193-15-39  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIO (Biological study); PREP (Preparation); USES (Uses) (prepn. and immunomodulating effect of thietanylbenzimidazoles)  
 RN 182193-15-3 CAPIUS  
 CN 1H-Benzimidazole, 2-(1-piperazinyl)-1-(3-thietanyl)-, dihydrochloride (9CI) (CA INDEX NAME)



•2 HCl

IT 182193-12-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and immunomodulating effect of thietanylbenzimidazoles)  
 RN 182193-12-0 CAPIUS  
 CN 1H-Benzimidazole, 2-(1-piperazinyl)-1-(3-thietanyl)- (9CI) (CA INDEX NAME)

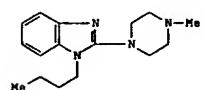
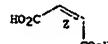
L4 ANSWER 8 OF 17 CAPIUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 9 OF 17 CAPIUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1994:435541 CAPIUS  
 DOCUMENT NUMBER: 121:35541  
 TITLE: Design and syntheses of a series of novel serotonin 5<sub>HT</sub>3 antagonists  
 AUTHOR(S): Hori, Manabu; Suzuki, Kenji; Yamamoto, Takeshi; Nakajima, Fumio; Ozaki, Akio; Ohtaka, Hiroshi  
 CORPORATE SOURCE: New Drug Res. Lab. Kanebo Ltd., Osaka, 534, Japan  
 SOURCE: Chemical & Pharmaceutical Bulletin (1993), 41(10), 1832-41  
 CODEN: CPBTAL; ISSN: 0009-2363  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

L4 ANSWER 9 OF 17 CAPIUS COPYRIGHT 2003 ACS (Continued)

CRN 110-16-7  
 CHF C4 H4 O4  
 Double bond geometry as shown.



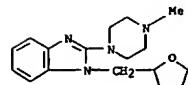
I

AB From a structural comparison study between serotonin and serotonin<sub>3</sub> (5-HT<sub>3</sub>) antagonists using a 2-dimensional grid template composed of regular hexagons, the authors deduced structural modification patterns from agonists to antagonists, and designed new 5-HT<sub>3</sub> antagonist prototypes. Among them, 2-(4-methyl-1-piperazinyl)-1-butylbenzimidazole (I) was identified as a lead compd. which has potent 5-HT<sub>3</sub> antagonistic activity comparable to that of granisetron. Using a quantitative structure-activity relations method, the authors optimized the structure of I and selected 6-amino-5-chloro-1-isopropyl-2-(4-methyl-1-piperazinyl)benzimidazole dimaleate (69, KB-6933), 1 of the most potent and long-acting 5-HT<sub>3</sub> antagonists, as a candidate drug.

IT 155583-88-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as HT3-antagonist)  
 RN 155583-88-1 CAPIUS  
 CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[(tetrahydro-2-furanyl)methyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CH 1

CRN 155583-05-0  
 CHF C17 H24 N4 O

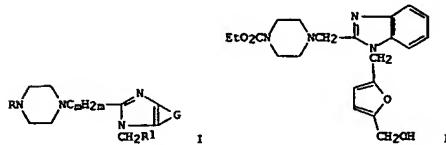


L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1994:54556 CAPLUS  
 DOCUMENT NUMBER: 120:54556  
 TITLE: Preparation of N-(arenimidazol-2-ylalkyl)piperazines as antiallergics  
 INVENTOR(S): Janssens, Frans Eduard; Leenaerts, Joseph Elisabeth; Diels, Gaston Stanislas Marcellus; Sommen, Francois Maria  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.  
 SOURCE: PCT Int. Appl., 39 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9314088	A1	19930722	WO 1992-EP3000	19921229
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, NZ, PL, PT, RO, RU, SD, US				
RU: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BE, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9332582	A1	19930803	AU 1993-32582	19921229
ZA 9300143	A	19940708	ZA 1993-143	19930108
PRIORITY APPLN. INFO.:			EP 1992-200042	19920109
			WO 1992-EP3000	19921229

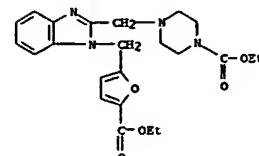
OTHER SOURCE(S): MARPAT 120:54556

GI



AB: Title compds. [II] G = CH:CHCH:CH, N:CHCH:CH, etc.; R = H, (cyclo)alkyl, alkanyl, alkoxycarbonyl, etc.; R1 = (hydroxymethyl)furanyl, -oxazolyl, alkylloxazolyl; m = 1-4] were prep'd. Thus, Et 1-piperazinecarboxylate was condensed with 2-chloromethyl-1H-benzimidazole and the product condensed with Et 5-chloromethyl-2-furancarboxylate to give, after redn., title compd. II. Selected I had ED50 of 0.02-0.08 mg/kg for protection of rats from compd. 48/80-induced lethality (route of administration not given).  
 IT 151056-33-6P 152072-74-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and reaction of, in prepn. of antiallergic agent)  
 RN 151056-33-6 CAPLUS

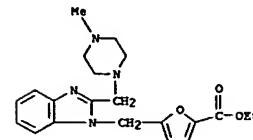
L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 CN 1-Piperazinecarboxylic acid, 4-[(1-[(5-(ethoxycarbonyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 152072-74-7 CAPLUS  
 CN 2-Furancarboxylic acid, 5-[(2-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl)methyl]-, ethyl ester, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CH 1

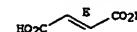
CRN 152072-73-6  
 CMF C21 H26 N4 O3



CH 2

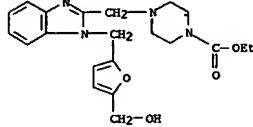
CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.

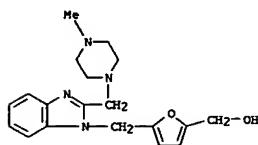


IT 151056-04-1P 151056-05-2P 151056-06-3P  
 151056-10-9P 151056-11-0P 151056-13-2P  
 151056-15-4P 151056-17-6P 151056-18-7P

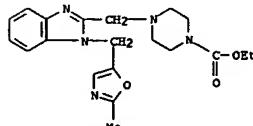
L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 151056-23-4P 152072-24-7P 152072-33-6P  
 152072-35-0P 152072-37-2P 152072-39-4P  
 152072-41-8P 152072-43-0P 152072-45-2P  
 152072-48-5P 152072-58-7P 152072-64-5P  
 152072-70-3P 152072-72-5P  
 RL: SEN (synthetic preparation); PREP (Preparation)  
 (prepn. of, as antiallergic agent)  
 KN 151056-04-1 CAPLUS  
 CN 1-Piperazinecarboxylic acid, 4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 151056-05-2 CAPLUS  
 CN 2-Furanmethanol, 5-[(2-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

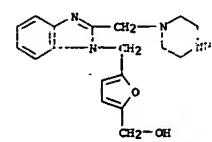


RN 151056-06-3 CAPLUS  
 CN 1-Piperazinecarboxylic acid, 4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

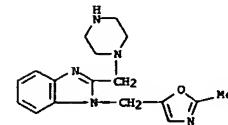


RN 151056-10-9 CAPLUS  
 CN 2-Furanmethanol, 5-[(2-(1-piperazinylmethyl)-1H-benzimidazol-1-yl)methyl]-

L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 (9CI) (CA INDEX NAME)

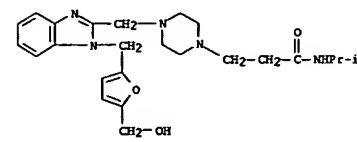


RN 151056-11-0 CAPLUS  
 CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-(1-piperazinylmethyl)-, tetrahydrobromide (9CI) (CA INDEX NAME)



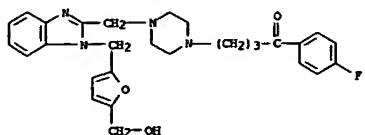
●4 HBr

RN 151056-12-2 CAPLUS  
 CN 1-Piperazinepropanamide, 4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

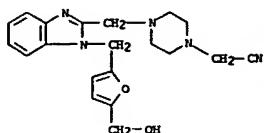


RN 151056-15-4 CAPLUS  
 CN 1-Butanone, 1-(4-fluorophenyl)-4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

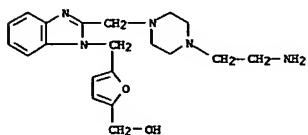
L4 ANSWER 10 OF 17 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 151856-17-6 CAPIUS  
 CN 1-Piperazineacetonitrile, 4-[(1-[(5-(hydroxymethyl)-2-furanyl]methyl)-1H-benzimidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)

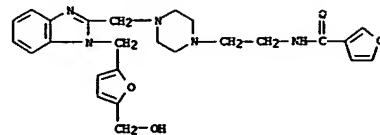


RN 151856-18-7 CAPIUS  
 CN 2-Furanmethanol, 5-[(2-[(4-(2-aminoethyl)-1-piperazinyl]methyl)-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



RN 151856-23-4 CAPIUS  
 CN 3-Furancarbonamide, N-[2-[(1-[(5-(hydroxymethyl)-2-furanyl]methyl)-1H-benzimidazol-2-yl)methyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 17 CAPIUS COPYRIGHT 2003 ACS (Continued)

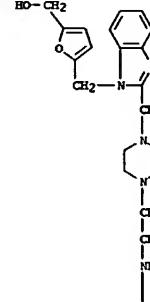


RN 152072-24-7 CAPIUS  
 CN 2-Furanmethanol, 5-[(2-[(4-(1H-imidazo[4,5-c]pyridin-2-ylamino)ethyl)-1-piperazinyl]methyl)-1H-benzimidazol-1-yl)methyl]-, (2E)-2-butenedioate (1:3) (salt) (9CI) (CA INDEX NAME)

CH 1

CRN 152072-23-6  
CNF C26 H30 N8 O2

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L4 ANSWER 10 OF 17 CAPIUS COPYRIGHT 2003 ACS (Continued)

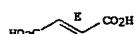
PAGE 2-A



CH 2

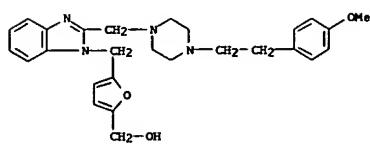
CRN 110-17-8  
CNF C4 H4 O4

Double bond geometry as shown.



RN 152072-33-8 CAPIUS  
 CN 2-Furanmethanol, 5-[(2-[(4-(2-(4-methoxyphenyl)ethyl)-1-piperazinyl)methyl)-1H-benzimidazol-1-yl)methyl]-, (2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

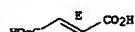
CH 1

CRN 152072-32-7  
CNF C27 H32 N4 O3

CH 2

CRN 110-17-8  
CNF C4 H4 O4

Double bond geometry as shown.



RN 152072-35-0 CAPIUS

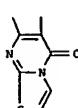
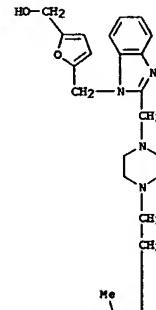
Habte

L4 ANSWER 10 OF 17 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[(2-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1-piperazinyl)ethyl]-7-methyl- (2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

CH 1

CRN 152072-34-9  
CNF C27 H30 N6 O3 S

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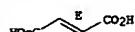


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CH 2

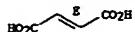
CRN 110-17-8  
CNF C4 H4 O4

Double bond geometry as shown.



6/02/2003

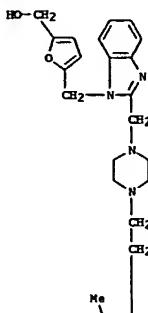
L4 ANSWER 10 OF 17 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 152072-37-2 CAPIUS

CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-6-(2-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperazinyl)ethyl- (2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

CH 1

CRN 152072-36-1  
CMF C27 H32 N6 O3 S

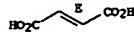
PAGE 1-A

L4 ANSWER 10 OF 17 CAPIUS COPYRIGHT 2003 ACS (Continued)

CH 2

CRN 110-17-8  
CMF C4 H4 O4

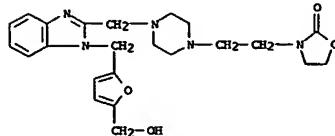
Double bond geometry as shown.



RN 152072-39-4 CAPIUS

CN 2-Oxazolidinone, 3-[2-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperazinyl]ethyl-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

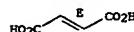
CH 1

CRN 152072-38-3  
CMF C23 H29 N5 O4

CH 2

CRN 110-17-8  
CMF C4 H4 O4

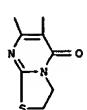
Double bond geometry as shown.



RN 152072-41-8 CAPIUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperazinyl]ethyl]-2-methyl-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CH 1

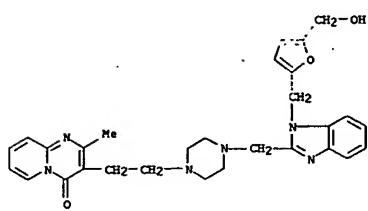


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L4 ANSWER 10 OF 17 CAPIUS COPYRIGHT 2003 ACS (Continued)

CRN 152072-40-7

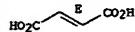
CMF C29 H32 N6 O3



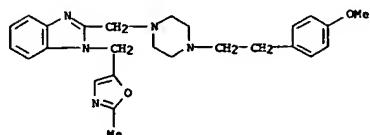
CH 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

RN 152072-43-0 CAPIUS  
CN 1H-Benzimidazole, 2-[(4-[(2-methoxyphenyl)ethyl]-1-piperazinyl)methyl]-1-[(2-methyl-5-oxazolyl)methyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CH 1

CRN 152072-42-9  
CMF C26 H31 N5 O2

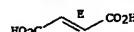
CH 2

CRN 110-17-8  
CMF C4 H4 O4

Habte

L4 ANSWER 10 OF 17 CAPIUS COPYRIGHT 2003 ACS (Continued)

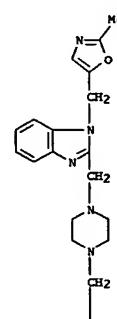
Double bond geometry as shown.



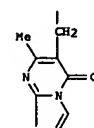
RN 152072-45-2 CAPIUS

CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperazinyl]ethyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 152072-44-1  
CMF C26 H29 N7 O2 S

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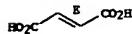
6/02/2003

L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

CH 2

CRN 110-17-8  
CNF C4 H4 O4

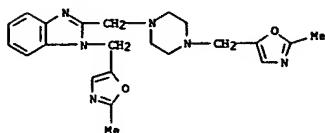
Double bond geometry as shown.



RN 152072-48-5 CAPLUS

CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-[(4-[(2-methyl-5-oxazolyl)methyl]-1-piperazinyl)methyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

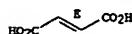
CH 1

CRN 152072-47-4  
CNF C22 H26 N6 O2

CH 2

CRN 110-17-8  
CNF C4 H4 O4

Double bond geometry as shown.



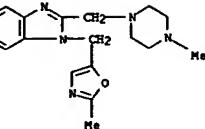
RN 152072-58-7 CAPLUS

CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-[(4-methyl-1-piperazinyl)methyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CH 1

CRN 152072-57-6  
CNF C18 H23 N5 O

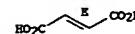
L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



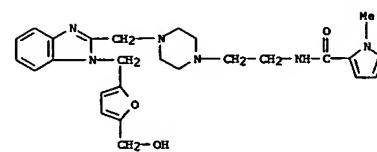
CH 2

CRN 110-17-8  
CNF C4 H4 O4

Double bond geometry as shown.

RN 152072-64-5 CAPLUS  
CN 1H-Pyrrole-2-carboxamide, N-[2-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperazinyl]ethyl]-1-methyl-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CH 1

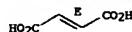
CRN 152072-63-4  
CNF C26 H32 N6 O3

CH 2

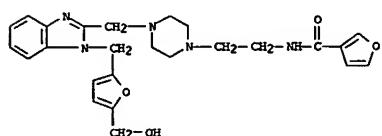
CRN 110-17-8  
CNF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 152072-70-3 CAPLUS  
CN 3-Furancarboxamide, N-[2-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperazinyl]ethyl]-, (2E)-2-butenedioate (1:2) (salt) (9CI) (CA INDEX NAME)

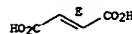
CH 1

CRN 151856-23-4  
CNF C25 H29 N5 O4

CH 2

CRN 110-17-8  
CNF C4 H4 O4

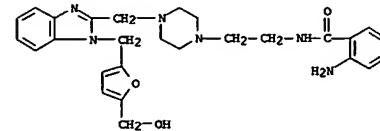
Double bond geometry as shown.

RN 152072-72-5 CAPLUS  
CN 2-Benzamide, 2-amino-N-[2-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperazinyl]ethyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CH 1

CRN 152072-71-4  
CNF C27 H32 N6 O3

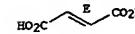
L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



CH 2

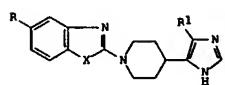
CRN 110-17-8  
CNF C4 H4 O4

Double bond geometry as shown.



L4 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1993:124534 CAPLUS  
 DOCUMENT NUMBER: 118:124534  
 TITLE: Preparation of 2-(imidazolylpiperidino)benzimidazoles and analogs as 5-HT receptor ligands  
 INVENTOR(S): Jegham, Samir; Defosse, Gerard; Purcell, Thomas; Schoemaker, Johannes; Synthelabs, S. A., Fr.  
 PATENT ASSIGNEE(S): Eur. Pat. Appl., 17 pp.  
 SOURCE: CODEN: EPXXW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

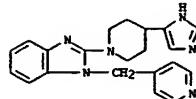
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 507650	A1	19921007	EP 1992-400780	19920323
EP 507650	B1	19960522		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
FR 2674855	A1	19921009	FR 1991-4009	19910403
FR 2674855	B1	19940114		
AT 138375	E	19960615	AT 1992-400780	19920323
CA 2064924	AA	19921004	CA 1992-2064924	19920402
NO 9201281	A	19921005	NO 1992-1281	19920402
AU 9213989	A1	19921008	AU 1992-13989	19920402
AU 646332	B2	19940217		
CN 1065459	A	19921021	CN 1992-102327	19920402
JP 05112563	A2	19930507	JP 1992-80690	19920402
JP 07088378	B4	19950927		
HU 62573	A2	19930528	HU 1992-1116	19920402
US 5280030	A	19940118	US 1992-862376	19920402
PRIORITY APPLN. INFO.:			FR 1991-4009	19910403
OTHER SOURCE(S):	MARPAT 118:124534			
GI				



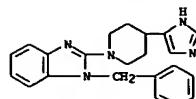
AB Title compds. [I; R = H, F; R1 = H, (cyclo)alkyl; X = O, S, NR3; R3 = H, (cyclo)alkyl, Ph, pyridyl, etc.] were prep'd. Thus, 1-(4-pyridyl)-1-propanone was converted in 2 steps to 2-amino-1-(4-pyridyl)-1-propanone which was cyclized with KSCN and the product converted in 2 steps to 4-(5-methyl-1H-imidazol-4-yl)piperidine. The latter was condensed with 2-chloro-1-(1-methylethyl)-1H-benzimidazole (prepn. given) to give I (R = H, R1 = Me, X = NCH2Me2). It gave >50% inhibition of serotonin-induced bradycardia in rats at 10 µg/kg i.v.

IT 146365-66-4P 146365-67-5P 146365-83-5P  
 146365-91-5P

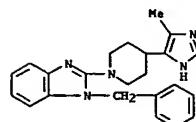
L4 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)  
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 (prepn. of, as 5-HT receptor ligand)  
 RN 146365-66-4 CAPLUS  
 CN 1H-Benzimidazole, 2-[(4-(1H-imidazol-4-yl)-1-piperidinyl)-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 146365-67-5 CAPLUS  
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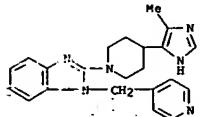


RN 146365-83-5 CAPLUS  
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RN 146365-91-5 CAPLUS  
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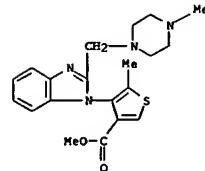
L4 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1988:562796 CAPLUS  
 DOCUMENT NUMBER: 109:162796  
 TITLE: Determination of telenzepine in human serum by gas chromatography-mass spectrometry  
 AUTHOR(S): Sturm, E.; Junker, A.  
 CORPORATE SOURCE: Byk Gulden Pharm., Konstanz, D-7750, Fed. Rep. Ger.  
 SUBJ.:  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 109:162796

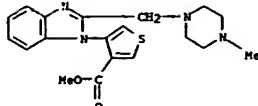
AB A method for detg. telenzepine in human serum is described. Analytes are obtained from alkalized serum by extn. of the drug using reversed-phase octadecylsilane-bonded silica cartridges. Telenzepine and a dimethyl analog added to serum as internal std. are retained on the C18 cartridge and recovered by elution with MeOH. The gas chromatog. properties of telenzepine and the internal std. are improved by a 2-step derivatization involving a benzodiazepinone-benzimidazole rearrangement and simultaneous formation of a Me ester function. The processed ext. is analyzed by gas chromatog.-mass spectrometry with selected-ion monitoring. Quantification is linear over the range 2-40 ng/ml. Inter-day precision is within 7%, except at the detection limit of 2 ng/ml (16%). Application of this assay to routine anal. is limited by the extensive sample pretreatment essential for derivatization of telenzepine.

IT 117019-16-6P 117019-17-7P 117019-18-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, for telenzepine detn. in human blood by gas chromatog.)  
 RN 117019-16-6 CAPLUS  
 CN 3-Thiophenecarboxylic acid, 5-methyl-4-[2-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]-, methyl ester (9CI) (CA INDEX NAME)

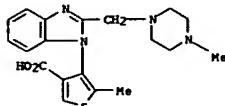


RN 117019-17-7 CAPLUS  
 CN 3-Thiophenecarboxylic acid, 4-[2-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



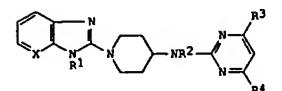
RN 117019-18-8 CAPLUS  
 CN 3-Thiophene carboxylic acid, 5-methyl-4-[2-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-2-yl]-(9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1987-407211 CAPLUS  
 DOCUMENT NUMBER: 07-7211  
 TITLE: 2-[4-(Piperidin-2-ylamino)piperidin-1-yl]benzimidazole derivatives as allergy inhibitors  
 PATENT ASSIGNEE(S): Synthelabo S. A., Fr.  
 SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62061979	A2	19870318	JP 1986-214978	19860910
JP 04060596	B4	19920928		
FR 2587029	A1	19870313	FR 1985-13453	19850911
FR 2587029	B1	19871030		
EP 217700	A1	19870408	EP 1986-401928	19860902
EP 217700	B1	19940330		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 103603	E	19940415	AT 1986-401928	19860902
IL 79992	A1	19900712	IL 1986-79992	19860909
AU 8662552	A1	19870312	AU 1986-62552	19860910
AU 8682894	B2	19890413		
FI 8603661	A	19870312	FI 1986-3661	19860910
FI 87210	B	19920831		
FI 87210	C	19921210		
DK 8604315	A	19870312	DK 1986-4315	19860910
DK 170594	B1	19951106		
NO 8603608	A	19870312	NO 1986-3608	19860910
NO 167026	B	19910617		
NO 167026	C	19910925		
ZA 8606901	A	19870429	ZA 1986-6901	19860910
HU 41771	A2	19870528	HU 1986-3907	19860910
HU 196597	B	19881228		
ES 2001782	A6	19880616	ES 1986-1773	19860910
US 4820710	A	19890411	US 1986-906279	19860910
CA 1272486	A1	19900807	CA 1986-517916	19860910
US 4912219	A	19900327	US 1988-283468	19881212
PRIORITY APPLN. INFO.:			FR 1985-13453	19850911
			EP 1986-401928	19860902
			US 1986-906279	19860910

OTHER SOURCE(S): CASREACT 107:7211  
 GI



L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)

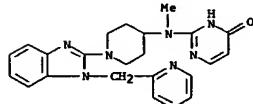
AB The title compds. [I; X = CH, N; R1 = H, (un)substituted PhCH2, (un)substituted heterocyclylmethyl; R2, R4 = H, Cl-4 alkyl; R3 = H, OH], their tautomers and salts, were prep'd. as allergy inhibitors. A mixt. of 4-(methylamino)piperidine and 1-(4-fluorobenzyl)-2-chlorobenzimidazole in  $\text{H}_2\text{N}-\text{CH}_2-\text{H}$  contg.  $\text{K}_2\text{CO}_3$  was refluxed for 192 h to give I: [1-(4-fluorobenzyl)-1H-benzimidazol-2-yl]-4-(methylamino)piperidine, which was heated with (methylthio)uracil at 170.degree. for 10 h to give I (X = CH; R1 =  $\text{p-FC}_6\text{H}_4\text{CH}_2\text{CH}_2$ ; R2 = Me; R3 = OH; R4 = H).

IT 108612-72-3P

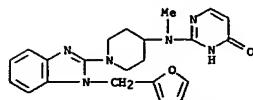
RN: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as allergy inhibitor)

RN 108612-72-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[methyl[1-[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



RN 108612-73-3 CAPLUS  
 CN 4(1H)-Pyrimidinone, 2-[methyl[1-[1-(2-furanyl methyl)-1H-benzimidazol-2-yl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



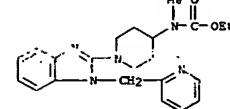
IT 108612-81-3P 108612-82-4P

RN: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as intermediate for allergy inhibitors)

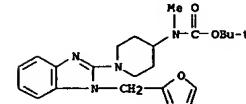
RN 108612-81-3 CAPLUS

CN Carbamic acid, methyl[1-[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]-4-piperidinyl]-, ethyl ester (9CI) (CA INDEX NAME)

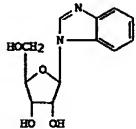
L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 108612-82-4 CAPLUS  
 CN Carbamic acid, [1-[1-(2-furanyl methyl)-1H-benzimidazol-2-yl]-4-piperidinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1979:451275 CAPLUS  
 DOCUMENT NUMBER: 91:51275  
 TITLE: Conformation of nucleosides: Circular dichroism study on the syn-anti conformational equilibrium of 2-substituted benzimidazole nucleosides  
 AUTHOR(S): Miles, D. W.; Townsend, L. B.; Miles, D. L.; Eyring, H.  
 CORPORATE SOURCE: Dep. Chem., Univ. Utah, Salt Lake City, UT, USA  
 SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1979), 76(2), 553-6  
 CODEN: PNASA6; ISSN: 0027-8424  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

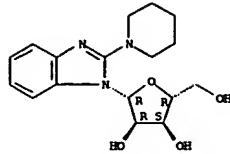


AB The soln. conformations of 2-substituted derivs. of 1-(.beta.-D-ribofuranosyl)benzimidazole (I) were detd. by CD spectroscopy in aq. solns. Analogs with Me, amino, or methylamino substituents at position 2 of the benzimidazole ring (position 8 of the purine ring) had predominantly anti conformations, whereas analogs with chloro, aza, methoxy, or methylmercaptop substituents had predominantly syn conformations. The preferred soln. conformations of the benzimidazole nucleosides and analogous purine nucleosides were compared. The replacement of N by C at position 3 of the purine ring of purine (.beta.-) nucleosides apparently leads to important conformational consequences, which are strengthened or neutralized by substituents at position 8 of the purine ring.

IT 22416-77-9  
 RL: BIOL (Biological study)  
 (CD of, conformation in relation to)  
 RN 22416-77-9 CAPLUS  
 CN 1H-Benzimidazole, 2-(1-piperidinyl)-1-.beta.-D-ribofuranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

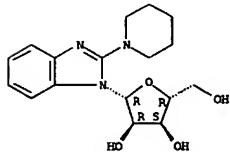
L4 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)



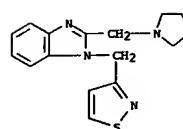
L4 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1969:11956 CAPLUS  
 DOCUMENT NUMBER: 70:11956  
 TITLE: Synthesis of 2-chloro-1-(.beta.-D-ribofuranosyl)benzimidazole and certain related derivatives  
 AUTHOR(S): Ravinder, Ganapathi R.; Townsend, Leroy B.  
 CORPORATE SOURCE: Univ. of Utah, Salt Lake City, UT, USA  
 SOURCE: Journal of Heterocyclic Chemistry (1968), 5(4), 477-83  
 CODEN: JHCAAB; ISSN: 0022-152K  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI For diagram(s), see printed CA Issue.  
 AB 2-Chloro-1-(.beta.-D-ribofuranosyl)benzimidazole (I) was prep'd. by condensation of 2-chloro-1-trimethylsilylbenzimidazole with 2,3,5-tri-O-acetyl-D-ribofuranosyl bromide (II) followed by subsequent deacetylation. Nucleophilic displacement of the 2-chloro group furnished several 2-substituted 1-(.beta.-D-ribofuranosyl)benzimidazoles. 1-(.beta.-D-Ribofuranosyl)benzimidazole and 1-(.beta.-D-ribofuranosyl)-benzimidazole-2-thione (III) were prep'd. from I, and III was also prep'd. by condensation of II with silylated benzimidazole-2-thione. Alkylation of III furnished certain 2-alkylthio-1-(.beta.-D-ribofuranosyl)benzimidazoles and oxidn. of III with alk. H2O2 produced 1-(.beta.-D-ribofuranosyl)benzimidazole-2-one. The assignment of anomeric configuration for all nucleosides reported is discussed.

IT 22416-77-9  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prep'n. of)  
 RN 22416-77-9 CAPLUS  
 CN 1H-Benzimidazole, 2-(1-piperidinyl)-1-.beta.-D-ribofuranosyl- (9CI) (CA INDEX NAME)

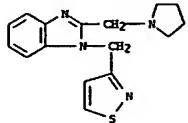
Absolute stereochemistry.



L4 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1968:68927 CAPLUS  
 DOCUMENT NUMBER: 68:68927  
 TITLE: Isothiazoles. XI. Carbimols, aryl ketones, and aminomethyl derivatives of isothiazoles  
 AUTHOR(S): Layton, Alfred J.; Lunt, E.  
 CORPORATE SOURCE: Rec. Lab., May and Baker Ltd., Dagenham, UK  
 SOURCE: Journal of the Chemical Society (Section) C: Organic (1968), (5), C11-14  
 CODEN: JSCOMA; ISSN: 0022-4752  
 DOCUMENT TYPE: General  
 LANGUAGE: English  
 GI For diagram(s), see printed CA Issue.  
 AB Previous work on aryl- and hydroxymethyl-isothiazoles was extended and some arylisothiazoles, such as I, and the corresponding secondary alcs. were prep'd. Primary alcs. were obtained by redn. of the known formyl compds., and secondary alcs. by reactions of Grignard or Li derivs. with aldehydes. Aryl derivs. were prep'd. by Li or Grignard reactions from the appropriate nitriles, acid chlorides, or acylimidazoles, or by oxidn. of the secondary alcs. referred to above. The alcs. were converted by reaction of the corresponding chloro compds. with amines into aminomethylisothiazoles of potential pharmacol. interest. The latter were also prep'd. in some cases by redn. of the Schiff bases of isothiazole carboxaldehydes.  
 IT 17265-81-5P 17276-74-3P 17517-83-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prep'n. of)  
 RN 17265-81-5 CAPLUS  
 CN Benimidazole, 1-(3-isothiazolylmethyl)-2-(1-pyrrolidinylmethyl)- (8CI) (CA INDEX NAME)



RN 17276-74-3 CAPLUS  
 CN Benimidazole, 1-(3-isothiazolylmethyl)-2-(1-pyrrolidinylmethyl)-, maleate (1:1) (8CI) (CA INDEX NAME)  
 CM 1  
 CRN 17265-81-5  
 CMF C16 H18 N4 S

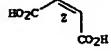


CH 2

CRN 110-16-7

CNF C4 H4 O4

Double bond geometry as shown.



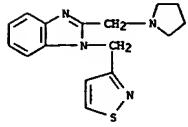
RN 17517-83-8 CAPIUS

CN Benzimidazole, 1-(3-isothiazolylmethyl)-2-(1-pyrrolidinylmethyl)-, tripicrate (8Cl) (CA INDEX NAMES)

CH 1

CRN 17265-81-5

CNF C16 H18 N4 S



CH 2

CRN 88-89-1

CNF C6 H3 N3 O7

L4 ANSWER 17 OF 17 CAPIUS COPYRIGHT 2003 ACS (Continued)

ACCESSION NUMBER: 1966:438549 CAPIUS

DOCUMENT NUMBER: 65:38549

ORIGINAL REFERENCE NO.: 65:7183g-h, 7184a-h, 7185a-h, 7186a-f

TITLE: Benzimidazoles

PATENT ASSIGNEE(S): Schering A.-G.

SOURCE: J. P.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

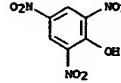
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 667333	BE	19660124	BE	19640723
FR 1440565	FR			
NL 65095793	NL			

GI For diagram(s), see printed CA Issue.

AB Title compds. of the formula I possess antiallergenic and antiinflammatory properties. Thus, 1-(4-chlorobenzyl)-2-chloromethylbenzimidazole-HCl (I-HCl) is treated with NaCO<sub>3</sub> in H<sub>2</sub>O to yield the base, II, m. 99-103.degree. (dil. MeOH). To 4.8 g. IV in 200 ml. CGH6 is added 40.25 g. EtNHCH<sub>2</sub>CH<sub>2</sub>ON (III) in 50 ml. CGH6, the mixt. kept 2 days under anhyd. conditions, washed to neutrality with H<sub>2</sub>O, and dried over NaCO<sub>3</sub> and the solvent evapd. at <30.degree. to obtain 50 g. 1-(p-chlorobenzyl)-2-(N-ethyl-N-(2-hydroxyethyl)amino)methylbenzimidazole (IV), m. 101-3.degree. IV-HCl m. 154-6.degree. (iso-PtOH). To 45 g. II in 240 ml. CGH6 is added dropwise a soln. of 37.2 g. N-methylpiperazine (V) in 40 ml. CGH6. After the work-up for IV, the crude base is crystd. from petr. ether to give 40 g. 1-(p-chlorobenzyl)-2-(1-methyl-4-piperazinyl)methylbenzimidazole, m. 99-100.5.degree., HCl salt, m. 225-6.degree.. To a soln. of 40 g. II in 300 ml. CGH6 is added 43 g. N-(2-hydroxyethyl)piperazine (VI) in 50 ml. CGH6 with the temp. kept at 25.degree. by cooling the mixt. in an ice water bath when necessary. The mixt. is kept overnight, refluxed 2 hrs., cooled, washed to neutrality with H<sub>2</sub>O, and extd. with N HCl. The acid ext. is washed with Et<sub>2</sub>O, decolorized with C, and made alk. with NaCO<sub>3</sub>. The mixt. is extd. with CH<sub>2</sub>C12 and dried over Na<sub>2</sub>SO<sub>4</sub>. The solvent removed <40.degree., and the residue treated with C in CGH6 to give 40 g. 1-(p-chlorobenzyl)-2-(2-hydroxyethyl)-4-piperazinyl)methylbenzimidazole, m. 144-5.degree.. II (15 g.) and 7 g. allylamine under similar conditions give 1-(p-chlorobenzyl)-2-allylaminoethylbenzimidazole which with N HCl gives the salt, m. 198-9.degree.. Similarly, II and N-( $\beta$ -aminoethyl)morpholine give 1-(p-chlorobenzyl)-2-morpholinopropylaminoethylbenzimidazole-HCl, m. 180-2.degree. (base is an oil); II and  $\beta$ -amino- $\beta$ -hydroxydiethyl ether give an oily base which is converted to the HCl salt, m. 199-201.degree.. A mixt. of 25 g. 2,5-dichloro-1-nitrobenzene and 36.9 g. 4-chlorobenzylamine is heated to 135.degree. in an oil bath (the reaction becomes exothermic and the temp. is not allowed to exceed 145.degree.) to yield after 2 hrs. 23 g. 1-(4-chlorobenzylamino)-2-nitro-4-chlorobenzene (VII), m. 121-2.degree. is obtained. Redn. of 2 g. VII in 250 ml. dioxane with H in the presence of 2 g. Raney Ni at ambient temp. and 115 atm. yields 19 g. 1-(4-chlorobenzylamino)-2-amino-4-chlorobenzene (VIII), m. 139-41.degree.. To 10 g. VIII in 90 ml. abs. CHCl<sub>3</sub> is added 6.1 g. chloroacetimid ether-HCl, (1X-HCl) in 35 ml. CHCl<sub>3</sub>, the mixt. stirred 30 min. at room temp. and 2 hrs. at 40.degree. and neutralized with NaCO<sub>3</sub>, the CHCl<sub>3</sub> soln. washed with H<sub>2</sub>O and dried over Na<sub>2</sub>SO<sub>4</sub>, and the solvent removed to yield 10 g. 1-(p-chlorobenzyl)-2-chloromethyl-5-chlorobenzimidazole (X), m. 134-6.degree.. The reaction of X with VI yields 10 g.

1-(p-chlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]-methyl-5-

L4 ANSWER 16 OF 17 CAPIUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 17 OF 17 CAPIUS COPYRIGHT 2003 ACS (Continued)

chlorobenzimidazole, m. 137-8.degree.. A soln. of 75.6 g. 4-chlorobenzylcyanamide is treated in 700 ml. MeOH satd. with NH<sub>3</sub> and H in the presence of 5 g. Raney Ni at 70.degree. and initial temp. of 110.degree. to give 4-chlorophenyllethylamine (XI), b.p. 124-9.degree.. A mixt. of 45 g. XI, 49.5 g. 6-ClC<sub>6</sub>H<sub>4</sub>NO<sub>2</sub>, and 41.1 g. 2-Br<sub>2</sub>C<sub>6</sub>O<sub>3</sub> is heated to 150-60.degree. in an oil bath 5 hrs., cooled, and dissolved in a mixt. of CHCl<sub>3</sub> and H<sub>2</sub>O. The CHCl<sub>3</sub> soln. is washed with dil. HCl, Et<sub>2</sub>O, dried, and the solvent removed. The residue is crystallized from MeOH to yield 77 g. 1-p-chlorophenylethyl-2-nitrobenzene (XII). Hydrogenation of XII in 40% over Raney Ni yields 73 g. 1-(4-chlorophenyl-4-hydroxy-4-ethyl)-2-aminoethane (XIII) as a viscous oil. Condensation of XIII with IX yields 70 g. 1-(4-chlorophenylethyl)-2-chloromethylbenzimidazole (XIV), m. 104-7.degree., which is allowed to react with VI to yield

1-[2-(4-chlorophenyl)-ethyl]-2-[1-(2-hydroxymethyl)-4-piperazinyl]methylbenzimidazole, m. 123-4.degree.. To 23.3 g. II in 160 ml. dioxane is added 19.4 g. HN(CH<sub>2</sub>CH<sub>2</sub>OH)<sub>2</sub> and the mixt. kept overnight and worked up to yield 12.6 g. product, m. 111-13.degree., which is treated with an aq. soln. of Na<sub>2</sub>SO<sub>4</sub> to yield 10 g. 1-(4-chlorobenzyl)-2-(bis[2-hydroxymethyl]amino)methylbenzimidazole-H<sub>2</sub>SO<sub>4</sub>, m. 150-2.degree. (MeOH). To a cold mixt. of 12.8 g. formylpiperazine, 6.4 g. Na<sub>2</sub>CO<sub>3</sub> and 150 ml. 95% EtOH is added 33.1 g. II, the mixt. refluxed 2 hrs., cooled, and filtered, the solvent removed, and the oily residue treated with 32 ml. concd. HCl and 150 ml. H<sub>2</sub>O on a steam bath 10 hrs. The major portion of the HCl is removed in vacuo. H<sub>2</sub>O added with stirring to the residue, and the base pptd. with dil. NaOH soln. The product is taken into CH<sub>2</sub>C12, washed with H<sub>2</sub>SO<sub>4</sub> and the solvent removed to yield 20 g.

1-(4-chlorobenzyl)-2-piperazinylmethylbenzimidazole, m. 140-2.degree. (Et<sub>2</sub>O). A soln. of 19.8 g. 4-fluorobenzaldehyde (XV) in 45 ml. MeOH is added to 17.7 g. phenylenediamine (XVI) in 45 ml. MeOH kept cold in an ice bath. The ppt. is isolated and combined with a second portion obtained on concn. of the mother liquor to yield 30 g. N-(4-fluorobenzyl)-o-phenylenediamine (XVII), m. 73-4.degree. (cyclohexane). Redn. of 37.5 g. XVII in 500 ml. dioxane with H in the presence of 4 g. Raney Ni at 130 atm. pressure and at 70-5.degree. followed by filtration and evapn. of solvent <35.degree. gives 37.5 g. N-(4-fluorobenzyl)-o-phenylenediamine (XVIII), m. 80-1.degree.. A soln. of 37.5 g. XVIII in 208 ml. anhyd. CHCl<sub>3</sub> is added dropwise to 28.6 g. IV-HCl in 164 ml. anhyd. CHCl<sub>3</sub>, the mixt. kept at room temp. with cooling with H<sub>2</sub>O, stirred 30 min. and then kept at 40.degree. 2 hrs. The mixt. is worked up to yield 46 g. 1-(4-fluorobenzyl)-2-chloromethylbenzimidazole (XIX), m. 80-4.degree.. A soln. of 17.3 g. VI in 50 ml. CGH6 is added to a chilled soln. of 15 g. XIX in 120 ml. CGH6 and after work up yields 13 g. 1-(4-fluorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl]methylbenzimidazole, m. 143-4.degree. (Et<sub>2</sub>O). Similarly, XIX and III yields 1-(4-fluorobenzyl)-2-[N-ethyl-N-2-hydroxymethyl]aminoethylbenzimidazole, m. 116-17.degree. (CH<sub>2</sub>O). XIX and VI give 1-(4-fluorobenzyl)-2-(1-methyl-4-piperazinyl)methylbenzimidazole, which is converted to its HCl salt, m. 233-4.degree. (MeOH-Et<sub>2</sub>O). When II is allowed to react with the appropriate amine, the following XIXa are obtained (I and II, m.p. given): 1-methoxy-1-ethyl-4-piperazinyl, -- [HCl salt m. 127-9.degree. (cyclohexane-C<sub>6</sub>H<sub>6</sub>)]; NH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OH, 124-6.degree. (iso-PtOH); NH<sub>2</sub>CH<sub>2</sub>CH(OH)Me<sub>2</sub>, [HCl salt m. 192-4.degree. (MeOH-Et<sub>2</sub>O); N(CH<sub>2</sub>CH<sub>2</sub>OH)CH<sub>2</sub>CH(OH)Me<sub>2</sub>], [HCl salt m. 195-7.degree. (Me<sub>2</sub>CO)]. Using methods described above the following aldehydes (m.p. of the intermediate benzal deriv., m.p. of the benzyl deriv., m.p. of the chloroethylbenzimidazole) yield the corresponding methylbenzimidazoles: prepd. from p-BrC<sub>6</sub>H<sub>4</sub>CHO, intermediate benzal m. 112-13.degree., intermediate benzyl deriv., m. 1-127-9.degree. (cyclohexane-C<sub>6</sub>H<sub>6</sub>)

(iso-PtOH); NH<sub>2</sub>CH<sub>2</sub>CH(OH)Me<sub>2</sub>, [HCl salt m. 192-4.degree. (MeOH-Et<sub>2</sub>O); N(CH<sub>2</sub>CH<sub>2</sub>OH)CH<sub>2</sub>CH(OH)Me<sub>2</sub>], [HCl salt m. 195-7.degree. (Me<sub>2</sub>CO)]; 4-benzylpiperazinyl, 147-8.degree. (Me<sub>2</sub>CO). Using methods described above the following aldehydes (m.p. of the intermediate benzal deriv., m.p. of the benzyl deriv., m.p. of the chloroethylbenzimidazole) yield the corresponding methylbenzimidazoles: prepd. from p-BrC<sub>6</sub>H<sub>4</sub>CHO, intermediate benzal m. 112-13.degree., intermediate benzyl deriv., m. 1-127-9.degree. (cyclohexane-C<sub>6</sub>H<sub>6</sub>)

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 ether), 1-(4-bromobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 139-40.degree. (CGH6). Prepd. from  $\text{N}-\text{ClC}_6\text{H}_4\text{CH}_3$ , 50-2.degree., 1.degrees. --: 1-(3-chlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], 150-3.degree. (CGH6). Prepd. from 0- $\text{ClC}_6\text{H}_4\text{CH}_3$ , 115-16.degree., --, --: 1-(2-chlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 142-5.degree. (CGH6); 1-(2-chlorobenzyl)-2-[N-ethyl-N-(2-hydroxyethyl)amino], m. 97-9.degree. (from CGH6-CGH12). Prepd. from 0- $\text{FC}_6\text{H}_4\text{CH}_3$ , 87-9.degree., --, 69-74.degree.: 1-(2-fluorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], 148-9.degree. (CGH6). Prepd. from 2,4- $\text{Cl}_2\text{C}_6\text{H}_3\text{CH}_3$ , 100-1.degree., --, 118-22.degree.: 1-(2,4-dichlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], 173-4.degree. (CGH6). Prepd. from 2,6- $\text{Cl}_2\text{C}_6\text{H}_3\text{CH}_3$ , 107-9.degree., 79-86.degree., 138.degree.: 1-(2,6-dichlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 105-6.degree., --, 1-(2,6-dichlorobenzyl)-2-[1-(cetyl)-4-piperazinyl], HCl salt m. 227-8.degree. (iso-PrOH). Prepd. from  $\text{PCl}_3$ , 57-61.degree., 79-80.degree., 111.degree.: 1-benzy1-2-[1-(methyl)-4-piperazinyl], m. 121-2.degree. (EtOAc). Prepd. from p-MeC<sub>6</sub>H<sub>4</sub>OCH<sub>2</sub>CH<sub>3</sub>, 116-18.degree., 1.degrees. 72.degree.: 1-(4-methoxyethoxybenzyl)-2-[2-hydroxyethyl)-4-piperazinyl], m. 84-6.degree. (petr. ether-CH<sub>2</sub>Cl<sub>2</sub>). Prepd. from 0- $\text{HOCH}_2\text{CH}_3$ , 67-9.degree. (CGH13), 155-7.degree., 172-5.degree.: 1-(2-hydroxy)-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 217-18.degree. (EtOAc). Prepd. from 0-MeC<sub>6</sub>H<sub>4</sub>CH<sub>3</sub>, 99-101.degree., 66-7.degree., 108-10.degree.: 1-(2-methoxybenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 90-1.degree. (EtOAc). 1-(2-methoxybenzyl)-2-[1-(methyl)-4-piperazinyl], m. 143-4.degree. (EtOAc). Prepd. from 0-MeC<sub>6</sub>H<sub>4</sub>CH<sub>3</sub>, 102-3.degree., 74-8.degree., 78-9.degree.: 1-(2-methoxybenzyl)-2-[1-(methyl)-4-piperazinyl], m. 128-30.degree. (CGH12). Prepd. from 4-pyridinecarboxaldehyde, 121-2.degree., --, 233-41.degree.: 1-(4-pyridylmethyl)-2-[1-(methyl)-4-piperazinyl], 167-9.degree. (dioxane). Prepd. from 2-pyridinecarboxaldehyde, --, --: 1-(2-pyridylmethyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 156-9.degree. (dioxane). A mixt. of 15 g.  $\text{O}-\text{ClC}_6\text{H}_4\text{NO}_2$ , (XK), 6 g. 4- $\text{FC}_6\text{H}_4\text{NH}_2$ , and 15 g. NaOAc is heated 10 hrs. at 200-10.degree. and cooled, dil. HCl added, and the unused XK steam-distd. The mixt. is cooled to give 6 g. 4'-fluoro-2-nitrodiphenylamine (XXI), m. 82-3.degree. (aq. EtOH). Rndn. of 25 g. XXI in 100 ml. dioxane with H in the presence of 1 g. Raney Ni at ambient temp. and 115 atm. yields 22 g. 4'-fluoro-2-nitrodiphenylamine, m. 64-5.degree., which is condensed with IX, HCl to give 26 g. 1-(4-fluorophenyl)-2-chloromethylbenzimidazole (XXII), m. 110-11.degree.. A mixt. of 9 g. XXII with V yields 6 g. 1-(4-fluorophenyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]methylbenzimidazole, m. 115-16.degree. (EtOAc). XXII with V yields 1-(4-fluorophenyl)-2-[1-(methyl)-4-piperazinyl]methylbenzimidazole, m. 131-2.degree. (EtOAc). 1-(4-Chlorophenyl)-2-chloromethylbenzimidazole (XXIII), m. 115-21.degree., is prepd. by the method used to obtain XXII. The reaction of XXIII with VI yields 1-(4-chlorophenyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]methylbenzimidazole, m. 156-8.degree., with V gives 1-(4-chlorophenyl)-2-(1-methyl-4-piperazinyl)methylbenzimidazole, m. 167-9.degree. (EtOAc). Similarly, XIV with V gives 90% 1-(2-(4-chlorophenyl)ethyl)-2-[1-methyl-4-piperazinyl]methylbenzimidazole, m. 122-3.degree. (CGH12). A mixt. of 11.9 g.  $\alpha$ -.alpha.-(4-chlorophenyl)ethylamine, 11.3 g.  $\text{O}-\text{ClC}_6\text{H}_4\text{NO}_2$ , and 9.8 g. K<sub>2</sub>CO<sub>3</sub> is heated at 170-80.degree. 5 hrs., cooled, and mixed with Et<sub>2</sub>O and H<sub>2</sub>O. The Et<sub>2</sub>O phase is worked up to yield N-(2-nitrophenyl)-N-.alpha.-(4-chlorophenyl)ethylamine, m. 56-7.degree. (iso-PrOH), and this

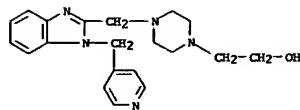
L4 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 compd. is reduced with H in the presence of Raney Ni to give N-(2-nitrophenyl)-N-.alpha.-(4-chlorophenyl)ethylamine (XXIV). The reaction of XXIV with IX, HCl yields 1-.alpha.-(4-chlorophenyl)ethyl)-2-chloromethylbenzimidazole which is allowed to react with VI to give 1-.alpha.-(4-chlorophenyl)ethyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]methylbenzimidazole, m. 149-50.degree.. A soln. of 10.8 g. XVI and 0.14 g. 4-nitrobenzyl chloride in 150 ml. EtOH is refluxed 2 hrs. and evapd. to dryness in vacuo and the residue triturated with H<sub>2</sub>O and dried to give 10.4 g. N-(4-nitrobenzyl)-o-phenylenediamine (XXV), m. 136-9.degree.. The rdn. of XXV with H in the presence of Raney Ni yields a product which is allowed to react with IX, HCl to give 1-(4-nitrobenzyl)-2-chloromethylbenzimidazole (XXVI) and then with V to give 60% 1-(4-nitrobenzyl)-2-[1-(methyl)-4-piperazinyl]methylbenzimidazole, m. 159-61.degree. (benzene). Similarly, 2-nitrobenzyl chloride is converted to 1-(2-nitrobenzyl)-2-chloromethylbenzimidazole, m. 158-60.degree.. and reaction with 62% 1-(2-nitrobenzyl)-2-[1-(cetyl)-4-piperazinyl]methylbenzimidazole, m. 182-3.degree. (MeOH). A mixt. of 23.3 g. N-(4-chlorobenzyl)-o-phenylenediamine, 16.3 g.  $\alpha$ .alpha.-chloropropionic acid, and 100 ml. 4N HCl is heated under N 3 hrs. and cooled. The ppt. is isolated and treated with VI to give 10 g. 1-(4-chlorobenzyl)-2-[1-(hydroxyethyl)-4-piperazinyl]ethylbenzimidazole-HCl, m. 193-5.degree.. Likewise, X and V give 83% 1-(4-chlorobenzyl)-2-(1-methyl-4-piperazinyl)methyl-5-chlorobenzimidazole, m. 160.1.degree. (CGH6 petr. ether). To a suspension of 16.1 g. p-toluenesulfon-2-nitro-4-methoxyanilide in 12.5 ml. 4N NaOH is added 6.45 g. p-ClC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>Cl, the mixt. stirred and refluxed 4 hrs., 9.66 g. addnl. p-ClC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>Cl added, the reflux continued 45 min., and 2.7 ml. 3% NaOH added. After a total reflux time of 8.5 hrs., the cool mixt. is extd. with Et<sub>2</sub>O and worked up to give 15 g. N-(4-chlorobenzyl)-N-(2-nitro-4-methoxyphenyl)-p-toluenesulfonamide (XXVII), m. 122-3.degree.. Hydrolysis of 30 g. XXVII in 440 ml. EtCO<sub>2</sub> and 1.2 ml. concd. H<sub>2</sub>SO<sub>4</sub> on H<sub>2</sub>O bath (93.degree.) 1.5 hrs. yields 12.5 g. red N-(4-chlorobenzyl)-N-(2-nitro-4-methoxyphenyl)amine, m. 129-30.degree. (MeOH), which is reduced with H and Raney Ni to give N-(4-chlorobenzyl)-N-(2-amino-4-methoxyphenyl)amine, (XXVIII), m. 92-3.degree.. A mixt. of 13 g. XXVIII and IX yields 13 g. 1-(4-chlorobenzyl)-2-chloromethyl-5-methoxybenzimidazole (XXIX), m. 122-3.degree.. An 80% yield of 1-(4-chlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]methyl-4-methoxybenzimidazole-HCl, m. 106-10.degree. (CGH6), results from the reaction of XXIX with VI. XXIX with V yields 1-(4-chlorobenzyl)-2-(1-methyl-4-piperazinyl)methyl-5-methoxybenzimidazole, m. 175.degree., and XXIX with III leads to 1-(4-chlorobenzyl)-2-[ethyl(2-hydroxyethyl)amino]methyl-5-methoxybenzimidazole, m. 157-9.degree.. A suspension of 18 g. 1-(2-hydroxybenzyl)-2-(1-methyl-4-piperazinyl)methylbenzimidazole, m. 243-5.degree. (prepd. by described methods), in 150 ml. Ac<sub>2</sub>O is stirred 5 hrs. at room temp. The soin. is evapd. in vacuo, ice H<sub>2</sub>O added, neutralized with NaHCO<sub>3</sub> soin., and after work up yields 18 g. 1-(2-acetoxybenzyl)-2-(1-methyl-4-piperazinyl)methylbenzimidazole, m. 145-7.degree. (CGH12CGH6). Similarly, prepd. are 1-(2-acetoxybenzyl)-2-[1-(2-acetoxybenzyl)-4-piperazinyl]methylbenzimidazole, m. 145-7.degree. (CGH12CGH6), 1-(2-acetoxybenzyl)-2-[1-(2-acetoxyethyl)-4-piperazinyl]methylbenzimidazole, m. 101-2.degree., and 1-(4-chlorobenzyl)-2-(1-(2-acetoxyethyl)-4-piperazinyl)methylbenzimidazole, m. 78-80.degree.. A mixt. of 10 g. N-(4-chlorobenzyl)-o-phenylenediamine (XXV), and 4.6 g. glycolic acid is heated to 100.degree. and after most

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 H<sub>2</sub>O is eliminated, the temp. is raised to 135.degree. and heated 1 hr. After cooling, the residue is dissolved in EtOH, the soin. heated, and H<sub>2</sub>O added followed by the addn. of NaHCO<sub>3</sub> soin. to yield 10 g. 1-(4-chlorobenzyl)-2-hydroxymethylbenzimidazole (XXXI), m. 131-2.degree. (aq. EtOH). XXXI is converted with SOCl<sub>2</sub> to its chloro deriv. which is allowed to react with VI to give 1-(4-chlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]methylbenzimidazole, m. 145.degree. K<sub>u</sub> c/w 1% yield 58% XXXI which is treated with V to give 73% 1-(4-chlorophenyl)-2-[1-(methyl)-4-piperazinyl]methylbenzimidazole, m. 99-100.degree.. A mixt. of 67.9 g. Me-.gamma.-bromobutyrate, 97.0% morphine, and 392 ml. PhMe is refluxed 3.5 hrs. After work-up and hydrolysis of the product, .gamma.-morpholinobutyric acid-H<sub>2</sub>O, (XXXII), m. 73-4.degree., is isolated. A mixt. of 10.5 g. XXXII, 11.6 g. XX, 12.7 g. H<sub>2</sub>O, 3.2 ml. EtOH, 2.4 g. HCl (concd.) and 2.4 g. H<sub>3</sub>PO<sub>4</sub> (concd.) is heated to 135-40.degree. 2 hrs. Work-up yields 9.1 g. 1-(4-chlorobenzyl)-2-[3-(4-morpholinyl)propyl]benzimidazole, m. 118-19.degree. HCl salt m. 171-3.degree.. By methods described above is also prepd. 1-benzyl-2-[2-(2-hydroxyethyl)-ethylamino]methylbenzimidazole-HCl, m. 174-5.degree.. Pharmacol. tests in animals are presented for a no. of the compds. prepd.

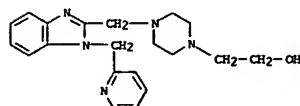
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 (prepn. of)

RN 7187-09-9 CAPLUS

CN 1-Piperazineethanol, 4-[(1-(4-pyridylmethyl)-2-benzimidazolyl)methyl]-  
 (7CI, 8CI) (CA INDEX NAME)



RN 7187-10-2 CAPLUS  
 CN 1-Piperazineethanol, 4-[(1-(2-pyridylmethyl)-2-benzimidazolyl)methyl]-  
 (7CI, 8CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	77.53	225.89
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-11.07	-11.07

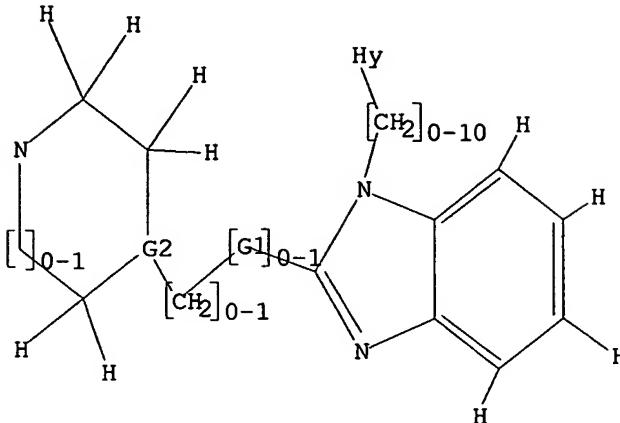
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G1 C,N,Ak,O,S,SO2,CH2  
 G2 C,N

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FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
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FILE COVERS 1907 - 2 Jun 2003 VOL 138 ISS 23  
FILE LAST UPDATED: 1 Jun 2003 (20030601/ED)

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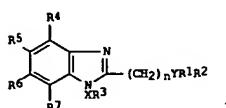
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L4 ANSWER 1 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2002:888718 CAPLUS  
 DOCUMENT NUMBER: 137:384842  
 TITLE: Benzimidazole compounds and antiviral uses thereof  
 INVENTOR(S): Lackey, John William; Kinder, Daniel S.; Tvermoes, Nicolai A.  
 PATENT ASSIGNEE(S): Trimeris, Inc., USA  
 SOURCE: PCT Int. Appl., 143 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092575	A1	20021121	WO 2002-US14598	20020510
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NO, NZ, OM, PE, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, EG, KZ, MD, RU, TJ, TM, RW: GH, GM, KR, LS, MW, MZ, SD, SL, SZ, T2, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
US 2001-290038P P 20010511				

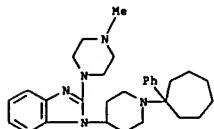
PRIORITY APPLN. INFO.: MARPAT 137:384842  
 OTHER SOURCE(S): GI



AB Title compds. I [R1, R2 = H, (un)substituted alkyl, cycloalkyl, heterocyclic, aryl, heteroaryl; R3 = H, halo, (un)substituted alkyl, Oh, alkoxyl, aryl, heterocyclic, heteroaryl; R4-R7 = H, halo, (un)substituted alkyl, CH, alkoxyl, aryl, heterocyclic, heteroaryl; X = bond, (un)substituted alkyl, CH2, alkylene, C1N, CO, P, Si Y = N, P, O, S; when Y = O, S, R2 is absent; n = 0-4] were prep'd. for use as virucides that inhibit membrane fusion assoc'd. events such as viral transmission, reduce viral load or otherwise treat viral infections, particularly that caused by Respiratory Syncytial Virus. Thus, I (R1 = cyclohexyl, R2 = CHMe2, Y = N, X = CH2, R3 = 2-quinolinyl, R4-R7 = H) had IC50 of 5.16 μm/g/mL.  
 IT 475648-96-5P  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prep'n. of benzimidazole derivs. as virucides for treating Respiratory

L4 ANSWER 2 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2002:10123 CAPLUS  
 DOCUMENT NUMBER: 136:64091  
 TITLE: Method and system for predicting pharmacokinetic properties  
 INVENTOR(S): Hattori, Kazunari; Shimada, Kaore; Uchiyama, Mamoru  
 PATENT ASSIGNEE(S): Pfizer Inc., USA  
 SOURCE: Eur. Pat. Appl., 27 pp.  
 CODEN: EPXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

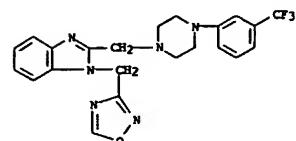
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EP 1167969	A2	20020102	EP 2001-304648	20010525
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US 2003069698	A1	20030410	US 2001-876767	20010607
JP 2003014728	A2	20030115	JP 2001-179774	20010614
PRIOIRTY APPLN. INFO.: US 2000-211864P P 20000614				
AB	This invention provides a method for predicting pharmacokinetic properties of mol's, comprising the steps of: (a) prep'g. 2D-structures of mol's. used as a training set; (b) constructing a 2D-fingerprint by counting the no. of structural descriptors that potentially relate to a pharmacokinetic property, either manually or automatically using internally developed macro; wherein said structural descriptors consist of predefined 20 to 80 atoms/fragments or substructures; (c) analyzing the obtained 2D-fingerprint by a statistical anal. method to correlate with the pharmacokinetic property of the mol. to yield a quant. structure-property relation (QSPR) model; and (d) calcg. the pharmacokinetic property of a trial mol. using the above obtained QSPR model. A system for this invention is also provided. According to this method and system, it is possible to predict pharmacokinetic properties of mol's. prior to synthesis, without labor-intensive and time-consuming experimentation.			
IT	258286-77-0 258286-79-2 258286-80-3 258286-26-5 RL: PK (Pharmacokinetics); PRP (Properties); BIOL (Biological study) (method and system for predicting pharmacokinetic properties)			
RN	258286-77-0 CAPLUS			
CN	1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)			



RN 258286-79-2 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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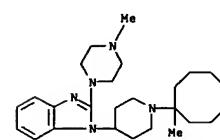
L4 ANSWER 1 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 Syncytial Virus infections  
 RN 475648-96-5 CAPLUS  
 CN 1H-Benzimidazole, 1-(1,2,4-oxadiazol-3-ylmethyl)-2-[(4-[3-(trifluoromethyl)phenyl]-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



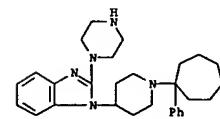
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

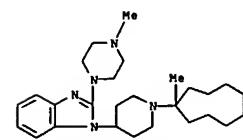
RN 258286-84-9 CAPLUS  
 CN 1H-Benzimidazole, 1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 258287-29-5 CAPLUS  
 CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 258287-68-2 CAPLUS  
 CN 1H-Benzimidazole, 1-[1-(1-methylcyclononyl)-4-piperidinyl]-2-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

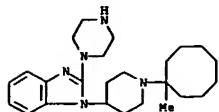


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L4 ANSWER 2 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

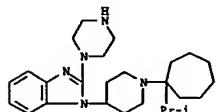
RN 258288-00-5 CAPLUS

CN 1H-Benzimidazole, 1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

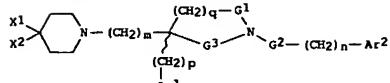


RN 258288-26-5 CAPLUS

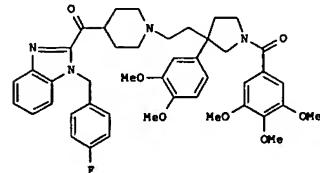
CN 1H-Benzimidazole, 1-[1-(1-methylethyl)cycloheptyl]-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



I



II

AB The present invention relates to novel substituted piperidine derivs. I wherein: G1 is CH2 or CO; G2 is CH2 or CO; m is 2 or 3; n is 0 or 1; q is 1 or 2; p is 0 or 1; Ar1 = (un)substituted Ph, naphthyl, pyridyl, thiienyl; Ar2 = (un)substituted Ph, pyridyl; X1 and X2 are defined in one of (A), (B), or (C): (A) X1 = H and X2 = substituted benzothiazole-2-carbonyl, diphenylmethyl, benzimidazol-2-carbonyl; (B) X1 = OH and X2 = substituted benzothiazol-2-yl, benzimidazol-2-yl; (C) X2 = (R5C6H4)C(Z1) (C6H4R6) wherein R5, R6 = from 1 to 3 substituents chosen independently from, e.g., H, halo, CF3, and X1 and Z1 taken together form a second bond between the carbon atoms bearing X1 and Z1; provided than when G1 is CO, then G2 and G3 are CH2, and that when G2 is CO, then G1 and G3 are CH2, and that when G3 is CO, then G1 and G2 are CH2; stereoisomers thereof, and pharmaceutically acceptable salts thereof which are useful as histamine receptor antagonists and tachykinin receptor antagonists. Such antagonists are useful in the treatment of allergic diseases including: seasonal rhinitis, allergic rhinitis, and sinusitis. Thus, e.g., substitution reaction of 4-[1-(4-fluorobenzyl)-1H-benzimidazol-2-carbonyl]piperidine with 1-(3,4,5-trimethoxybenzyl)-3-(3,4-dimethoxyphenyl)-3-(2-methanesulfonyloxyethyl)pyrrolidin (prepn. given) afforded II which exhibited H1 receptor antagonism in vitro with pA2 = 7.50, and NK1 receptor binding affinity with IC50 = 31 nM.

IT 178371-09-09 178371-09-09 178371-10-3P  
178371-11-4P 178371-15-8P 178371-35-2P  
178372-47-9P 178372-82-2P 178372-83-3P  
178372-84-4P 178372-85-5P 178372-92-4P  
178372-93-5P 178373-06-3P 178373-07-4P  
178373-36-9P 178373-37-0P 178373-45-0P  
178373-46-1P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(1-benzyl-3-[2-(4-(1H-benzimidazole-2-carbonyl)piperidin-1-yl)ethyl]-3-phenylpyrrolidine derivs. and analogs as histamine and tachykinin receptor antagonists useful for the treatment of allergic diseases)

RN 178371-08-9 CAPLUS

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L4 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:1896499 CAPLUS

DOCUMENT NUMBER: 136:20072

TITLE: 1-Benzoyl-3-[2-(4-(1H-benzimidazole-2-carbonyl)piperidin-1-yl)ethyl]-3-phenylpyrrolidine derivatives and analogs as histamine and tachykinin receptor antagonists useful for the treatment of allergic diseases

INVENTOR(S): Burkholder, Timothy P.; Bratton, Larry D.; Kudlacz, Elizabeth M.; Maynard, George P.; Kane, John M.; Santiago, Braulio

PATENT ASSIGNEE(S): Aventis Pharmaceuticals, Inc., USA

SOURCE: U.S., 77 pp., Cont.-in-part of U.S. Ser. No. 501,914, abandoned.

CODEN: USXXAK

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6329392	B1	20011211	US 1998-79924	19980515
CA 2198084	AA	19960229	CA 1995-2198084	19950817
CH 1158612	A	19970903	CN 1995-195203	19950817
CH 1067385	B	20010620		
HU 76644	A2	19971028	HU 1997-1257	19950817
HU 221434	B	20021028		
AT 177095	E	19990315	AT 1995-931551	19950817
ES 2132709	T3	19990816	ES 1995-931551	19950817
ZA 9507033	A	19960416	ZA 1995-7033	19950822
IL 115040	A1	20000229	IL 1995-115040	19950823
TV 430663	B	20010421	TV 1995-84108797	19950823

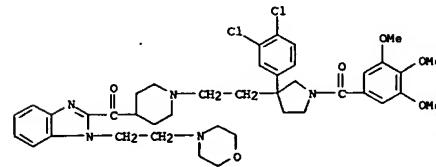
PRIORITY APPLN. INFO.: US 1994-295960 B2 19940825  
US 1995-501914 B2 19950713

OTHER SOURCE(S): MARPAT 136:20072

GI

L4 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-[4-[(1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-2-yl)carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



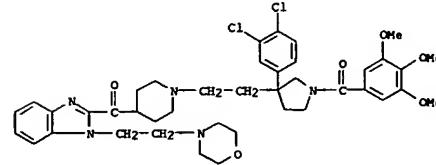
RN 178371-09-0 CAPLUS

CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-[4-[(1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-2-yl)carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

CM 1

CRN 178371-08-9

CMF C41 H49 Cl2 N5 O6



CM 2

CRN 75-75-2

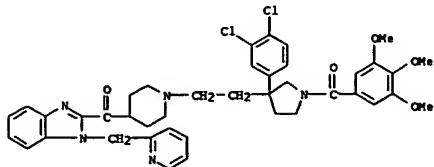
CMF C H4 O3 S



RN 178371-10-3 CAPLUS

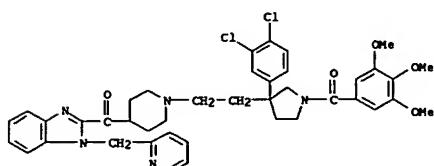
6/02/2003

L4 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 178371-11-4 CAPLUS  
 CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 178371-10-3  
CMF C41 H43 Cl2 NS OS

CM 2

CRN 75-75-2  
CMF C H4 O3 S

L4 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 178372-82-2 CAPLUS  
 CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-[(4-[(1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-2-yl)carbonyl]-1-piperidinyl)ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

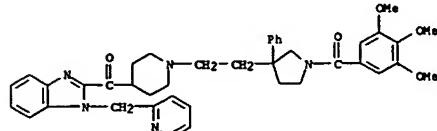
RN 178372-83-3 CAPLUS  
 CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-[(1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-2-yl)carbonyl]-1-piperidinyl)ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

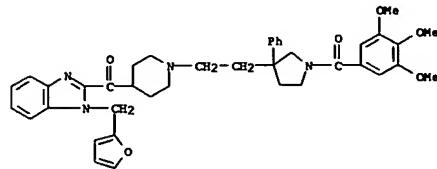
L4 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 178371-15-8 CAPLUS  
 CN Pyrrolidine, 3-phenyl-3-[2-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

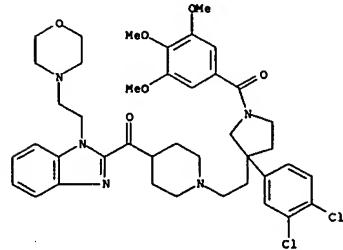


RN 178371-35-2 CAPLUS  
 CN Pyrrolidine, 3-[2-[(1-(2-furanyl)ethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl)ethyl]-3-phenyl-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



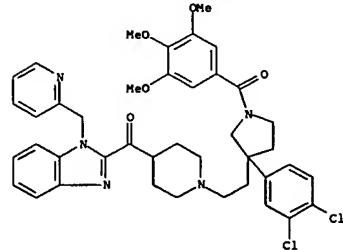
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 CN Pyrrolidine, 3-(4-methoxyphenyl)-3-[2-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)carbonyl]-1-piperidinyl)ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



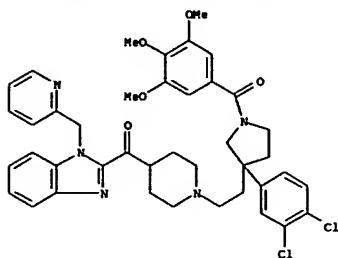
RN 178372-84-4 CAPLUS  
 CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)carbonyl]-1-piperidinyl)ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



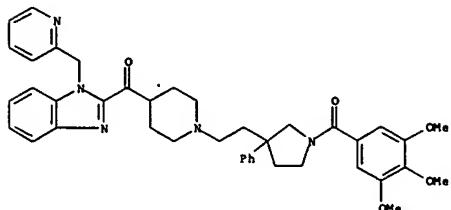
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 CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)carbonyl]-1-piperidinyl)ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



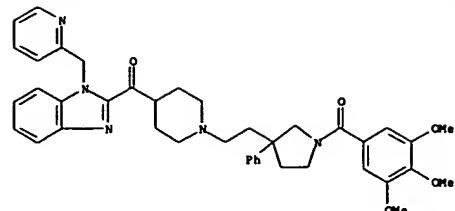
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 CN Pyrrolidine, 3-phenyl-3-[2-[4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



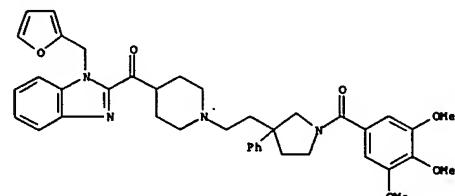
RN 178372-93-5 CAPLUS  
 CN Pyrrolidine, 3-phenyl-3-[2-[4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



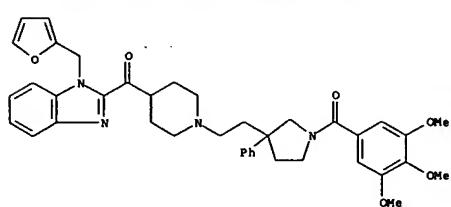
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 CN Pyrrolidine, 3-[2-(4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)carbonyl]-1-piperidinyl)ethyl]-3-phenyl-1-(3,4,5-trimethoxybenzoyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



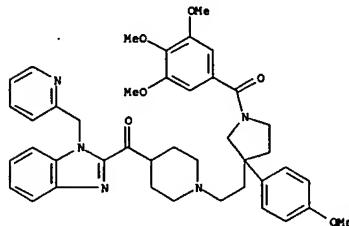
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Rotation (-).



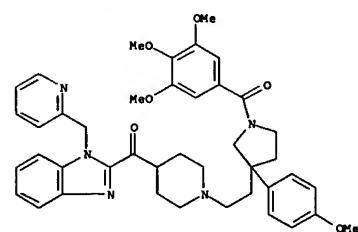
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Rotation (+).



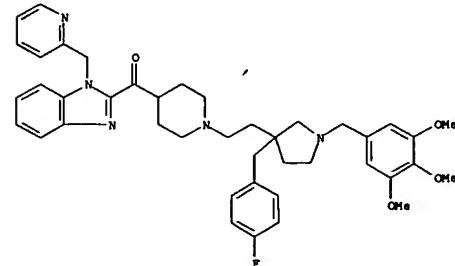
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Rotation (-).



RN 178373-45-0 CAPLUS  
 CN Methanone, [1-[2-[3-[(4-fluorophenyl)methyl]-1-[(3,4,5-trimethoxyphenyl)methyl]-3-pyrrolidinyl]ethyl]-4-piperidinyl][1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]-, (+)- (9CI) (CA INDEX NAME)

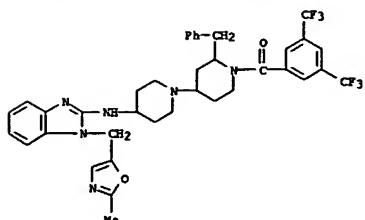
Rotation (+).



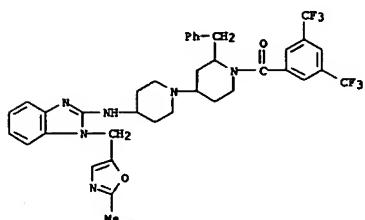
RN 178373-46-1 CAPLUS  
 CN Methanone, [1-[2-[3-[(4-fluorophenyl)methyl]-1-[(3,4,5-trimethoxyphenyl)methyl]-3-pyrrolidinyl]ethyl]-4-piperidinyl][1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

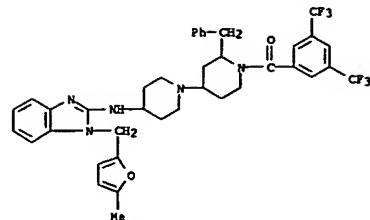




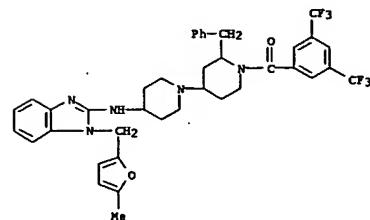
RN 193201-94-4 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, 1'-(3,5-bis(trifluoromethyl)benzoyl)-N-[1-((2-methyl-5-oxazolyl)methyl)-1H-benzimidazol-2-yl]-2'-(phenylmethyl)- (9CI)  
 (CA INDEX NAME)



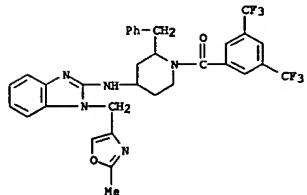
RN 193201-97-7 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, 1'-(3,5-bis(trifluoromethyl)benzoyl)-N-[1-((5-methyl-2-furanyl)methyl)-1H-benzimidazol-2-yl]-2'-(phenylmethyl)- (9CI)  
 (CA INDEX NAME)



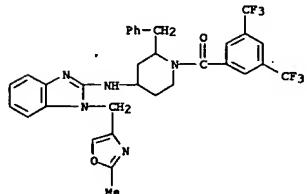
RN 193201-97-7 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, 1'-(3,5-bis(trifluoromethyl)benzoyl)-N-[1-((5-methyl-2-furanyl)methyl)-1H-benzimidazol-2-yl]-2'-(phenylmethyl)- (9CI)  
 (CA INDEX NAME)



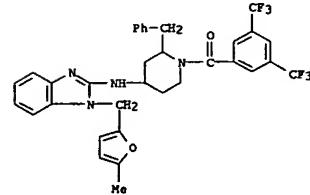
RN 193201-99-9 CAPLUS  
 CN 4-Piperidinamine, 1-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-((2-methyl-4-oxazolyl)methyl)-1H-benzimidazol-2-yl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)



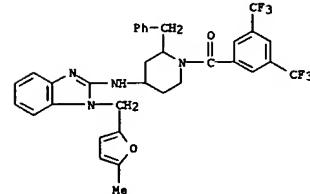
RN 193201-99-9 CAPLUS  
 CN 4-Piperidinamine, 1-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-((2-methyl-4-oxazolyl)methyl)-1H-benzimidazol-2-yl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 336790-67-1 CAPLUS  
 CN 4-Piperidinamine, 1-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-((5-methyl-2-furanyl)methyl)-1H-benzimidazol-2-yl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

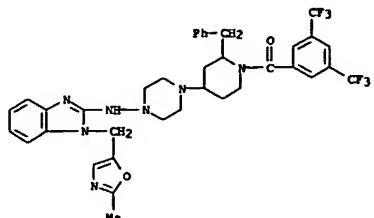


RN 336790-67-1 CAPLUS  
 CN 4-Piperidinamine, 1-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-((5-methyl-2-furanyl)methyl)-1H-benzimidazol-2-yl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)



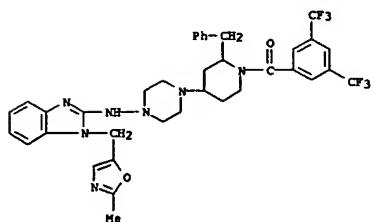
RN 336790-68-6 CAPLUS  
 CN Piperazine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[4-[(1-((2-methyl-5-oxazolyl)methyl)-1H-benzimidazol-2-yl)amino]-1-piperazinyl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 336790-88-6 CAPLUS

CN Piperidine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[4-[(1-[(2-methyl-5-oxo-1,3-dihydro-2H-1,2-dioxole-3-yl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperazinyl]-2-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 46 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001240149 CAPLUS

DOCUMENT NUMBER: 134266309

TITLE: Preparation of 4-(2-benzimidazolylamino)piperidines as histamine and tachykinin receptor antagonists

INVENTOR(S): Kane, John M.; Maynard, George D.; Burkholder, Timothy P.; Bratton, Larry D.; Dalton, Christopher R.; Santiago, Braulio; Kudlacek, Elizabeth M.

PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA

SOURCE: U.S., 106 pp., Cont.-in-part of U.S. Ser. No. 734,508, abandoned.

COUN: USXXAN

DOCUMENT TYPE: Patent

LANGUAGE: English

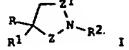
FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6211199	B1	20010403	US 1997-513846	19971215
			PRIORITY APPLN. INFO.:	US 1995-34609P P 19951117
				US 1996-734508 B2 19961017

OTHER SOURCE(S): MARPAT 134:266309

GI



AB Title compds., e.g., I [R = R424(CH<sub>2</sub>)<sub>n</sub>; R1 = (un)substituted Ph, -pyridinyl, -thienyl, etc.; R2 = (un)substituted (alkylenedioxy) benzyl, -benzoyl, etc.; R4 = e.g., (un)substituted 2-benzimidazolylamino; Z, Z1 = CH<sub>2</sub> or CD; Z4 = piperidine-4,1-diy]; n = 2 or 3] were prep'd. as histamine and tachykinin receptor antagonists (no data). Thus, 4-(1-(2-methoxy-5-(1-tetrazolyl)benzoyl)-3-phenyl-3-pyrrolidinyl)ethyl methanesulfonate (prep'd. each given) to give I [R = R424(CH<sub>2</sub>)<sub>2</sub>, R1 = Ph, R2 = 2-methoxy-5-(1-tetrazolyl)benzyl, R4 = 1-(2-furylmethyl)-2-benzimidazolylamino, Z = Z1 = CH<sub>2</sub>, Z4 = piperidine-4,1-diy].

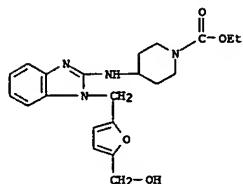
IT 132551-78-1 132552-50-29 191605-13-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; prep'n. of (benzimidazolylamino)piperidines as antiallergics)

RN 132551-78-1 CAPLUS

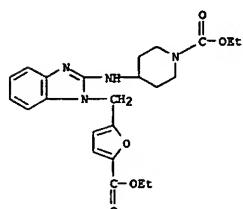
CN 1-Piperidinecarboxylic acid, 4-[(3-[(5-(hydroxymethyl)-2-furanyl]methyl)-1H-benzimidazol-2-yl]amino], ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



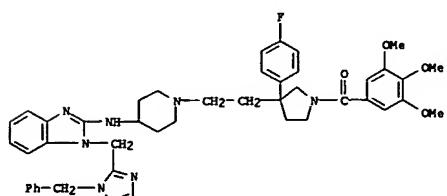
RN 132552-50-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(1-[(5-(ethoxycarbonyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 191605-13-7 CAPLUS

CN Pyrrolidine, 3-(4-fluorophenyl)-3-[2-[4-[(1-[(1-phenylmethyl)-1H-imidazol-2-yl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

IT 191603-66-4P 191603-70-0P 191603-80-2P

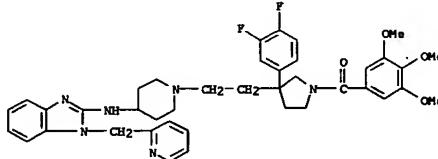
191603-16-0P 332046-17-0P 332046-53-4P  
332046-54-5P 332046-61-4P 332046-62-5P  
332046-65-8P 332046-70-5P 332046-72-7P  
332046-74-9P 332046-76-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (therapeutic use); BIOL (biological study); PREP (Preparation); USES (Uses)

(prep'n. of (benzimidazolylamino)piperidines as antiallergics)

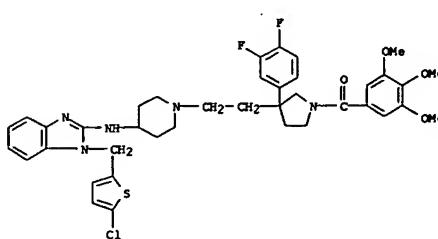
RN 191603-66-4 CAPLUS

CN Pyrrolidine, 3-[(3,4-difluorophenyl)-3-[2-[4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



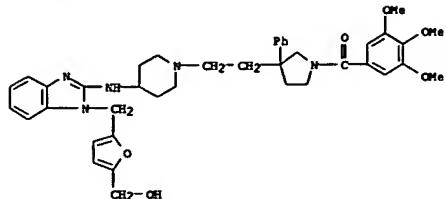
RN 191603-70-0 CAPLUS

CN Pyrrolidine, 3-[2-[4-[(1-[(5-chloro-2-thienyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-3-(3,4-difluorophenyl)-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 191603-80-2 CAPLUS

CN Pyrrolidine, 3-[2-[4-[(1-[(5-hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-3-phenyl-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

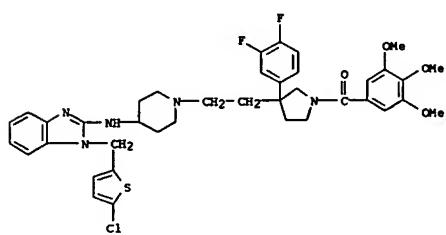


RN 191605-16-0 CAPLUS  
 CN Pyrrolidine, 3-[2-[4-[(1-((5-chloro-2-thienyl)methyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-3-(3,4-difluorophenyl)-1-(3,4,5-trimethoxybenzoyl)-, dimethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 191603-70-0

CMF C39 H42 Cl F2 N5 O4 S



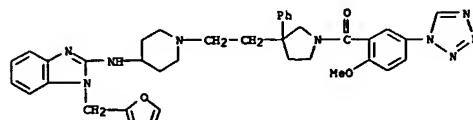
CM 2

CRN 75-75-2

CMF C H4 O3 S



RN 332046-17-0 CAPLUS  
 CN Pyrrolidine, 3-[2-[(1-(2-furanyl)methyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-1-[2-methoxy-5-(1H-tetrazol-1-yl)benzoyl]-3-phenyl- (9CI) (CA INDEX NAME)

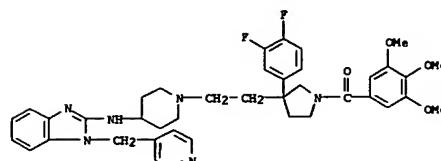


CN Pyrrolidine, 3-(3,4-difluorophenyl)-3-[2-[4-[(1-(4-pyridinyl)methyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 332046-52-3

CMF C40 H44 F2 N6 O4



CM 2

CRN 75-75-2

CMF C H4 O3 S

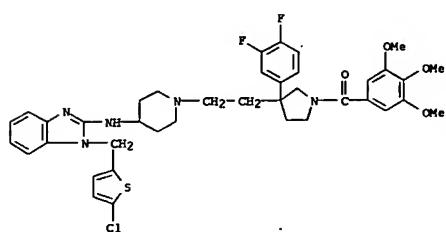


RN 332046-54-5 CAPLUS  
 CN Pyrrolidine, 3-[2-[4-[(1-((5-chloro-2-thienyl)methyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-3-(3,4-difluorophenyl)-1-(3,4,5-trimethoxybenzoyl)-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 191603-70-0

CMF C39 H42 Cl F2 N5 O4 S



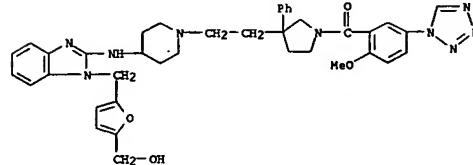
CM 2

CRN 75-75-2

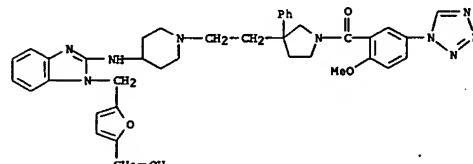
CMF C H4 O3 S



RN 332046-61-4 CAPLUS  
 CN Pyrrolidine, 3-[2-[4-[(1-((5-(hydroxymethyl)-2-furanyl)methyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-1-[2-methoxy-5-(1H-tetrazol-1-yl)benzoyl]-3-phenyl- (9CI) (CA INDEX NAME)

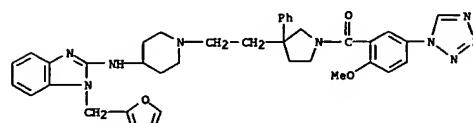


CN Pyrrolidine, 3-[2-[4-[(1-((5-(hydroxymethyl)-2-furanyl)methyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-1-[2-methoxy-5-(1H-tetrazol-1-yl)benzoyl]-3-phenyl-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

CN Pyrrolidine, 3-[2-[4-[(1-(2-furanyl)methyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-1-[2-methoxy-5-(1H-tetrazol-1-yl)benzoyl]-3-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

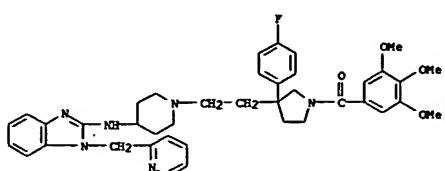


● x HCl

L4 ANSWER 5 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 332046-70-5 CAPLUS

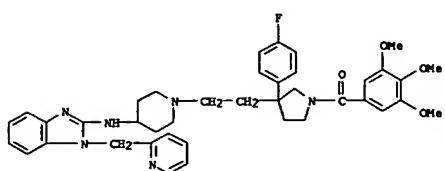
CN Pyrrolidine, 3-[(4-fluorophenyl)-3-[2-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-(9CI) (CA INDEX NAME)



RN 332046-72-7 CAPLUS

CN Pyrrolidine, 3-[(4-fluorophenyl)-3-[2-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, methanesulfonate (9CI) (CA INDEX NAME)

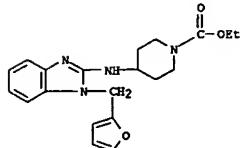
CM 1

CRN 332046-70-5  
CMF C40 H45 F 66 04

CM 2

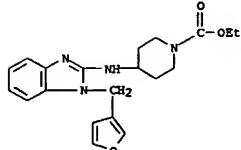
CRN 75-75-2  
CMF C 14 H 20 O 3 S

L4 ANSWER 5 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



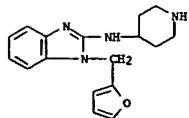
RN 90518-58-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(1-(3-furanylmethyl)-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 90518-67-5 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-(2-furanylmethyl)-N-4-piperidinyl- (9CI) (CA INDEX NAME)



RN 132551-87-2 CAPLUS

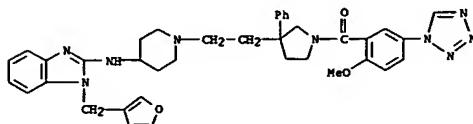
CN 2-Furansmethanol, 5-[(2-(4-piperidinylamino)-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



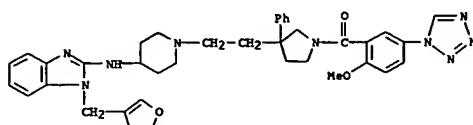
RN 332046-74-9 CAPLUS

CN Pyrrolidine, 3-[(2-[(1-(3-furanylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl)ethyl]-1-[2-methoxy-5-(1H-tetrazol-1-yl)benzoyl]-3-phenyl- (9CI) (CA INDEX NAME)



RN 332046-76-1 CAPLUS

CN Pyrrolidine, 3-[(2-[(1-(3-furanylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl)ethyl]-1-[2-methoxy-5-(1H-tetrazol-1-yl)benzoyl]-3-phenyl-, hydrochloride (9CI) (CA INDEX NAME)



• x HCl

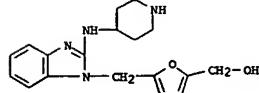
IT 90518-53-9P 90518-58-4P 90518-67-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of (benzimidazolylamino)piperidines as antiallergics)

RN 90518-53-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

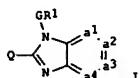


REFERENCE COUNT: 74 THERE ARE 74 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 46 CAPIUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2001:12444 CAPIUS  
 DOCUMENT NUMBER: 134:86248  
 TITLE: Preparation of benzimidazoles as respiratory syncytial virus replication inhibitors.  
 INVENTOR(S): Janssens, Frans Eduard; Meersman, Kathleen Petrus  
 Marie-José; Sommen, Francois Maria; Guillemont, Jerome  
 Emile Georges; Lacrampe, Jean Fernand Armand; Andries,  
 Koensraed Jozef Lodewijk Marcel  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
 SOURCE: PCT Int. Appl., 119 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000611	A1	20010104	WO 2000-EP5676	20000620
W: AE, AG, AL, AM, AT, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW	AM, AZ, BY, KG, KZ, MD, RU, TJ, TH, TZ, UG, ZW	AT, BE, CH, CR, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BE, BY, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
BR 2000012054	A	20020319	BR 2000-12054	20000620
EP 1196408	A1	20020417	EP 2000-943841	20000620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2003503401	T2	20030128	JP 2001-507020	20000620
EP 200100692	A	20030217	EE 2001-692	20000620
NO 2001006368	A	20020228	NO 2001-6368	20011227
BG 106287	A	20021031	BG 2002-106287	20020108
PRIORITY APPN. INFO.:			EP 1999-202087 A	19990628
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			VO 2000-EP5676 W	20000620

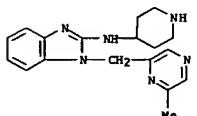
OTHER SOURCE(S): MARPAT 134:86248  
 GI



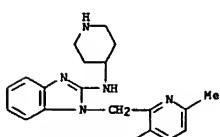
AB Use of title compds. [I: a1:a2:a3:a4 = (substituted) CH:CHCH:CH, N:CHCH:CH,

ANSWER 6 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 317846-73-4P 317846-74-5P 317846-75-6P  
 317846-76-7P 317846-77-8P 317846-78-9P  
 317846-80-3P 317846-82-5P 317846-83-6P  
 317846-84-7P 317846-85-8P 317846-87-0P  
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 317847-33-9P 317847-35-1P 317847-36-2P  
 317847-85-1P 317847-94-2P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of benzimidazoles as respiratory syncytial virus replication inhibitors)

RN: 317846-01-8 CAPIUS  
 CN: 1H-Benzimidazol-2-amine, 1-[(6-methylpyrazinyl)methyl]-N-4-piperidinyl- (9CI) (CA INDEX NAME)



RN: 317846-03-0 CAPIUS  
 CN: Ethanol, 2-[(6-methyl-2-[(2-(4-piperidinylamino)-1H-benzimidazol-1-yl)methyl]-3-pyridinyl)oxy]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

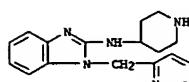
RN: 317846-04-1 CAPIUS  
 CN: 3,4-Pyridinedimethanol, 5-hydroxy-6-[(2-(4-piperidinylamino)-1H-benzimidazol-1-yl)methyl]-, trihydrochloride (9CI) (CA INDEX NAME)

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ANSWER 6 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 CH:N=CH:CH, CH:CH:N=CH, CH:CHCH:N=CH, Q = R2NCH2N1, R2R4NCH2N1, specified (heterocyclic) ring, etc.; A = alkynes; R2 = H, CH3, alkylcarbonyl, pyrrolidinyl, piperidinyl, homopiperidinyl, aminocycloalkyl, etc.; R4 = H, alkyl, aralkyl; G = bond, alkanediyl; R1 = (substituted) piperidinyl, piperazinyl, pyridyl, pyrazinyl, pyridazinyl, pyrrolyl, furyl, thiényl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, etc.) for treatment of viral infection is claimed. Thus, 1,1-dimethylethyl 4-[(1-[(3,5-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-c]pyridin-2-yl)amino]-1-piperidinecarboxylate was refluxed 6 h in 10N HCl to give 4-[(1-[(3,5-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-c]pyridin-2-yl)amino]-1-piperidinecarboxylate with IC50 = 0.00013-2.5119 .μM.

IT 317846-43-8P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (prepn. of benzimidazoles as respiratory syncytial virus replication inhibitors)

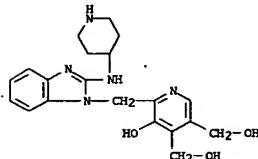
RN: 317846-43-8 CAPIUS  
 CN: 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(2-pyridinylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

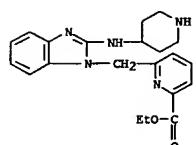
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 317846-08-5P 317846-09-6P 317846-10-9P  
 317846-11-0P 317846-12-1P 317846-13-2P  
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 317846-45-4P 317846-46-1P 317846-47-2P  
 317846-48-3P 317846-49-4P 317846-50-7P  
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ANSWER 6 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

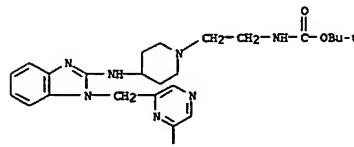


●3 HCl

RN: 317846-08-5 CAPIUS  
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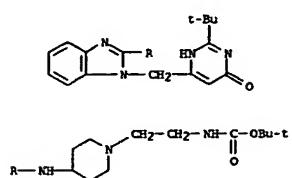


RN: 317846-09-6 CAPIUS  
 CN: Carbamic acid, [2-[(1-[(6-methylpyrazinyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-, 1,1-dimethyl-ethyl ester (9CI) (CA INDEX NAME)

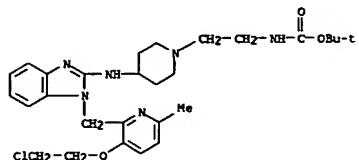


RN: 317846-10-9 CAPIUS  
 CN: Carbamic acid, [2-[(1-[(2-(1,1-dimethylethyl)-1,6-dihydro-6-oxo-4-pyridinyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-, 1,1-dimethyl-ethyl ester (9CI) (CA INDEX NAME)

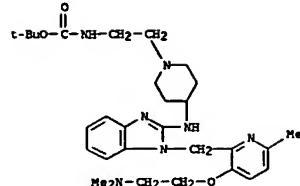
6/02/2003



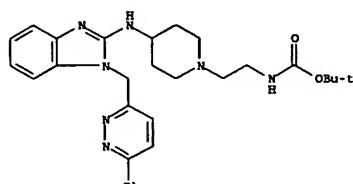
RN 317846-11-0 CAPLUS  
 CN Carbamic acid, [2-[(1-[(3-(2-chloroethoxy)-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



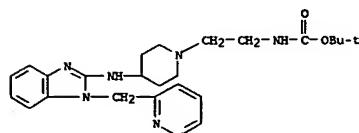
RN 317846-12-1 CAPLUS  
 CN Carbamic acid, [2-[4-[(1-[(3-(2-(dimethylamino)ethoxy)-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



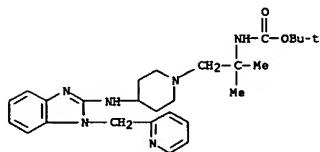
RN 317846-13-2 CAPLUS  
 CN Carbamic acid, [2-[(1-[(6-chloro-3-pyridazinyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



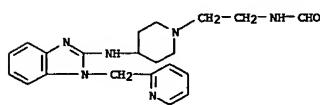
RN 317846-14-3 CAPLUS  
 CN Carbamic acid, [2-[4-[(1-(3-pyridazinylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



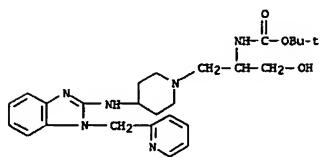
RN 317846-17-6 CAPLUS  
 CN Carbamic acid, [2-[(1,1-dimethyl-2-[(4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



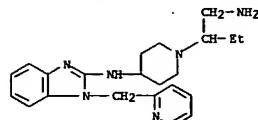
RN 317846-19-8 CAPLUS  
 CN Formamide, N-[2-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



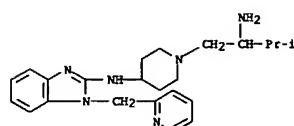
RN 317846-20-1 CAPLUS  
 CN Carbamic acid, [1-(hydroxymethyl)-2-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



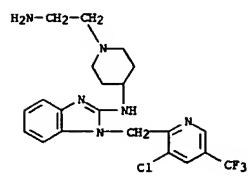
RN 317846-28-9 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-[(aminomethyl)propyl]-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 317846-29-0 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

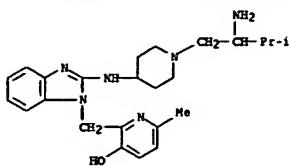


RN 317846-30-3 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(3-chloro-5-(trifluoromethyl)-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

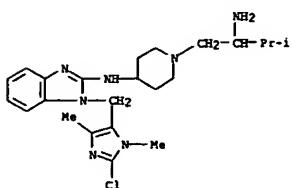


RN 317846-31-4 CAPLUS  
 CN 3-Pyridinol, 2-[(1-[(2-amino-3-methylbutyl)-4-piperidinyl]amino)-1H-benzimidazol-1-yl)methyl]-6-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

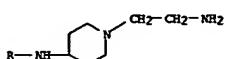
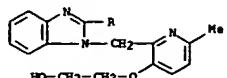


RN 317846-32-5 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(2-chloro-1,4-dimethyl-1H-imidazol-5-yl)methyl] (9CI) (CA INDEX NAME)



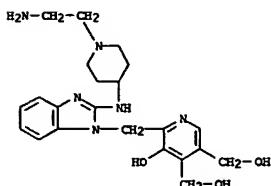
RN 317846-33-6 CAPLUS  
 CN Ethanol, 2-[(2-[(1-(2-aminoethyl)-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl]-6-methyl-3-pyridinyl]oxy]-, trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



● 3 HCl

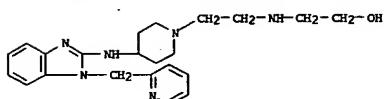
RN 317846-34-7 CAPLUS  
 CN 3,4-Pyridinedimethanol, 6-[(2-[(1-(2-aminoethyl)-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl]-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)



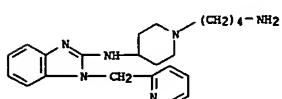
● HCl

RN 317846-36-9 CAPLUS  
 CN Ethanol, 2-[(2-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl)ethyl]amino]- (9CI) (CA INDEX NAME)

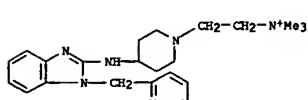
L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 317846-39-2 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(4-aminobutyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

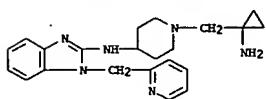


RN 317846-42-7 CAPLUS  
 CN 1-Piperidinethanaminium, N,N,N-trimethyl-4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-, iodide (9CI) (CA INDEX NAME)



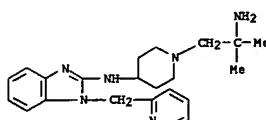
RN 317846-44-9 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-((1-aminocyclopropyl)methyl)-4-piperidinyl]-1-(2-pyridinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



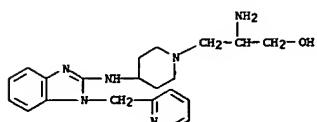
● 4 HCl

RN 317846-45-0 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-2-methylpropyl)-4-piperidinyl]-1-(2-pyridinylmethyl)-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

RN 317846-46-1 CAPLUS  
 CN 1-Piperidinopropanol,  $\beta$ -amino-4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-, tetrahydrochloride (9CI) (CA INDEX NAME)

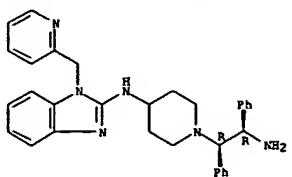


● 4 HCl

RN 317846-47-2 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-((1R,2R)-2-amino-1,2-diphenylethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)-, rel- (9CI) (CA INDEX NAME)

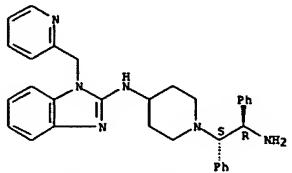
Relative stereochemistry.

6/02/2003

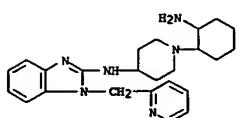


RN 317846-48-3 CAPLUS  
CN 1H-Benzimidazol-2-amine, N-[1-[(1R,2S)-2-amino-1,2-diphenylethyl]-4-piperidinyl]-1-(2-pyridinylmethyl)-, rel- (9CI) (CA INDEX NAME)

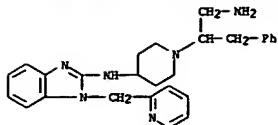
Relative stereochemistry.



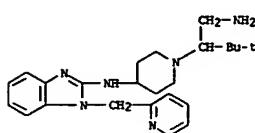
RN 317846-49-4 CAPLUS  
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminocyclohexyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



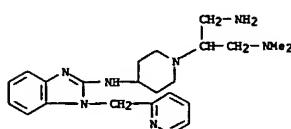
RN 317846-50-7 CAPLUS  
CN 1H-Benzimidazol-2-amine, N-[1-[(aminomethyl)-2-phenylethyl]-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



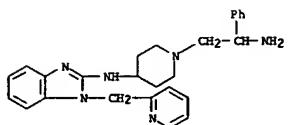
RN 317846-51-8 CAPLUS  
CN 1H-Benzimidazol-2-amine, N-[1-(1-aminomethyl)-2,2-dimethylpropyl]-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



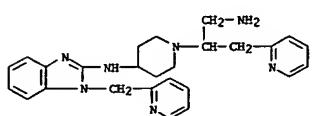
RN 317846-52-9 CAPLUS  
CN 1,3-Propanediamine, N,N-dimethyl-2-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl)- (9CI) (CA INDEX NAME)



RN 317846-53-0 CAPLUS  
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-2-phenylethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

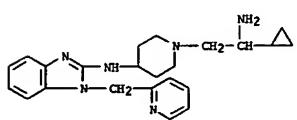


RN 317846-54-1 CAPLUS  
CN 1H-Benzimidazol-2-amine, N-[1-[(aminomethyl)-2-(2-pyridinyl)ethyl]-4-piperidinyl]-1-(2-pyridinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)

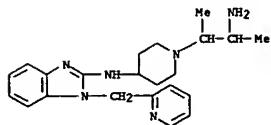


•4 HCl

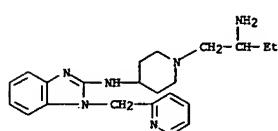
RN 317846-55-2 CAPLUS  
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-2-cyclopropylethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



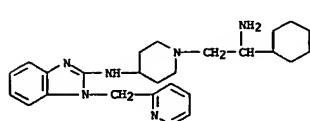
RN 317846-56-3 CAPLUS  
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-1-methylpropyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



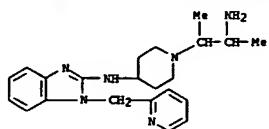
RN 317846-57-4 CAPLUS  
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminobutyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 317846-58-5 CAPLUS  
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-2-cyclohexylethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

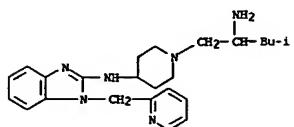


RN 317846-59-6 CAPLUS  
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-1-methylpropyl)-4-piperidinyl]-1-(2-pyridinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)

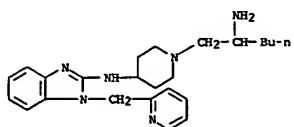


•4 HCl

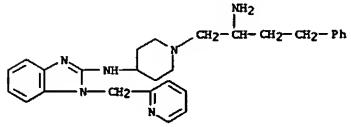
RN 317846-60-9 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-4-methylpentyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



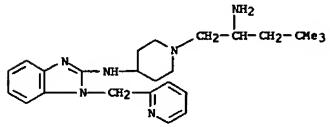
RN 317846-61-0 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminohexyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 317846-62-1 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-5-methylhexyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



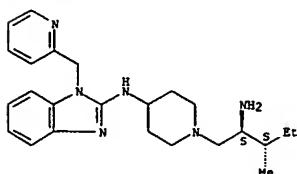
RN 317846-66-5 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-4,4-dimethylpentyl)-4-piperidinyl]-1-(2-pyridinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)



•4 HCl

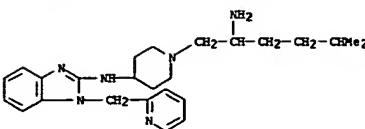
RN 317846-67-6 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2R,3R)-2-amino-3-methylpentyl]-4-piperidinyl]-1-(2-pyridinylmethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

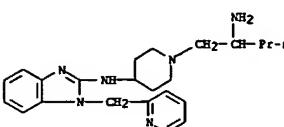


RN 317846-68-7 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2R,3S)-2-amino-3-methylpentyl]-4-piperidinyl]-1-(2-pyridinylmethyl)-, rel- (9CI) (CA INDEX NAME)

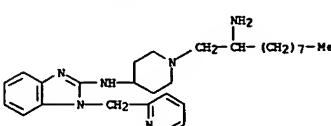
Relative stereochemistry.



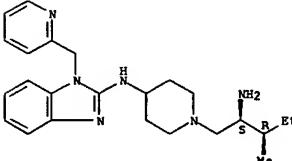
RN 317846-63-2 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminopentyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



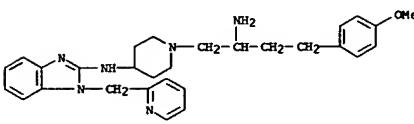
RN 317846-64-3 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminodecyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



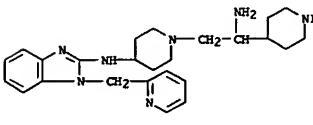
RN 317846-65-4 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-4-phenylbutyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 317846-69-8 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-4-(4-methoxyphenyl)butyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

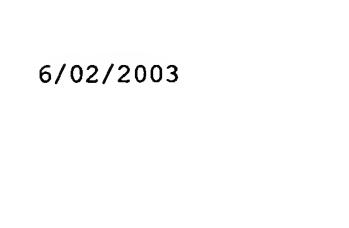


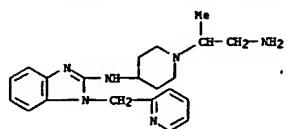
RN 317846-70-1 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-2-(4-piperidinyl)ethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)-, pentahydrochloride (9CI) (CA INDEX NAME)



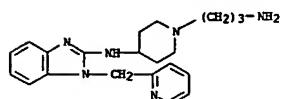
•5 HCl

RN 317846-71-2 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-1-methylethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



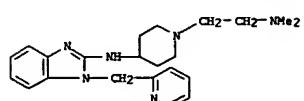


RN 317846-73-4 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(3-aminopropyl)-4-piperidinyl]-1-(2-pyridinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)



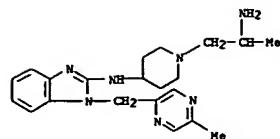
●4 HCl

RN 317846-74-5 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(dimethylamino)ethyl]-4-piperidinyl]-1-(2-pyridinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)

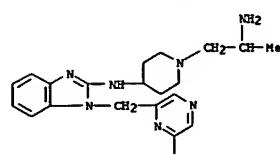


●4 HCl

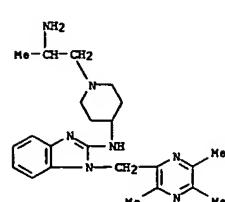
RN 317846-75-6 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminopropyl)-4-piperidinyl]-1-[(5-methylpyrazinyl)methyl]- (9CI) (CA INDEX NAME)



RN 317846-76-7 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminopropyl)-4-piperidinyl]-1-[(6-methylpyrazinyl)methyl]- (9CI) (CA INDEX NAME)

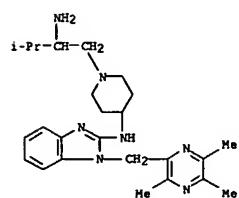


RN 317846-77-8 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminopropyl)-4-piperidinyl]-1-[(trimethylpyrazinyl)methyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

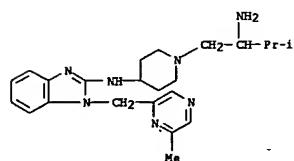


●4 HCl

RN 317846-78-9 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(trimethylpyrazinyl)methyl]- (9CI) (CA INDEX NAME)

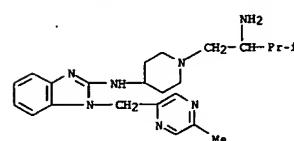


RN 317846-80-3 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(6-methylpyrazinyl)methyl]-, trihydrochloride (9CI) (CA INDEX NAME)

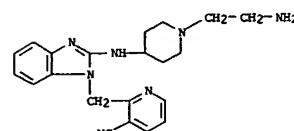


●3 HCl

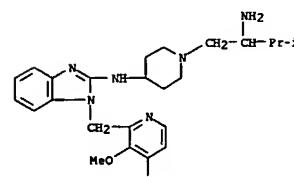
RN 317846-82-5 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(5-methylpyrazinyl)methyl]- (9CI) (CA INDEX NAME)



RN 317846-83-6 CAPLUS  
 CN 3-Pyridinecarbonitrile, 2-[[2-[(1-(2-aminoethyl)-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



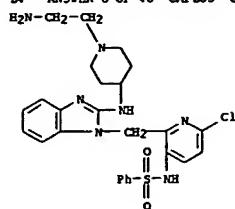
RN 317846-84-7 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(3,4-dimethoxy-2-pyridinyl)methyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

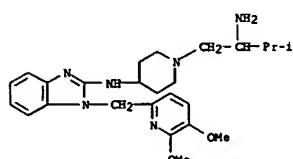
RN 317846-85-8 CAPLUS  
 CN Benzenesulfonamide, N-[2-[[2-[(1-(2-aminoethyl)-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl]-6-chloro-3-pyridinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 317846-87-0 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(5,6-dimethoxy-2-pyridinyl)methyl]-, ethanedioate (2:7) (9CI) (CA INDEX NAME)

CH 1

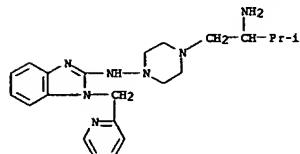
CRN 317846-86-9  
 CMF C25 H36 N6 O2

CH 2

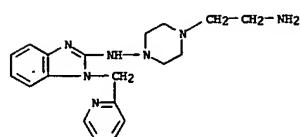
CRN 144-62-7  
 CMF C2 H2 O4

RN 317846-92-7 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(methylamino)ethyl]-4-piperidinyl]-1-(2-pyridinylmethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)

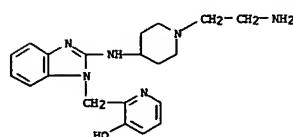
L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 317846-98-3 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[4-(2-aminoethyl)-1-piperazinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

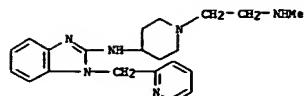


RN 317847-00-0 CAPLUS  
 CN 3-Pyridinol, 2-[(1-(2-aminoethyl)-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl)- (9CI) (CA INDEX NAME)



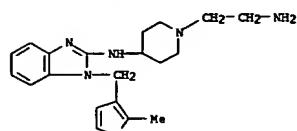
RN 317847-06-6 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminopropyl)-4-piperidinyl]-1-[(trimethylpyrazinyl)methyl]-, tetrahydrochloride, trihydrate (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

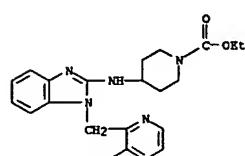


● 4 HCl

RN 317846-93-8 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-methyl-3-furanyl)methyl]- (9CI) (CA INDEX NAME)

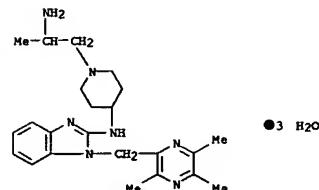


RN 317846-96-1 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-(3-amino-2-pyridinyl)methyl)-1H-benzimidazol-2-yl]amino-, ethyl ester (9CI) (CA INDEX NAME)



RN 317846-97-2 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[4-(2-amino-3-methylbutyl)-1-piperazinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

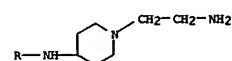
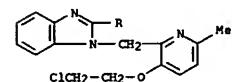
L4 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



● 3 H2O

● 4 HCl

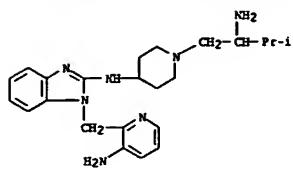
RN 317847-07-7 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(3-(2-chloroethoxy)-6-methyl-2-pyridinyl)methyl]-, trihydrochloride, dihydrate (9CI) (CA INDEX NAME)



● 3 HCl

● 2 H2O

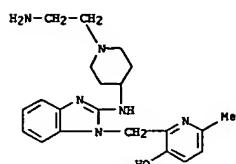
RN 317847-08-8 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(3-amino-2-pyridinyl)methyl]-, tetrahydrochloride, trihydrate (9CI) (CA INDEX NAME)



● 4 HCl

● 3 H<sub>2</sub>O

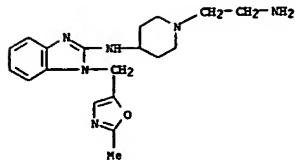
RN 317847-16-8 CAPLUS  
 CN 3-Pyridinol, 2-[(1-(2-aminoethyl)-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl]-6-methyl-, tetrahydrochloride, monohydrate (9CI) (CA INDEX NAME)



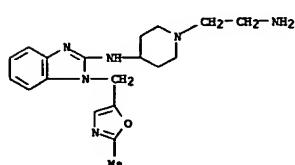
● 4 HCl

● H<sub>2</sub>O

RN 317847-22-6 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]-, monohydrate (9CI) (CA INDEX NAME)

● H<sub>2</sub>O

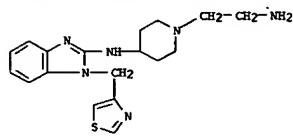
RN 317847-23-7 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]-, trihydrochloride, monohydrate (9CI) (CA INDEX NAME)



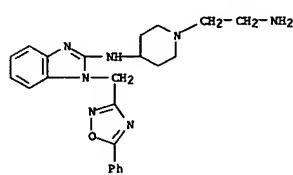
● 3 HCl

● H<sub>2</sub>O

RN 317847-26-0 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)

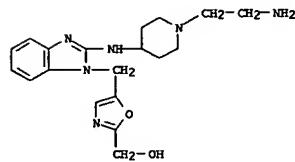


RN 317847-27-1 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(5-phenyl-1,2,4-oxadiazol-3-yl)methyl]-, trihydrochloride (9CI) (CA INDEX NAME)



● 3 HCl

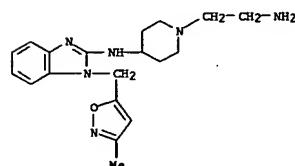
RN 317847-28-2 CAPLUS  
 CN 2-Oxazolemethanol, 5-[(2-[(1-(2-aminoethyl)-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl]-, tetrahydrochloride, dihydrate (9CI) (CA INDEX NAME)



● 4 HCl

● 2 H<sub>2</sub>O

RN 317847-29-3 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(3-methyl-5-oxazolyl)methyl]-, trihydrochloride, monohydrate (9CI) (CA INDEX NAME)



● 3 HCl

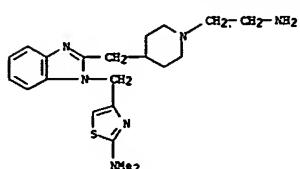
● H<sub>2</sub>O

RN 317847-31-7 CAPLUS  
 CN 2-Propanol, compd. with 4-[(1-[(2-(dimethylamino)-4-thiazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinethanamine tetrahydrochloride (1:1), monohydrate (9CI) (CA INDEX NAME)

CM 1

CRN 317847-30-6  
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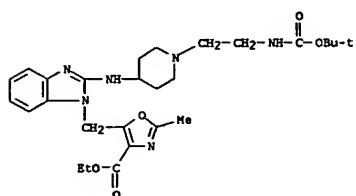
6/02/2003



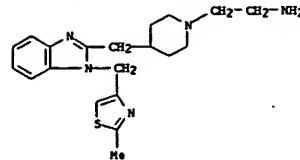
CN 2

CRN 67-63-0  
CMF C3 H9 O

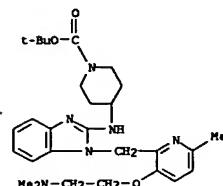
RN 317847-32-8 CAPLUS  
 CN 4-Oxazolecarboxylic acid, 5-[(1-[(1-[(1,1-dimethylethoxy)carbonyl]amino)ethyl]-4-piperidinyl]amino)-1H-benzimidazol-1-yl]methyl-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)



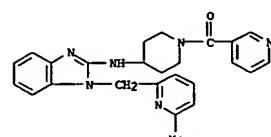
RN 317847-33-9 CAPLUS  
 CN 1-Piperidineethanamine, 4-[(1-[(2-methyl-4-thiazolyl)methyl]-1H-benzimidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



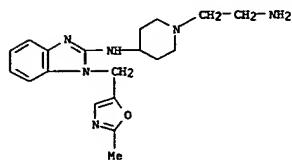
RN 317847-35-1 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-[(3-[2-(dimethylamino)ethoxy]-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl)amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 317847-36-2 CAPLUS  
 CN 4-Piperidinamine, N-[(1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl)-1-(3-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

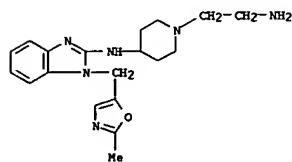


RN 317847-85-1 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]-, trihydrochloride (9CI) (CA INDEX NAME)



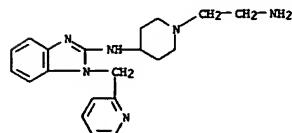
● 3 HCl

RN 317847-94-2 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]- (9CI) (CA INDEX NAME)

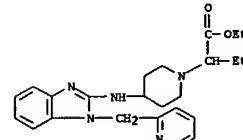


IT 90519-14-5 317847-66-8 317847-69-1  
 317847-71-5 317847-74-8 317847-77-1  
 317847-79-3 317847-80-6 317847-83-9  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (prepn. of benzimidazoles as respiratory syncytial virus replication inhibitors)  
 RN 90519-14-5 CAPLUS

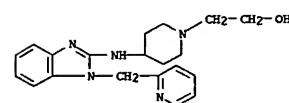
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



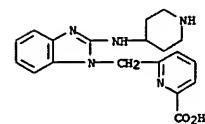
RN 317847-66-8 CAPLUS  
 CN 1-Piperidineacetic acid, .alpha.-ethyl-4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



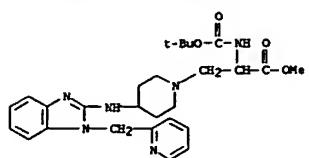
RN 317847-69-1 CAPLUS  
 CN 1-Piperidineethanone, 4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)



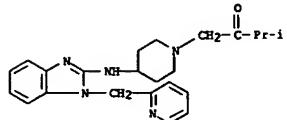
RN 317847-71-5 CAPLUS  
 CN 2-Pyridinacarboxylic acid, 6-[(2-(4-piperidinylamino)-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



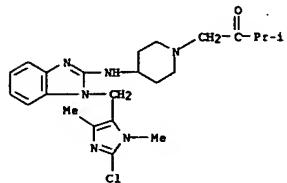
RN 317847-74-8 CAPLUS  
 CN 1-Piperidinepropanoic acid, .alpha.-[(1,1-dimethylethoxy)carbonyl]amino]-4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-, methyl ester (9CI) (CA INDEX NAME)



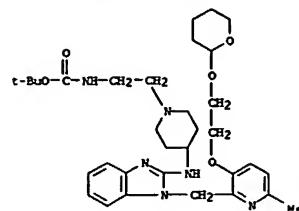
RN 317847-77-1 CAPLUS  
 CN 2-Butanone, 3-methyl-1-[4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]- (9CI) (CA INDEX NAME)



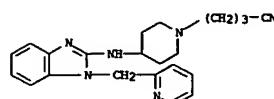
RN 317847-79-3 CAPLUS  
 CN 2-Butanone, 1-[4-[(1-(2-chloro-1,4-dimethyl-1H-imidazol-5-yl)methyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]-3-methyl- (9CI) (CA INDEX NAME)



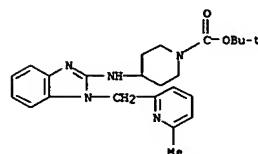
RN 317847-80-6 CAPLUS  
 CN Carboxanic acid, [2-[4-[(1-[(6-methyl-3-[2-[(tetrahydro-2H-pyran-2-yl)oxy]ethoxy]-2-pyridinyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



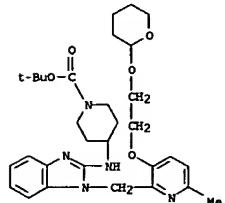
RN 317847-83-9 CAPLUS  
 CN 1-Piperidinebutanenitrile, 4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)



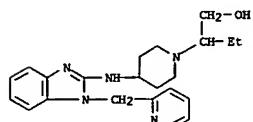
IT 317847-37-3P 317847-38-4P 317847-50-0P  
 317847-51-1P 317847-55-5P 317847-57-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of benzimidazoles as respiratory syncytial virus replication inhibitors)  
 RN 317847-37-3 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl)amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



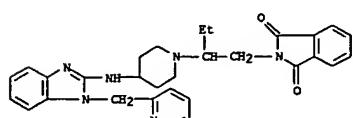
RN 317847-38-4 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-[(6-methyl-3-[2-[(tetrahydro-2H-pyran-2-yl)oxy]ethoxy]-2-pyridinyl)methyl]-1H-benzimidazol-2-yl)amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 317847-50-0 CAPLUS  
 CN 1-Piperidineethanol, .beta.-ethyl-4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)

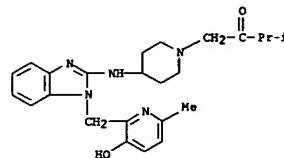


RN 317847-51-1 CAPLUS  
 CN 1H-Isoindole-1,3(2H)-dione, 2-[2-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]butyl- (9CI) (CA INDEX NAME)

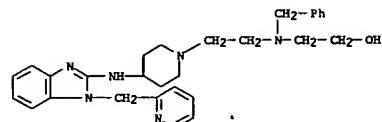


RN 317847-55-5 CAPLUS  
 CN 2-Butanone, 1-[(1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]-3-methyl- (9CI) (CA INDEX NAME)

Habte



RN 317847-57-7 CAPLUS  
 CN Ethanol, 2-[(phenylmethyl){2-[4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl}amino]- (9CI) (CA INDEX NAME)

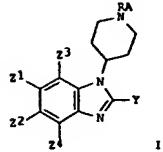


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 2000:117042 CAPLUS  
 DOCUMENT NUMBER: 132:151821  
 TITLE: Preparation of 2-substituted-1-piperidylbenzimidazoles as ORL1 receptor agonists.  
 INVENTOR(S): Ito, Fumitaka; Noguchi, Hirohide; Kondo, Hiroshi  
 PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.  
 SOURCE: PCT Int. Appl., 127 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000008013	A2	20000217	WO 1999-IB1239	19990705
WO 2000008013	A3	20000323		
V: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MV, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH, RV, GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZV, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GV, ML, MR, NE, SN, TD, TG				
CA 2339621	AA	20000217	CA 1999-2339621	19990705
AU 9943859	A1	20000228	AU 1999-43859	19990705
AU 749166	B2	20020620		
EP 1102762	A2	20010530	EP 1999-926688	19990705
EP 1102762	B1	20021113		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9912778	A	20010925	BR 1999-12778	19990705
BR 200100075	A	20020617	BR 2001-75	19990705
AT 227716	E	20021115	AT 1999-926688	19990705
JP 3367945	B2	20030120	JP 2000-563646	19990705
ES 2185357	T3	20030416	ES 1999-926688	19990705
US 6172067	B1	20010109	US 1999-369208	19990805
US 2001000603	A	20010405	NO 2001-603	20010205
BG 105301	A	20011231	BG 2001-105301	20010301
PRIORITY APPLN. INFO.: WO 1998-IB1206 W 19980806 WO 1999-IB1239 W 19990705				
OTHER SOURCE(S): MARPAT 132:151821 GI				

L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

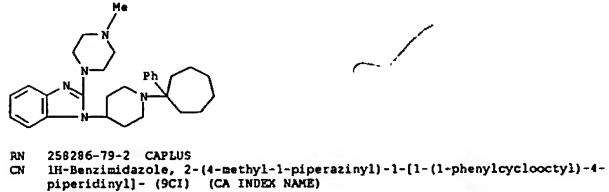


AB Title compds. (I; R = (substituted) mono-, di-, tri-, or tetracycloalkyl; A = alkyl, haloalkyl, alkenyl, alkynyl, (substituted) phenylalkyl, aryl, heterocaryl, heterocyclic; Y = H, halo, amino, SH, (substituted) alkyl-M, cycloalkyl-M, alkenyl-M, alkyl-NH-alkyl-M, dialkyl-N-alkyl-M, aryl-M, heterocyclyl-M, arylalkyl-M, etc.; M = bond, O, S, NR, SO, SO2, etc.; Z1-Z4 = H, halo, alkyl, haloalkyl, alkoxyl, alkylsulfonyl, alkylcarbonyl, CO2H, amino, H2NCO, Ph, naphthyl, etc.), were prep'd. as ORL1 receptor agonists (no data). Thus, 2-chloro-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]benzimidazole (prepn. given) was stirred with MeNH2 in MeOH in an autoclave at 110.degrees. for 6 h to give N-methyl-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-amine.

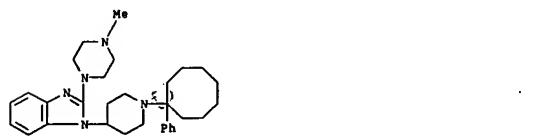
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258289-16-3P 258289-18-5P 258289-22-1P  
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258289-56-1P 258289-57-2P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of 2-substituted-1-piperidylbenzimidazoles as ORL1 receptor agonists)

RN 258286-77-0 CAPLUS  
CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

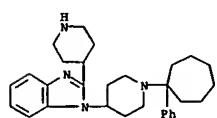
L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



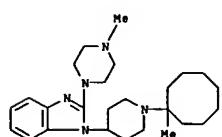
RN 258286-79-2 CAPLUS  
CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 258286-80-5 CAPLUS  
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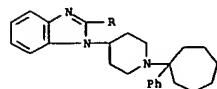


RN 258286-84-9 CAPLUS  
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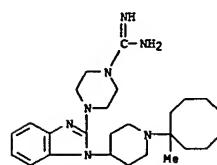


L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 258286-87-2 CAPLUS  
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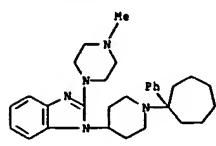


RN 258286-88-3 CAPLUS  
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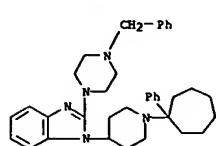
RN 258287-00-2 CAPLUS  
CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[1-(1-phenylcycloheptyl)-4-piperidinyl]- trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

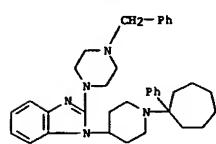


● 3 HCl

RN 258287-27-3 CAPLUS  
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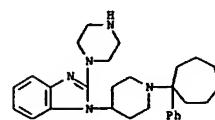


RN 258287-28-4 CAPLUS  
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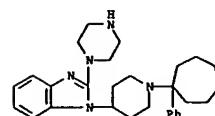


● 3 HCl

L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
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 CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)

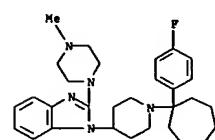


RN 258287-30-8 CAPLUS  
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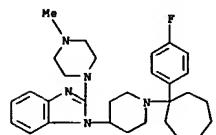
● 3 HCl

RN 258287-37-5 CAPLUS  
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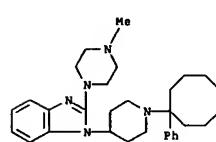
RN 258287-38-6 CAPLUS  
 CN 1H-Benzimidazole, 1-[1-(1-(4-fluorophenyl)cycloheptyl)-4-piperidinyl]-2-(4-methyl-1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



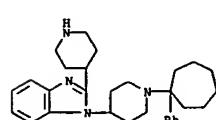
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RN 258287-39-7 CAPLUS  
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● x HCl

RN 258287-40-0 CAPLUS  
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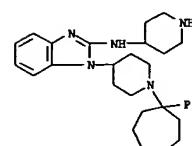


● 3 HCl

RN 258287-54-6 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-N-4-

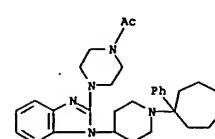
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L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 piperidinyl-, trihydrochloride (9CI) (CA INDEX NAME)

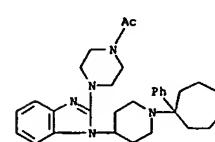


● 3 HCl

RN 258287-59-1 CAPLUS  
 CN Piperazine, 1-acetyl-4-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 258287-60-4 CAPLUS  
 CN Piperazine, 1-acetyl-4-[1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]-, trihydrochloride (9CI) (CA INDEX NAME)

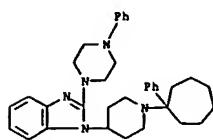


● 3 HCl

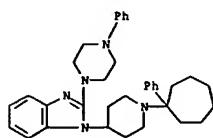
RN 258287-61-5 CAPLUS  
 CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(4-phenyl-1-

6/02/2003

L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
piperazinyl)- (9CI) (CA INDEX NAME)

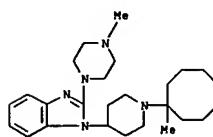


RN 258287-62-6 CAPLUS  
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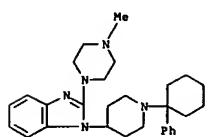
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RN 258287-67-1 CAPLUS  
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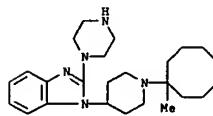
●3 HCl

L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
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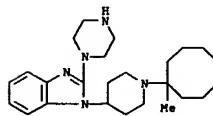


●3 HCl

RN 258288-00-5 CAPLUS  
CN 1H-Benzimidazole, 1-[1-(1-methylcyclooctyl)-4-piperidinyl]-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 258288-01-6 CAPLUS  
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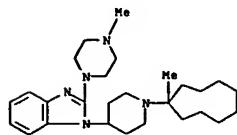


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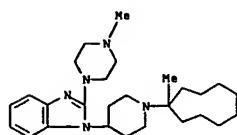
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L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 258287-68-2 CAPLUS  
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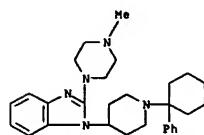


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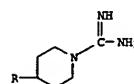
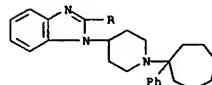
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RN 258287-78-4 CAPLUS  
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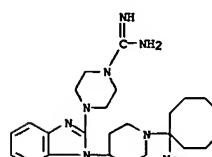
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L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



●2 HCl

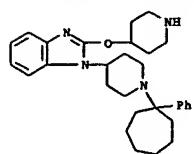
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●2 HCl

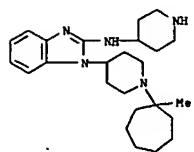
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14 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



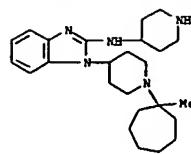
●2 HCl

RN 258288-16-3 CAPLUS  
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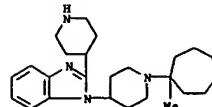
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14 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

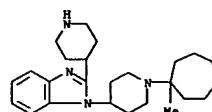


●2 HCl

RN 258288-22-1 CAPLUS  
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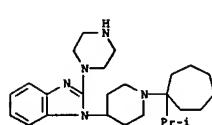
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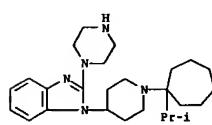
●2 HCl

RN 258288-26-5 CAPLUS  
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14 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

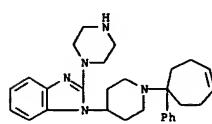


RN 258288-28-7 CAPLUS  
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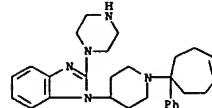
●3 HCl

RN 258288-56-1 CAPLUS  
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RN 258288-57-2 CAPLUS  
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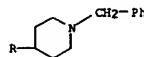
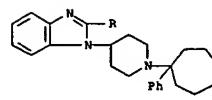
14 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



●3 HCl

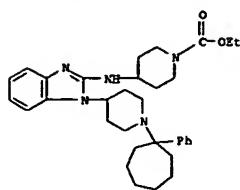
IT 258288-83-4P 258288-86-7P 258288-87-8P  
 258288-89-0P 258288-90-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of 2-substituted-1-piperidylbenzimidazoles as ORL1 receptor agonists)

RN 258288-93-4 CAPLUS  
 CN 1H-Benzimidazole, 1-[1-(1-phenylcycloheptyl)-4-piperidinyl]-2-(1-phenylmethyl)-4-piperidinyl- (9CI) (CA INDEX NAME)

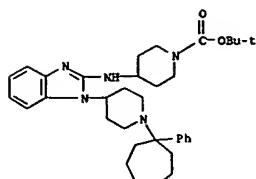


RN 258288-86-7 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[[1-(1-phenylcycloheptyl)-4-piperidinyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

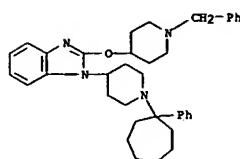
L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 258288-87-8 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-(1-phenylcycloheptyl)-4-piperidinyl)-1H-benzimidazol-2-yl]amino)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

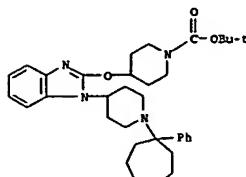


RN 258288-89-0 CAPLUS  
 CN 1H-Benzimidazole, 1-[(1-phenylcycloheptyl)-4-piperidinyl]-2-((phenylmethyl)-4-piperidinyl)oxy)- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 258288-90-3 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-(1-phenylcycloheptyl)-4-piperidinyl)-1H-benzimidazol-2-yl]oxy)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 46 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:779223 CAPLUS

DOCUMENT NUMBER: 132:12309

TITLE: Preparation of N-methyl-N-[4-(piperidin-1-yl)-2-(aryl)butyl]benzamides for the treatment of allergic diseases.

INVENTOR(S): Maynard, George P.; Kane, John M.; Bratton, Larry D.; Kudlacz, Elizabeth M.

PATENT ASSIGNEE(S): Hoechst Marion Roussel, Inc., USA

SOURCE: U.S., 41 pp., Cont.-in-part of U.S. Ser. No. 771,544, abandoned.

CODEN: USXOAM

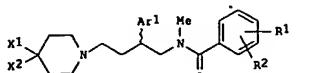
DOCUMENT TYPE: Patent

LANGUAGE: English

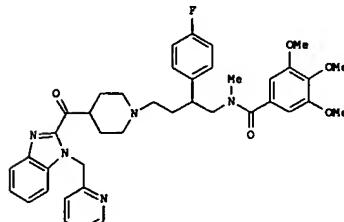
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5998439	A	19991207	US 1998-79692	19980515
US 6297259	B1	20011002	US 1999-328964	19990609
PRIORITY APPLN. INFO.:			US 1996-37569P	P 19960221
			US 1996-771544	B2 19961223
			US 1998-79692	A3 19980515

OTHER SOURCE(S): MARPAT 132:12309  
GI

I



II

AB The invention relates to novel substituted N-methyl-N-[4-(piperidin-1-yl)-2-(aryl)butyl]benzamides. I [R1 = (1-3 of) H, halo, alkyl, alkoxy, R2 = H, (substituted) tetrazolyl, 1,2,4-triazolyl; Ar1 = (substituted) Ph, naphthyl, pyridyl, thiophenyl; X1 = H, OH; X2 = (substituted) Ph,

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L4 ANSWER 8 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

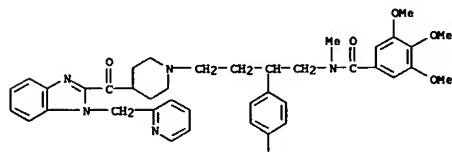
benzothiazolyl-2-carbonyl, benzimidazol-2-ylcarbonyl, benzimidazolyl, diphenylmethyl, etc., depending upon X1], and pharmaceutically acceptable salts thereof. The compds. are useful as histamine receptor antagonists and tachykinin receptor antagonists (no data). Such antagonists are useful in the treatment of allergic rhinitis (including seasonal rhinitis and sinusitis), inflammatory bowel diseases (including Crohn's disease and ulcerative colitis), asthma, bronchitis, and emesis. For instance, title compd. II, a preferred compd., was prep'd. in several steps, culminating in the N-alkylation of the corresponding 4-substituted piperidine fragment with the appropriate methanesulfonate ester in refluxing MeCN.

IT 195737-72-59

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of methyl[(piperidinyl)(aryl)butyl]benzamides for the treatment of allergic diseases)

RN 195737-73-5 CAPLUS

CN Benzamide, N-[2-(4-fluorophenyl)-4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)carbonyl]-1-piperidinyl]butyl]-3,4,5-trimethoxy-N-methyl- (9CI) (CA INDEX NAME)

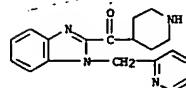


IT 195737-75-8P 195737-76-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of methyl[(piperidinyl)(aryl)butyl]benzamides for the treatment of allergic diseases)

RN 195737-75-8 CAPLUS

CN Methanone, 4-piperidinyl[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]-, monohydrate (9CI) (CA INDEX NAME)

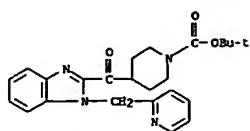


● HI

RN 195737-76-9 CAPLUS

6/02/2003

L4 ANSWER 9 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 CN 1-Piperidinocarboxylic acid, 4-((1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)carbonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

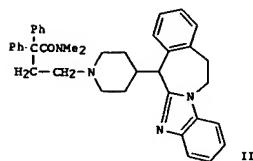
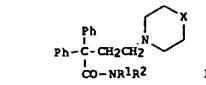


REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 ACCESSION NUMBER: 1999-576930 CAPLUS  
 DOCUMENT NUMBER: 131:199712  
 TITLE: Preparation of heterocyclic compounds as glycine transport inhibitors  
 INVENTOR(S): Luyten, Walter Herman Maria Louis; Janssens, Franz  
 Eduard; Kennis, Ludo Edmond Josephine  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
 SOURCE: PCT Int. Appl., 30 pp.  
 CODEN: PIKXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9945011	A1	19990910	WO 1999-EP1308	19990226
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KE, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DX, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GV, ML, MR, NE, SN, TD, TG				
CA 2322136	AA	19990910	CA 1999-2322136	19990226
AU 9932544	A1	19990920	AU 1999-32544	19990226
BR 9907953	A	20001024	BR 1999-7953	19990226
EP 1058684	A1	20001213	EP 1999-937930	19990226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
EE 200000483	A	20020215	EE 2000-483	19990226
JP 200205332	T2	20020219	JP 2000-53453	19990226
BG 104686	A	20010430	BG 2000-104686	20000811
NO 2000004432	A	20001102	NO 2000-4432	20000905
PRIORITY APPLN. INFO.:			EP 1998-200700	A 19980306
			WO 1999-EP1308	V 19990226
OTHER SOURCE(S): MARPAT 131:199712				
GI				

L4 ANSWER 9 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



AB The present invention is concerned with the use of glycine transport inhibiting  $\alpha$ , $\alpha$ -diphenyl-1-piperidinebutanamides for the prepn. of medicaments, title compds. I (R1, R2 = H, alkyl; X = CR4S; R4 = H, OH, etc.; R5 = diarylmethoxyalkyl, etc) for treating disorders of the central and peripheral nervous system, in particular psychoses, pain, epilepsy, neurodegenerative diseases (Alzheimer's disease), stroke, head trauma, multiple sclerosis and the like. The title compd. II was prepnd. Formulations are given. The invention further comprises novel compds., their prepn. and their pharmaceutical forms. The bioactivity of II was demonstrated.

IT 241130-07-4P 241130-09-5P 241130-49-4P

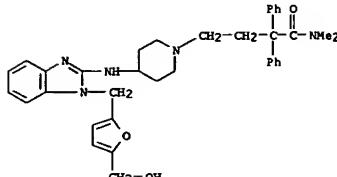
241130-52-9P

RU: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (prep. of heterocyclic compds. as glycine transport inhibitors)

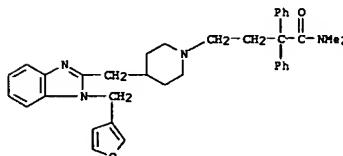
RN 241130-07-4 CAPLUS

CN 1-Piperidinebutanamide, 4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-N,N-dimethyl- $\alpha$ , $\alpha$ -diphenyl- (9CI) (CA INDEX NAME)

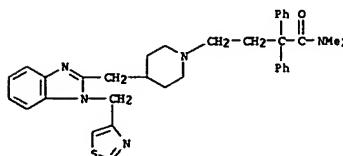
L4 ANSWER 9 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 241130-09-6 CAPLUS  
 CN 1-Piperidinebutanamide, 4-[(1-(3-furanyl)methyl)-1H-benzimidazol-2-yl)methyl]-N,N-dimethyl- $\alpha$ , $\alpha$ -diphenyl- (9CI) (CA INDEX NAME)



RN 241130-49-4 CAPLUS  
 CN 1-Piperidinebutanamide, N,N-dimethyl- $\alpha$ , $\alpha$ -diphenyl-4-[(1-(4-thiazolyl)methyl)-1H-benzimidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



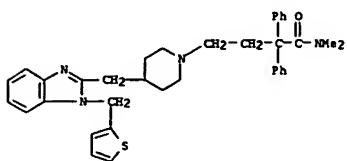
RN 241130-52-9 CAPLUS  
 CN 1-Piperidinebutanamide, N,N-dimethyl- $\alpha$ , $\alpha$ -diphenyl-4-[(1-(2-thienyl)methyl)-1H-benzimidazol-2-yl)methyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CH 1

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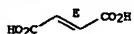
L4 ANSWER 9 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 CRN 241130-51-8  
 CMF C36 H40 N4 O 5



CM 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1999:576769 CAPLUS  
 DOCUMENT NUMBER: 131:219171  
 TITLE: Glycine transport inhibitors  
 INVENTOR(S): Luyten, Walter Herman Maria Louis; Janssens, Frans  
 Eduard; Kennis, Ludo Edmond Josephine  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
 SOURCE: PCT Int. Appl., 20 pp.  
 CODEN: PIKXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9944596	A2	19990910	WO 1999-EP1309	19990226
WO 9944596	A3	20000217		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KE, LC, LX, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RU: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZV, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2322164	AA	19990910	CA 1999-2322164	19990226
AU 9934089	A1	19990920	AU 1999-34089	19990226
EP 1059922	A2	20001220	EP 1999-915541	19990226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9907951	A	20010130	BR 1999-7951	19990226
EE 200000482	A	20020215	EE 2000-482	19990226
JP 2002050277	T2	20020219	JP 2000-534198	19990226
BG 104685	A	20010430	BG 2000-104685	20000811
NO 2000004431	A	20001030	NO 2000-4431	20000905
PRIORITY APPLN. INFO.: EP 1998-200701 A 19980306				
WO 1999-EP1309	V	19990226		

OTHER SOURCE(S): MARPAT 131:219171

AB The present invention is concerned with the use of glycine transport inhibiting [4,4-bis(4-fluorophenyl)butyl]-1-(piperazinyl and piperidinyl) derivs. for the prepn. of medicaments for treating disorders of the central and peripheral nervous system, in particular psychoses, pain, epilepsy, neurodegenerative diseases (Alzheimer's disease), stroke, head trauma, multiple sclerosis and the like. E.g., 3-[1-(4,4-bis(4-fluorophenyl)butyl)-4-piperidinyl]-3,4-dihydro-2(1B)-quinazolinone was prepd. as were a no. of other derivs. The compds. were assayed for transport via GlyT1 transporters. Film-coated tablets were also prepd. 99954-25-37

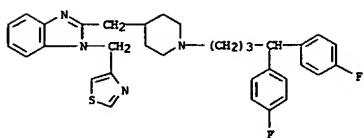
IT: RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (glycine transport inhibitors)

RN: 99954-25-3 CAPLUS

CN: 1H-Benzimidazole, 2-[(1-[4,4-bis(4-fluorophenyl)butyl]-4-piperidinyl)methyl]-1-(4-thiazolylmethyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 INDEX NAME)

CM 1

CRN 99954-24-2  
CMF C33 H34 F2 N4 S

CM 2

CRN 144-62-7  
CMF C2 H2 O4

L4 ANSWER 11 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1998:437072 CAPLUS  
 DOCUMENT NUMBER: 129:156472  
 TITLE: Structure-activity relationships of astemizole derivatives for inhibition of store operated  $Ca^{2+}$  channels and exocytosis  
 AUTHOR(S): Fischer, Marcel J. E.; Paulussen, Jeannette J. C.; Tollenaere, Jan P.; De Mol, Nico J.; Janssen, Lambert H. M.

CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Utrecht Institute for Pharmaceutical Sciences, Faculty of Pharmacy, Utrecht University, Utrecht, 3508 TB, Neth.

SOURCE: European Journal of Pharmacology (1998), 350 (2/3), 353-361  
 CODEN: EJPHAZ; ISSN: 0014-2999

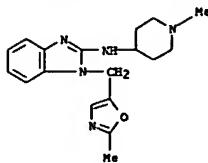
PUBLISHER: Elsevier Science B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB The effects of a series of analogs of the antiallergic drug astemizole on the exocytosis of the enzyme .beta.-hexosaminidase were studied in a mast cell model, the rat basophilic leukemia (RBL-2H3) cell. Besides differences in the effects on Fc epsilon receptor-stimulated exocytosis, changes were also obstd. in  $Ca^{2+}$  influx and in the perturbation of the cell membrane. A strong correlation was found between the effects on antigen- and thapsigargin-stimulated  $45Ca^{2+}$  influx. Furthermore, the inhibition of  $45Ca^{2+}$  influx was correlated with the inhibition of .beta.-hexosaminidase release and membrane stabilization. It is concluded that the astemizole analogs are capable of inhibiting  $Ca^{2+}$ -store-operated  $Ca^{2+}$  channels (SOC). Compds. with high lipophilicity also released  $Ca^{2+}$  from intracellular stores. Lowering of the hydrophobicity by introduction of nitrogens or truncation at different sites in the astemizole structure decreased inhibitory activity on SOC channels. The inhibition of SOC channels cannot completely be ascribed to non-specific membrane effects. The piperidinyl-benzimidazole moiety was important for inhibition of SOC channels. The obstd. differences in activity possibly depend on the way the compds. penetrate the membrane bilayer. Astemizole is an interesting new tool to study SOC channels and can be a lead for the design of mast cell-stabilizing antiallergic drugs.

IT: 141567-68-2  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
 (structure-activity relationships of astemizole derivs. for inhibition of store operated  $Ca^{2+}$  channels and exocytosis of .beta.-hexosaminidase in mast cell model in relation to lipophilicity and effect on membrane stabilization)

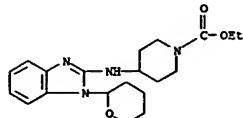
RN: 141567-68-2 CAPLUS  
 CN: 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

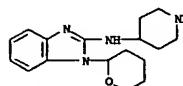


REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1998:320769 CAPLUS  
 DOCUMENT NUMBER: 129:40703  
 TITLE: Remarkably selective palladium-catalyzed amination process: rapid assembly of multi amino based structures  
 AUTHOR(S): Hong, Yaping; Senanayake, Chris R.; Xiang, Tingjian; Vandebosch, Charles P.; Tanoury, Gerald J.; Bakale, Roger P.; Wald, Stephen A.  
 CORPORATE SOURCE: Chemical Research and Development, Sepracor Inc., Marlborough, MA, 01752, USA  
 SOURCE: Tetrahedron Letters (1998), 39(20), 3121-3124  
 CODEN: TLELAW; ISSN: 0040-4039  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 129:40703  
 AB Palladium-catalyzed selective amination by a primary amine in the presence of a secondary amine provided up to >99:1 selectivity with high yields.  
 IT 208398-30-5 208398-31-6  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 208398-30-5 CAPLUS  
 CN 1H-Piperidinecarboxylic acid, 4-[(1-(tetrahydro-2H-pyran-2-yl)-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

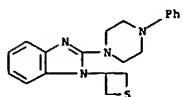


RN 208398-31-6 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(tetrahydro-2H-pyran-2-yl)- (9CI) (CA INDEX NAME)



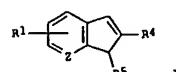
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1998:260235 CAPLUS  
 DOCUMENT NUMBER: 129:49337  
 TITLE: Synthesis of biologically active derivatives of xanthine and benzimidazole  
 AUTHOR(S): Khalilullin, F. A.; Kataev, V. A.; Alekhin, E. K.; Volkova, S. S.; Nasirov, Kh. M.; Strokin, Yu. V.  
 CORPORATE SOURCE: Bashk. Gos. Med. Univ., Ufa, Russia  
 SOURCE: Bashkirskii Khimicheskii Zhurnal (1997), 4(4), 59-62  
 CODEN: BKHZFU; ISSN: 0869-8406  
 PUBLISHER: Izdatel'stvo "Reaktiv"  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Russian  
 AB A study was done of reactions of amines with products of xanthines or benzimidazoles alkylation by epichlorohydrin. 2-Amino-substituted 1-(3-thietanyl)benzimidazoles were synthesized from 1-(3-thietanyl)-2-chlorobenzimidazole. 8-Amino-substituted derivs. were formed from 8-bromo-1,3-dimethyl-7-(1-oxothietanyl-3)- and 8-bromo-1,3-dimethyl-7-(1,1-dioxothietanyl-3)xanthines. 2-Amino-substituted 2,3-dihydrothiazolo[3,2-a]benzimidazoles were synthesized from 2-methylsulfonyl-1-(2,3-epithiopropyl)benzimidazole. Immunotropic and anti-inflammatory activities of the synthesized compds. were discovered.  
 IT 208577-07-5P  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of biol. active derivs. of xanthine and benzimidazole)  
 RN 208577-07-5 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-phenyl-1-piperazinyl)-1-(3-thietanyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1998:102862 CAPLUS  
 DOCUMENT NUMBER: 128:154083  
 TITLE: Preparation of 2-(4-piperidinylmethoxy)benzimidazoles and analogs as M3 and 5-HT4 antagonists  
 INVENTOR(S): Courtemanche, Gilles; Even, Luc; Defosse, Gerard; Bertin, Jean; Bovy, Philippe  
 PATENT ASSIGNEE(S): Synthelabo S. A., Fr.; Courtemanche, Gilles; Even, Luc; Defosse, Gerard; Bertin, Jean; Bovy, Philippe  
 SOURCE: PCT Int. Appl., 38 pp.  
 CODEN: PIKXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9804546	A1	19980205	WO 1997-FR1364	19970723
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KE, KR, KZ, LC, LK, LN, LS, LT, LU, LV, MD, MG, MA, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, HL, HR, NE, SW, TD, TG				
FR 2751646	A1	19980111	FR 1996-9360	19960725
FR 2751647	B1	19980011		
AU 9738530	A1	19980220	AU 1997-38530	19970723
AU 9706619	A	19980210	2A 1997-6619	19970724
PRIORITY APPLN. INFO.:			FR 1996-9360	A 19960725
OTHER SOURCE(S):			WO 1997-FR1364	V 19970723
GI				

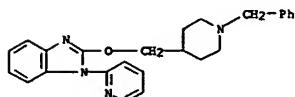


AB Title compds. [I]: R1 = H, halo, alkyl, alkoxy, etc.; R4 = 2[CH2]7[22]CHR2R3; R2 = H, alkyl, Ph; R3 = cycloalkyl, Ph, heteroaryl, etc.; R5 = cycloalkyl, (un)substituted Ph, -CH2Ph, 2-pyridyl(methyl), naphthyl; R7 = H or alkyl; Z = N or CH; Z1 = O or S; R2 = piperidin-4-yl throughout) were prep'd. Thus, 2-(MeO)C6H4NH2 was N-arylated to 2-(C6H4NH2)2 and the reduced product cyclocondensed with [R2]2CO to give I [R1 = H, R5 = C6H4(OH)-2, 2-CH] (I); R4 = OH) which was treated with POC13 and the product etherified by HOCH22[2]CH2Ph to give II (R4 = OCH22[2]CH2Ph). Data for biol. activity of I were given.  
 IT 202009-35-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of 2-(4-piperidinylmethoxy)benzimidazoles and analogs as M3 and 5-HT4 antagonists)

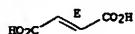
6/02/2003

L4 ANSWER 14 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 RN 202809-35-6 CAPLUS  
 CN 1H-Benzimidazole, 2-[(1-(phenylmethyl)-4-piperidinyl)methoxy]-1-(2-pyridinyl)-, (Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)  
 C1 1  
 CRN 202809-34-5  
 CTF C25 H26 N4 O



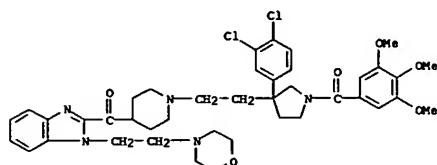
C1 2  
 CRN 110-17-8  
 CTF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 ACCESSION NUMBER: 1997-789539 CAPLUS  
 DOCUMENT NUMBER: 128:110371  
 TITLE: Use of COMFA in validating the conformation used in designing 4-(1H-benzimidazole-2-carbonyl)piperidines with H1/NK1 receptor antagonist activity  
 AUTHOR(S): Vaz, Roy J.; Maynard, George D.; Kudlacz, Elizabeth M.; Bratton, Larry D.; Kane, John M.; Shatzer, Scott A.; Knippenberg, Robert V.  
 CORPORATE SOURCE: Hoechst Marion Roussel, Inc., Cincinnati, OH, 45215, USA  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (1997), 7(22), 2825-2830  
 CODEN: BMCLER; ISSN: 0960-894X  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Support for the conformation used in the design of a series of 4-(1H-benzimidazole-2-carbonyl)piperidines with dual histamine H1/tachykinin NK1 receptor antagonist activity has been presented. Comparative Mol. Field Anal. (COMFA) for both receptor binding affinities of the series as well as overlays with several crystal structures of selective receptor antagonists support the conformation.  
 IT 178371-08-9 178371-10-3 178371-13-8  
 178371-33-2 178372-47-9 200616-60-0  
 201595-86-0  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (use of COMFA in validating the conformation used in designing 4-(1H-benzimidazole-2-carbonyl)piperidines with H1/NK1 receptor antagonist activity)  
 RN 178371-08-9 CAPLUS  
 CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-[(4-[(1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-2-yl]carbonyl)-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 178371-10-3 CAPLUS  
 CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-[(4-[(1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-2-yl]carbonyl)-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 178371-15-8 CAPLUS  
 CN Pyrrolidine, 3-phenyl-3-[2-(4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl)-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

RN 178371-35-2 CAPLUS  
 CN Pyrrolidine, 3-[2-[(4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl]carbonyl)-1-piperidinyl]ethyl]-1-phenyl-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

RN 178372-47-9 CAPLUS  
 CN Pyrrolidine, 3-(4-methoxyphenyl)-3-[2-[(4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl)-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

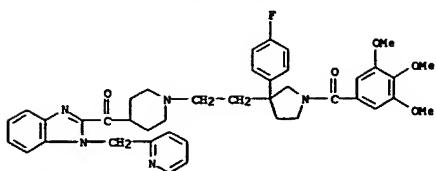
L4 ANSWER 15 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 200616-60-0 CAPLUS  
 CN Pyrrolidine, 3-phenyl-3-[2-[(4-[(1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl)-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

RN 200616-61-1 CAPLUS  
 CN Pyrrolidine, 3-phenyl-3-[2-[(4-[(1-(4-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl)-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

RN 201595-86-0 CAPLUS  
 CN Pyrrolidine, 3-(4-fluorophenyl)-3-[2-[(4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl)-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1997:789538 CAPLUS  
 DOCUMENT NUMBER: 128:75364  
 TITLE: Synthesis and structure-activity relationship of 4-(1H-benzimidazol-2-carbonyl)piperidines with dual histamine H1/tachykinin NK1 receptor antagonist activity

AUTHOR(S): Maynard, George D.; Bratton, Larry D.; Kane, John M.; Burkholder, Timothy P.; Santiago, Braulio; Stewart, Kenneth T.; Rudlack, Elizabeth M.; Shatzler, Scott A.; Knippenberg, Robert W.; Farrell, Amy M.; Logan, Deborah E.

CORPORATE SOURCE: Hoechst Marion Roussel, Inc., Cincinnati, OH, 45215-6300, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (1997), 7(22), 2819-2824

PUBLISHER: CODEN: EPCLB; ISSN: 0960-894X

Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A series of 1H-benzimidazol-2-yl 4-piperidinyl methanone derivs. with dual histamine H1/tachykinin NK1 receptor antagonist activity was prepd.

Factors affecting receptor binding affinities and oral activity in this series are described.

IT 178371-35-2

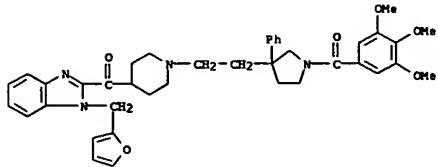
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(prepn. and structure-activity relationship of benzimidazolyl

piperidinyl methanone derivs.)

RN 178371-35-2 CAPLUS

CN Pyrrolidine, 3-(2-[(1-(2-furylmethyl)-1H-benzimidazol-2-yl)carbonyl]-1-piperidinyl)ethyl-3-phenyl-1-(3,4,5-trimethoxybenzoyl) - (9CI) (CA INDEX NAME)



IT 178371-11-4P 178371-15-8P 200616-59-7P

200616-60-0P 200616-61-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and structure-activity relationship of benzimidazolyl

piperidinyl methanone derivs.)

L4 ANSWER 16 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

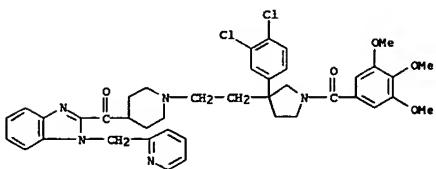
CN 178371-11-4 CAPLUS

CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)carbonyl]-1-piperidinyl]ethyl-1-(3,4,5-trimethoxybenzoyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 178371-10-3

CMF C41 H43 Cl2 N5 O5



CM 2

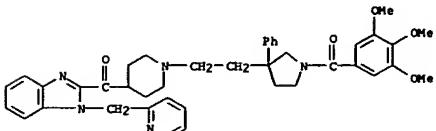
CRN 75-75-2

CMF C H4 O3 S



RN 178371-15-8 CAPLUS

CN Pyrrolidine, 3-phenyl-3-[2-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)carbonyl]-1-piperidinyl]ethyl-1-(3,4,5-trimethoxybenzoyl) - (9CI) (CA INDEX NAME)



RN 200616-59-7 CAPLUS  
 CN Pyrrolidine, 3-(4-methoxyphenyl)-3-[2-[(1-(2-pyridinylmethyl)-1H-

Habte

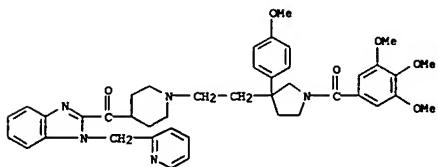
L4 ANSWER 16 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

benzimidazol-2-yl)carbonyl]-1-piperidinyl]ethyl-1-(3,4,5-trimethoxybenzoyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 178372-47-9

CMF C42 H47 N5 O6

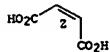


CM 2

CRN 110-16-7

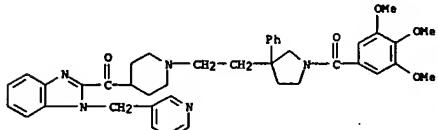
CMF C4 H4 O4

Double bond geometry as shown.



RN 200616-60-0 CAPLUS

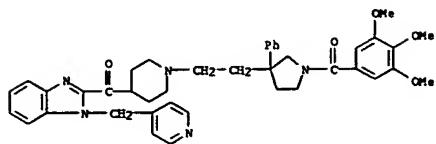
CN Pyrrolidine, 3-phenyl-3-[2-[(1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl)carbonyl]-1-piperidinyl]ethyl-1-(3,4,5-trimethoxybenzoyl) - (9CI) (CA INDEX NAME)



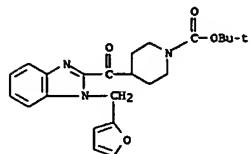
RN 200616-61-1 CAPLUS

CN Pyrrolidine, 3-phenyl-3-[2-[(1-(4-pyridinylmethyl)-1H-benzimidazol-2-yl)carbonyl]-1-piperidinyl]ethyl-1-(3,4,5-trimethoxybenzoyl) - (9CI) (CA INDEX NAME)

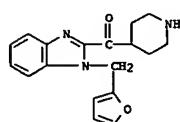
6/02/2003



IT 178371-33-0P 178371-34-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (prepn. and structure-activity relationship of benzimidazolyl  
 piperidinyl methanone derivs.)  
 RN 178371-33-0 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl]carbonyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



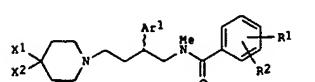
RN 178371-34-1 CAPLUS  
 CN Methanone, [(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)-4-piperidinyl- (9CI)  
 (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

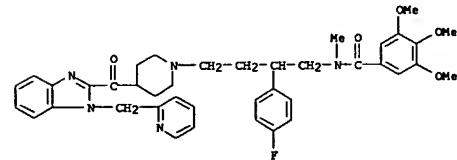
L4 ANSWER 17 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1997:579713 CAPLUS  
 DOCUMENT NUMBER: 127:262676  
 TITLE: Preparation of N-methyl-N-[(4-(piperidin-1-yl)-2-(aryl)butyl)benzamides for the treatment of allergic diseases.  
 INVENTOR(S): Maynard, George D.; Kane, John M.; Bratton, Larry D.; Kudlacz, Elizabeth M.  
 PATENT ASSIGNEE(S): Hoechst Marion Roussel, Inc., USA  
 SOURCE: PCT Int. Appl., 157 pp.  
 CODEN: PIXKD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9730990	A1	19970828	WO 1997-US2239	19970127
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, S2, UG, AT, BR, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2246727	AA	19970828	CA 1997-2246727	19970127
CA 2246727	C	20020423		
AU 9722707	A1	19970910	AU 1997-22707	19970127
AU 709215	B2	19990826		
EP 082038	A1	19981209	EP 1997-905930	19970127
EP 082038	B1	20021218		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1211247	A	19990317	CN 1997-192385	19970127
CN 1096460	B	20021218		
BR 9707643	A	19990727	BR 1997-7643	19970127
JP 2002504082	T2	20020205	JP 1997-530219	19970127
AT 229952	E	20030115	AT 1997-905930	19970127
ES 2184992	T3	20030416	ES 1997-905930	19970127
ZA 9701413	A	19970821	ZA 1997-1413	19970127
NO 9803831	A	19981020	NO 1998-3831	19980820
PRIORITY APPLN. INFO.:				
	US 1996-604202	A	19960221	
	US 1996-771544	A	19961223	
OTHER SOURCE(S):	MARPAT 127:262676		WO 1997-US2239	V 19970127
GI				

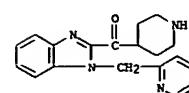


AB Title compds. [I; R1 = H, halo, alkyl, alkoxy; R2 = H, (substituted)  
 Habte

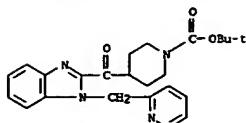
L4 ANSWER 17 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 tetrazolyl, 1,2,4-triazolyl; Ar1 = (substituted) Ph, naphthyl, pyridyl, thiienyl; X1 = H; X2 = (substituted) benzothiazolyl-2-carbonyl, benzimidazol-2-ylcarbonyl, benzimidazolyl, diphenylmethyl, are claimed, as is their use for treatment of allergic rhinitis, asthma, emesis, and inflammatory bowel disease (no data).  
 IT 195737-72-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of N-methyl-N-[(4-(piperidin-1-yl)-2-(aryl)butyl)benzamides for the treatment of allergic diseases)  
 RN 195737-72-5 CAPLUS  
 CN Benzamide, N-[2-(4-fluorophenyl)-4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)carbonyl]-3,4,5-trimethoxy-N-methyl- (9CI) (CA INDEX NAME)



IT 195737-75-0P 195737-76-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of N-methyl-N-[(4-(piperidin-1-yl)-2-(aryl)butyl)benzamides for the treatment of allergic diseases)  
 RN 195737-75-8 CAPLUS  
 CN Methanone, 4-piperidinyl[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]-, monohydrate (9CI) (CA INDEX NAME)



● HI  
 RN 195737-76-9 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

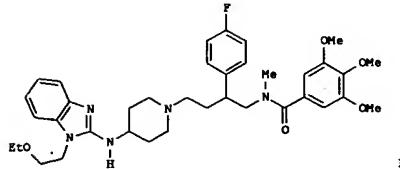


L4 ANSWER 18 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1997-579712 CAPLUS  
 DOCUMENT NUMBER: 127:248110  
 TITLE: Preparation of N-[4-(benzimidazolylamino)piperidino]-2-arylbutoylbenzamides as histamine and tachykinin receptor antagonists  
 INVENTOR(S): Maynard, George D.; Kane, John M.; Kudlacz, Elizabeth M.; Dalton, Christopher R.; Santiago, Braulio; Bratton, Larry D.  
 PATENT ASSIGNEE(S): Hoechst Marion Roussel, Inc., USA  
 SOURCE: PCT Int. Appl. 167 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9730989	A1	19970828	WO 1997-US1571	19970129
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LU, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RU: KE, LS, MV, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5922737	A	19990713	US 1997-778996	19970106
CA 2247105	AA	19970828	CA 1997-2247105	19970129
CA 2247105	C	20020514		
AU 71022519	A1	19970910	AU 1997-22519	19970129
AU 710488	B2	19990923		
EP 888336	A1	19990107	EP 1997-905689	19970129
EP 888336	BI	20020807		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1211246	A	19990317	CN 1997-192390	19970129
BR 9707652	A	19990727	BR 1997-7652	19970129
JP 2001054798	T2	20010410	JP 1997-530166	19970129
NZ 330908	A	20010427	NZ 1997-330908	19970129
AT 221882	E	20020815	AT 1997-905689	19970129
ES 2176687	T3	20021201	ES 1997-905689	19970129
ZA 9701323	A	19970827	ZA 1997-1323	19970217
NO 9803830	A	19981020	NO 1998-3830	19980820
PRIORITY APPLN. INFO.:			US 1996-604216 A	19960221
			US 1997-778996 A	19970106
			WO 1997-US1571 V	19970129

OTHER SOURCE(S): MARPAT 127:248110

GI



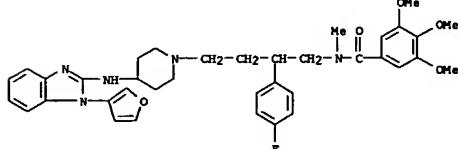
AB RZCH2CH2CHR1CH2NMeCOR2 [R = (un)substituted 2-benzimidazolylamino or -2,3-dihydro-1H-imidazo[1,2-a]benzimidazol-1-yl; R1 = (un)substituted Ph, -naphthyl, -pyridyl, -thienyl; R2 = (un)substituted Ph; Z = piperidine-4,1-diy] were prep'd. as histamine and tachykinin receptor antagonists (no data). Thus, 4-[1-(2-ethoxyethyl)-2-benzimidazolylamino]piperidine was alkylated by Me2S0CH2CH2CHR1CH2NMeCOR2 (R1 = C6H4F-4, R2 = 3,4,5-trimethoxyphenyl) (prep'n. each given) to give title compd. I.

IT 195622-12-9P 195622-13-0P

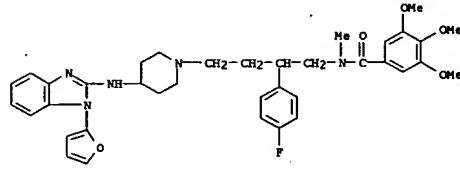
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (prep'n. of N-[4-(benzimidazolylamino)piperidino]-2-arylbutoylbenzamides as histamine and tachykinin receptor antagonists)

RN 195622-12-9 CAPLUS

CN Benzamide, N-[2-(4-fluorophenyl)-4-[4-[(1-(3-furanyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]butyl]-3,4,5-trimethoxy-N-methyl- (9CI) (CA INDEX NAME)



RN 195622-13-0 CAPLUS  
 CN Benzamide, N-[2-(4-fluorophenyl)-4-[4-[(1-(2-furanyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]butyl]-3,4,5-trimethoxy-N-methyl- (9CI) (CA INDEX NAME)

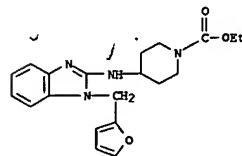


IT 90510-53-9P 90510-67-5P 132551-78-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prep'n. of N-[4-(benzimidazolylamino)piperidino]-2-arylbutoylbenzamides as histamine and tachykinin receptor antagonists)

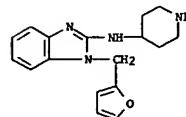
RN 90510-53-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(1-(2-furanyl)methyl)-1H-benzimidazol-2-yl]amino-, ethyl ester (9CI) (CA INDEX NAME)



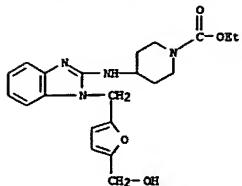
RN 90510-67-5 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-(2-furanyl)methyl-N-4-piperidinyl- (9CI) (CA INDEX NAME)

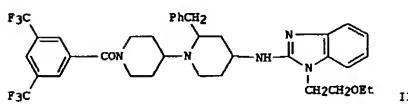
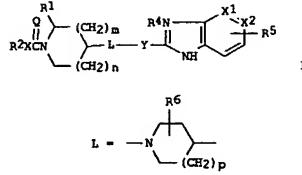
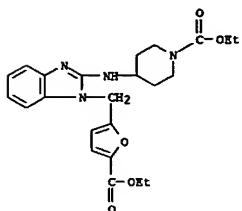


RN 132551-78-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(3-[(5-hydroxymethyl)-2-furanyl]methyl)-1H-benzimidazol-2-yl]amino-, ethyl ester (9CI) (CA INDEX NAME)



RN 132552-50-2 CAPLUS  
 CN 1-Piperidinocarboxylic acid, 4-[(1-[(5-(ethoxycarbonyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



AB Title compds. I [n = 0-2; m = 1, 2; X = bond, O, S, NR3; X1, X2 = CH, N; Q = O, NR3; R1 = aryl, aralkyl, diarylalkyl; R2 = aryl, aralkyl, heterocyclic, heteroarylalkyl; L = Q1; R3 = H, alky1; R4 = (un)substituted alky1; R5 = H, halogen, OH, alkoxy; R6 = H, alky1, aralkyl; p = 0-2] were prep'd. for use as substance P antagonists. Thus, (±)-tert-Bu 7-benzyl-1,4-dioxane-8-carboxylate was treated with 3,5-(F3C)2Gh3COCl, followed by 1-(2-ethoxyethyl)-2-(4-piperidinylamino)benzimidazole to give the title compd. II. Cis-II gave 80.7% inhibition of substance P-induced relaxation of pig coronary artery at 3 X 10<sup>-8</sup> M while trans-II gave 85.3 % inhibition.

IT 193199-46-1P 193199-50-7P 193199-55-2P  
 193199-59-6P 193199-62-1P 193199-65-4P  
 193199-77-8P 193199-80-3P 193199-95-0P  
 193200-00-9P 193200-04-3P 193200-21-4P  
 193200-23-6P 193200-29-2P 193200-33-0P  
 193200-35-0P 193200-37-2P 193200-40-7P  
 193200-41-8P 193200-42-9P 193200-43-0P  
 193200-44-1P 193200-45-2P 193200-51-0P  
 193200-53-2P 193200-54-3P 193200-55-4P  
 193200-64-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIO (Biological study); PREP (Preparation); USES (Uses) (prepn. of benzimidazolyl- and imidazopyridinylpiperidines as tachykinin antagonists)

RN 193199-46-1 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, 1'-(3,5-dimethylbenzoyl)-2'-(phenylmethyl)-N-[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

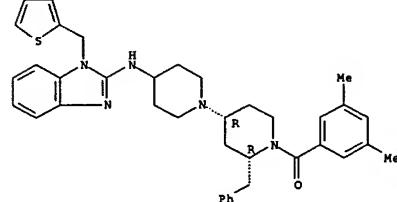
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L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1997-516068 CAPLUS  
 DOCUMENT NUMBER: 127:135802  
 TITLE: N-acyl-2-substituted-4-(benzimidazolyl- or imidazopyridinyl)piperidines as tachykinin antagonists  
 INVENTOR(S): Janssens, Franz Eduard; Sommen, Francois Marie; Surleeraux, Dominique Louis Nestor Ghislaine  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.  
 SOURCE: PCT Int. Appl., 50 pp.  
 CODEN: PIKKD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724350	A1	19970710	WO 1996-EP5877	19961220
W: AL, AM, AU, BB, BG, BR, CA, CN, CU, CZ, EE, GE, EU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, BY, KG, KZ, MD, RU, TJ, TM				
RU: KB, LS, MV, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BE, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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CA 223816	AA	19970710	CA 1996-2238816	19961220
AU 9713080	A1	19970728	AU 1997-13080	19961220
AU 707116	B2	19990701		
EP 869955	A1	19981014	EP 1996-944686	19961220
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BR 9612326	A	19990713	BR 1996-12326	19961220
JR 2000502689	T2	20000307	JP 1997-524029	19961220
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ES 2166915	T3	20020501	ES 1996-944686	19961220
PL 184489	B1	20021129	PL 1996-327440	19961220
ZA 9610894	A	19980623	ZA 1996-10894	19961223
NO 9802406	A	19980824	NO 1998-2406	19980527
US 6110939	A	20000829	US 1998-102121	19980619
HK 1012187	A1	20020308	HK 1998-113363	19981215
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			EP 1995-203653	A 19951227
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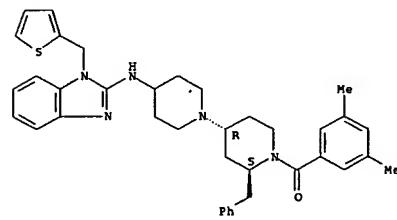
OTHER SOURCE(S): MARPAT 127:135802

GI



RN 193199-50-7 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, 1'-(3,5-dimethylbenzoyl)-2'-(phenylmethyl)-N-[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]-, trans- (9CI) (CA INDEX NAME)

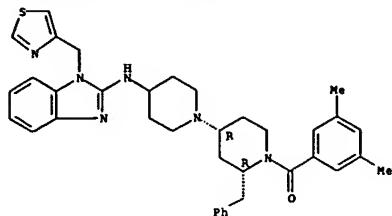
Relative stereochemistry.



RN 193199-55-2 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, 1'-(3,5-dimethylbenzoyl)-2'-(phenylmethyl)-N-[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]-, cis- (9CI) (CA INDEX NAME)

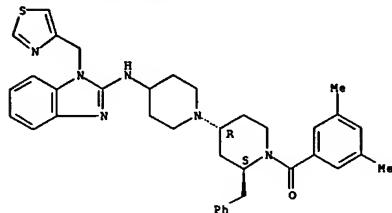
Relative stereochemistry.

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193199-59-6 CAPLUS  
 CN {1,4'-Bipiperidin}-4-amine, 1'-(3,5-dimethylbenzoyl)-2'-(phenylmethyl)-N-[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]-, trans- (9CI) (CA INDEX NAME)

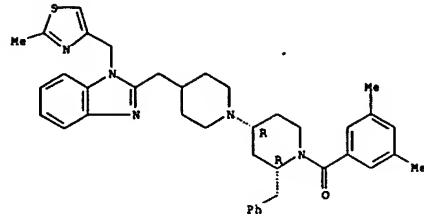
Relative stereochemistry.



RN 193199-62-1 CAPLUS  
 CN 1,4'-Bipiperidine, 1'-(3,5-dimethylbenzoyl)-4-[(1-[(2-methyl-4-thiazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-2'-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

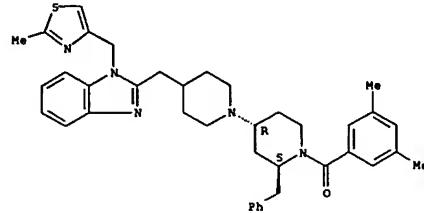
Relative stereochemistry.

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193199-65-4 CAPLUS  
 CN 1,4'-Bipiperidine, 1'-(3,5-dimethylbenzoyl)-4-[(1-[(2-methyl-4-thiazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

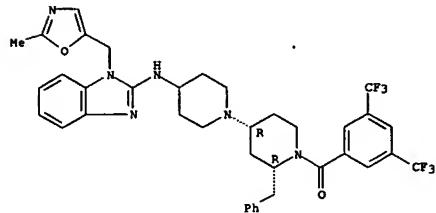
Relative stereochemistry.



RN 193199-77-8 CAPLUS  
 CN {1,4'-Bipiperidin}-4-amine, 1'-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

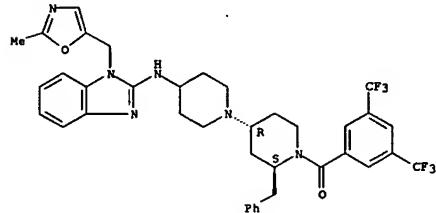
Relative stereochemistry.

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193199-80-3 CAPLUS  
 CN {1,4'-Bipiperidin}-4-amine, 1'-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

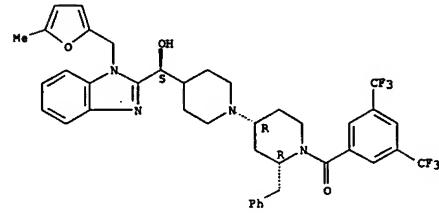
Relative stereochemistry.



RN 193199-95-0 CAPLUS  
 CN {1,4'-Bipiperidin}-4-methanol, 1'-[3,5-bis(trifluoromethyl)benzoyl]-.alpha.-(1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl)-2'-(phenylmethyl)-, [2'.alpha.,4'.alpha.]- (9CI) (CA INDEX NAME)

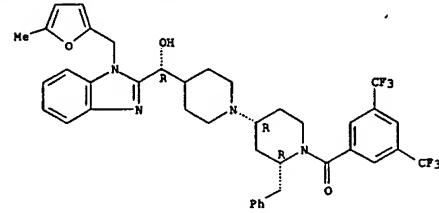
Relative stereochemistry.

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



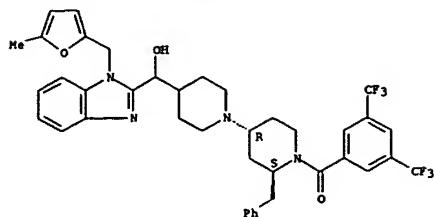
RN 193200-00-9 CAPLUS  
 CN {1,4'-Bipiperidin}-4-methanol, 1'-[3,5-bis(trifluoromethyl)benzoyl]-.alpha.-(1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl)-2'-(phenylmethyl)-, [2'.alpha.,4'.alpha.]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



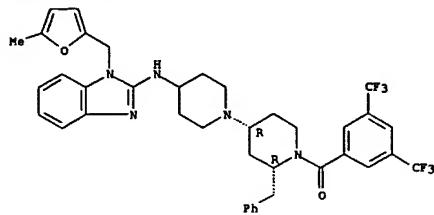
RN 193200-04-3 CAPLUS  
 CN {1,4'-Bipiperidin}-4-methanol, 1'-[3,5-bis(trifluoromethyl)benzoyl]-.alpha.-(1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl)-2'-(phenylmethyl)-, [2'.alpha.,4'.alpha.]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



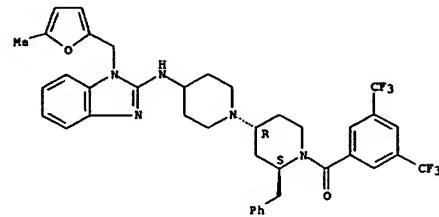
RN 193200-21-4 CAPIUS  
 CN [1,4'-Bipiperidin]-4-amine, 1'-(3,5-bis(trifluoromethyl)benzoyl)-N-[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



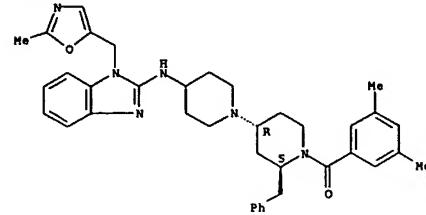
RN 193200-23-6 CAPIUS  
 CN [1,4'-Bipiperidin]-4-amine, 1'-(3,5-bis(trifluoromethyl)benzoyl)-N-[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



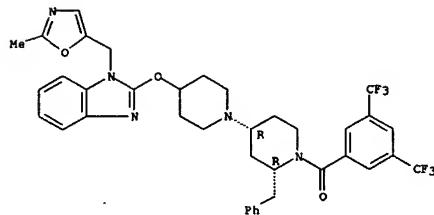
RN 193200-29-2 CAPIUS  
 CN [1,4'-Bipiperidin]-4-amine, 1'-(3,5-dimethylbenzoyl)-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



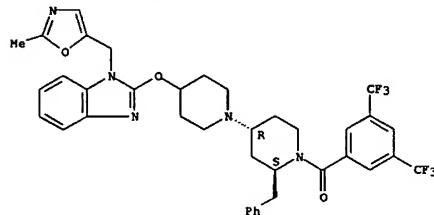
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 CN 1,4'-Bipiperidine, 1'-(3,5-bis(trifluoromethyl)benzoyl)-4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]oxy]-2'-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



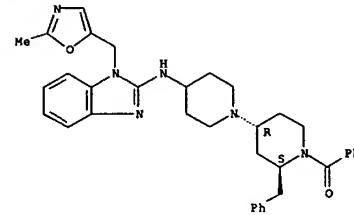
RN 193200-35-0 CAPIUS  
 CN 1,4'-Bipiperidino, 1'-(3,5-bis(trifluoromethyl)benzoyl)-4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]oxy]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



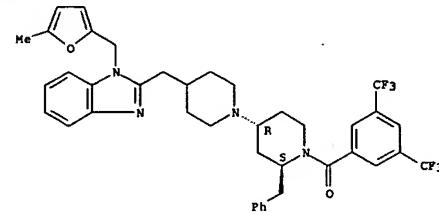
RN 193200-37-2 CAPIUS  
 CN [1,4'-Bipiperidin]-4-amine, 1'-benzoyl-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



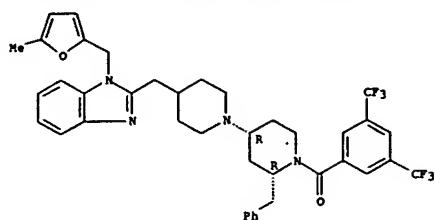
RN 193200-40-7 CAPIUS  
 CN 1,4'-Bipiperidine, 1'-(3,5-bis(trifluoromethyl)benzoyl)-4-[[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]methyl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



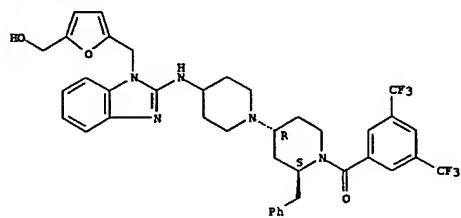
RN 193200-41-8 CAPIUS  
 CN 1,4'-Bipiperidine, 1'-(3,5-bis(trifluoromethyl)benzoyl)-4-[[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]methyl]-2'-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



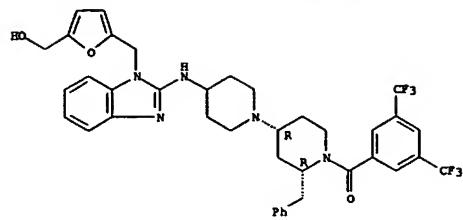
RN 193200-42-9 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, 1'-(3,5-bis(trifluoromethyl)benzoyl)-N-[1-((5-hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



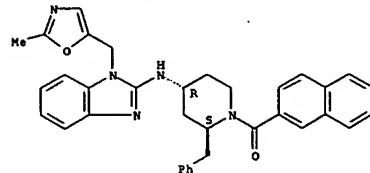
RN 193200-43-0 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, 1'-(3,5-bis(trifluoromethyl)benzoyl)-N-[1-((5-hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



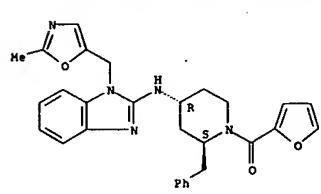
RN 193200-44-1 CAPLUS  
 CN 4-Piperidinamine, N-[1-((2-methyl-5-oxazolyl)methyl)-1H-benzimidazol-2-yl]-1-(2-naphthalenylcarbonyl)-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



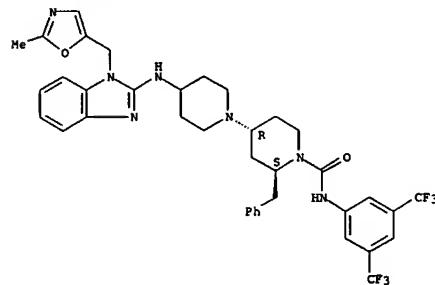
RN 193200-45-2 CAPLUS  
 CN 4-Piperidinamine, 1-(2-furanylcarbonyl)-N-[1-((2-methyl-5-oxazolyl)methyl)-1H-benzimidazol-2-yl]-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



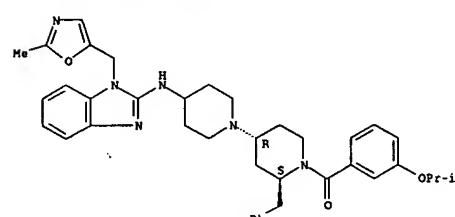
RN 193200-51-0 CAPLUS  
 CN [1,4'-Bipiperidin]-1'-carboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-4-[(1-((2-methyl-5-oxazolyl)methyl)-1H-benzimidazol-2-yl)amino]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



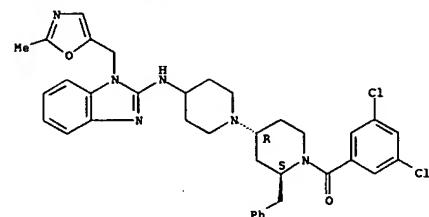
RN 193200-53-2 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, 1'-(3-(1-methylethoxy)benzoyl)-N-[1-((2-methyl-5-oxazolyl)methyl)-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 193200-54-3 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, 1'-(3,5-dichlorobenzoyl)-N-[1-((2-methyl-5-oxazolyl)methyl)-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

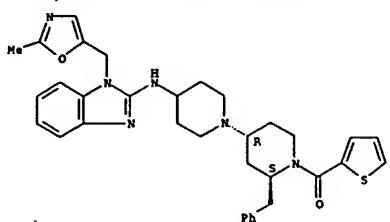
Relative stereochemistry.



RN 193200-55-4 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, N-[1-((2-methyl-5-oxazolyl)methyl)-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-1'-(2-thienylcarbonyl)-, trans- (9CI) (CA INDEX NAME)

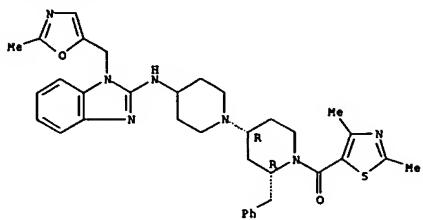
Relative stereochemistry.

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193200-64-5 CAPLUS  
 CN [1,4'-Bipiperidin-4-amine, 1'-(2,4-dimethyl-5-thiazolyl)carbonyl]-N-[1-(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

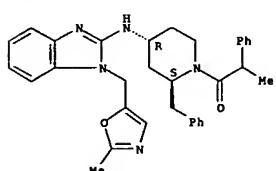


IT 193201-90-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (prepns. of benzimidazolyl- and imidazopyridinylpiperidines as tachykinin antagonists)  
 RN 193201-90-0 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-[2-(phenylmethyl)[1,4'-bipiperidin-4-yl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

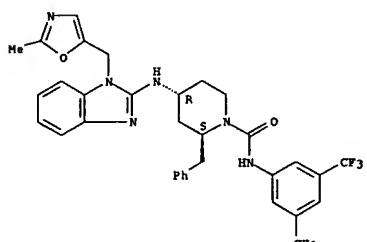
L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

Relative stereochemistry.



RN 193200-48-5 CAPLUS  
 CN 1-Piperidinecarboxamide, N-(3,5-bis(trifluoromethyl)phenyl)-4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

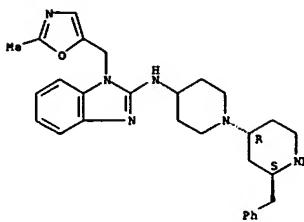
Relative stereochemistry.



RN 193200-49-6 CAPLUS  
 CN 4-Piperidinamine, 1-(6-benzothiazolylcarbonyl)-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

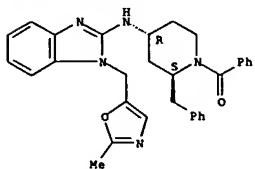
L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT 193200-46-3P 193200-47-4P 193200-48-5P  
 193200-49-6P 193200-50-9P 193200-52-1P  
 193200-56-5P 193200-57-6P 193200-58-7P  
 193200-59-8P 193200-60-1P 193200-61-2P  
 193200-62-3P 193200-63-4P 193200-63-6P  
 193200-66-7P 193200-67-8P 193200-73-8P  
 193200-77-0P 193200-80-5P 193200-85-0P  
 193200-94-1P 193201-01-3P 193201-02-4P  
 193201-13-9P 193201-17-1P 193201-94-4P  
 193201-97-7P 193201-99-9P 193202-16-3P  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepns. of benzimidazolyl- and imidazopyridinylpiperidines as tachykinin antagonists)

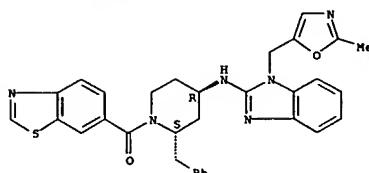
RN 193200-46-3 CAPLUS  
 CN 4-Piperidinamine, 1-benzyl-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



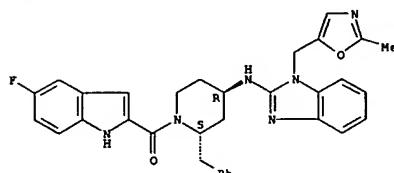
RN 193200-47-4 CAPLUS  
 CN 4-Piperidinamine, N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-1-(1-oxo-2-phenylpropyl)-2-(phenylmethyl)-, (2.alpha.,4.beta.)-[partial]-

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



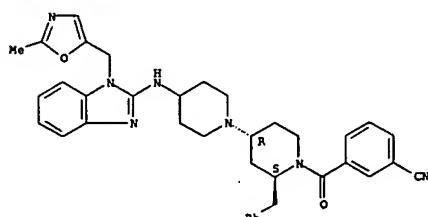
RN 193200-50-9 CAPLUS  
 CN 4-Piperidinamine, 1-[(5-fluoro-1H-indol-2-yl)carbonyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 193200-52-1 CAPLUS  
 CN [1,4'-Bipiperidin-4-amine, 1'-(3-cyanobenzyl)-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

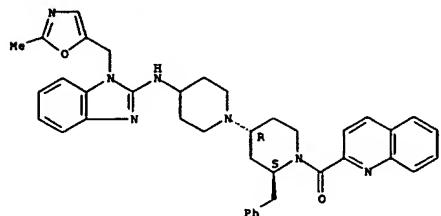
Relative stereochemistry.



L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

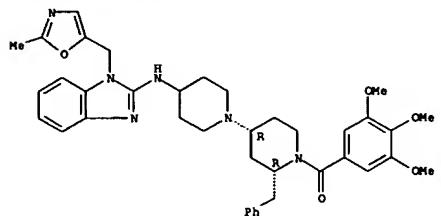
RN 193200-56-5 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-1'-[2-quinolinylcarbonyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 193200-57-6 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-1'-[3,4,5-trimethoxybenzoyl]-, cis- (9CI) (CA INDEX NAME)

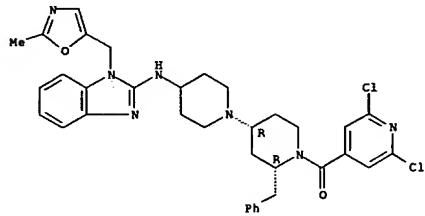
Relative stereochemistry.



RN 193200-58-7 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-1'-[2-thienylcarbonyl]-, cis- (9CI) (CA INDEX NAME)

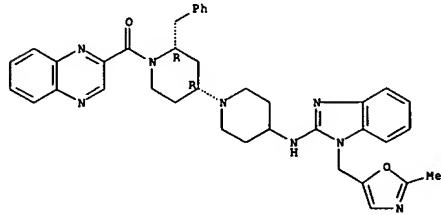
Relative stereochemistry.

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 193200-61-2 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-1'-[2-quinolinalylicarbonyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



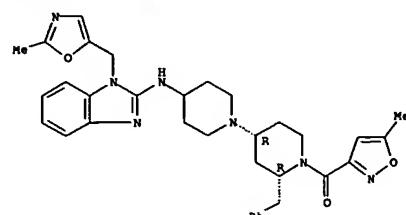
RN 193200-62-3 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, 1'-{[3-(1-methylethoxy)phenyl]acetyl}-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 193200-59-8 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, 1'-{[(5-methyl-3-isoxazolyl)carbonyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

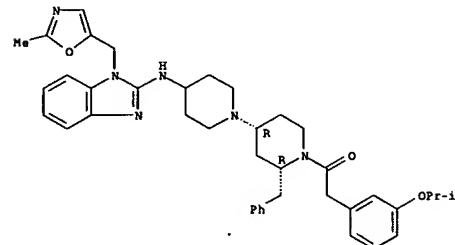
Relative stereochemistry.



RN 193200-60-1 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, 1'-{[(2,6-dichloro-4-pyridinyl)carbonyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

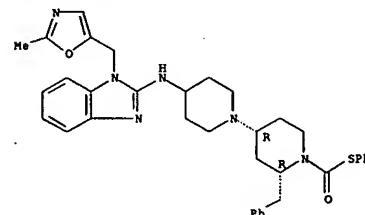
Relative stereochemistry.

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



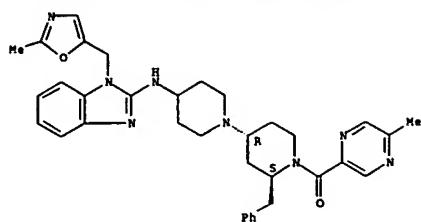
RN 193200-63-4 CAPLUS  
 CN [1,4'-Bipiperidine]-1'-carbothioic acid, 4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-2'-(phenylmethyl)-, S-phenyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



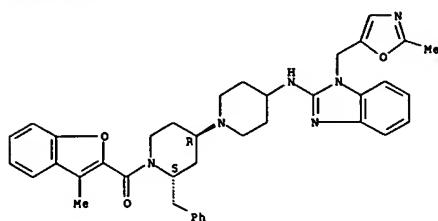
RN 193200-65-6 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-1'-{[(5-methylpyrazinyl)carbonyl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



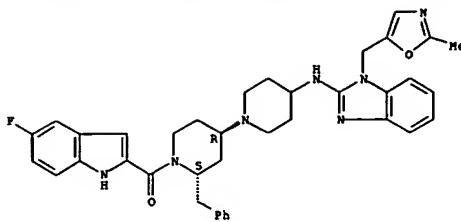
RN 193200-66-7 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, 1'-(3-methyl-2-benzofuranyl)carbonyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



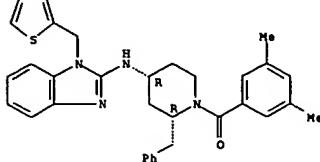
RN 193200-67-8 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, 1'-(5-fluoro-1H-indol-2-yl)carbonyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



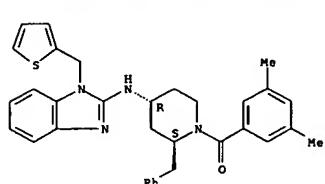
RN 193200-75-8 CAPLUS  
 CN 4-Piperidinamine, 1-(3,5-dimethylbenzoyl)-2-(phenylmethyl)-N-[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



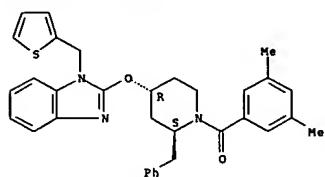
RN 193200-77-0 CAPLUS  
 CN 4-Piperidinamine, 1-(3,5-dimethylbenzoyl)-2-(phenylmethyl)-N-[1-(2-thienylmethyl)-1H-benzimidazol-2-yl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



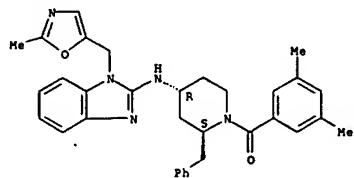
RN 193200-80-5 CAPLUS  
 CN Piperidine, 1-(3,5-dimethylbenzoyl)-2-(phenylmethyl)-4-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)oxy]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



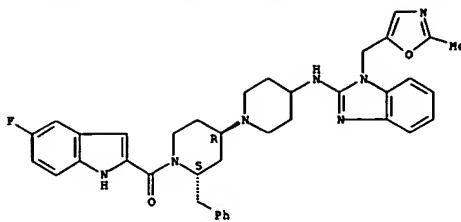
RN 193200-85-0 CAPLUS  
 CN 4-Piperidinamine, 1-(3,5-dimethylbenzoyl)-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



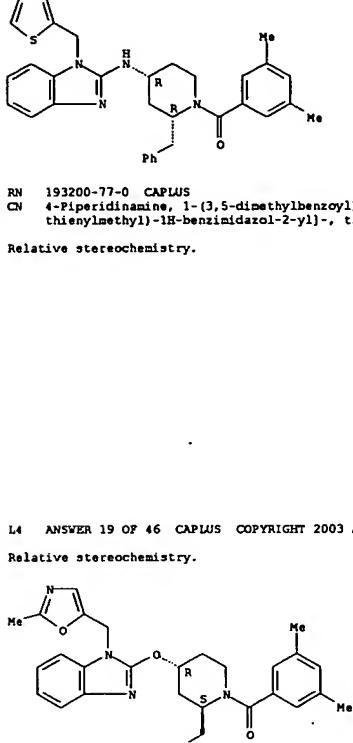
RN 193200-94-1 CAPLUS  
 CN Piperidine, 1-(3,5-dimethylbenzoyl)-4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)oxy]-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Habte



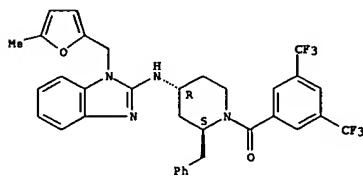
RN 193201-01-3 CAPLUS  
 CN 4-Piperidinamine, 1-(3,5-bis(trifluoromethyl)benzoyl)-N-[1-[(5-methyl-2-furanylmethyl)-1H-benzimidazol-2-yl]-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



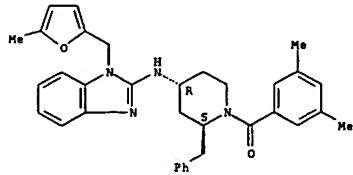
RN 193201-02-4 CAPLUS  
 CN 4-Piperidinamine, 1-(3,5-dimethylbenzoyl)-N-[1-[(5-methyl-2-furanylmethyl)-1H-benzimidazol-2-yl]-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



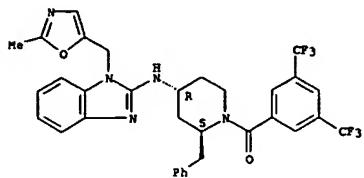
RN 193201-02-4 CAPLUS  
 CN 4-Piperidinamine, 1-(3,5-dimethylbenzoyl)-N-[1-[(5-methyl-2-furanylmethyl)-1H-benzimidazol-2-yl]-2-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



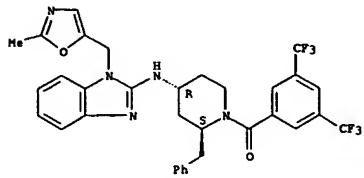
L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 RN 193201-15-9 CAPLUS  
 CN 4-Piperidinamine, 1-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)-, trans-(-) - (9CI)  
 (CA INDEX NAME)

Rotation (+). Absolute stereochemistry unknown.



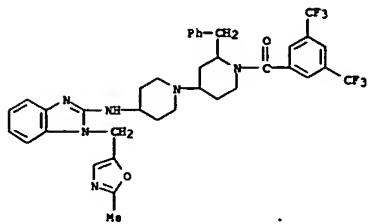
RN 193201-17-1 CAPLUS  
 CN 4-Piperidinamine, 1-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)-, trans-(-) - (9CI)  
 (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

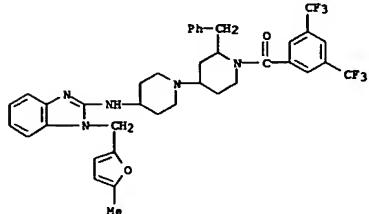


RN 193201-94-4 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, 1'-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)- (9CI)  
 (CA INDEX NAME)

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



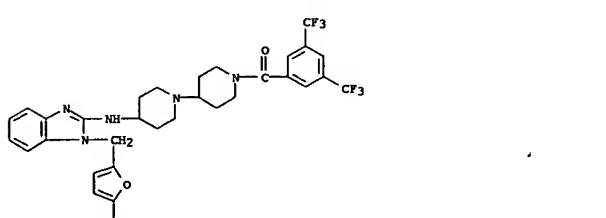
RN 193201-97-7 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, 1'-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)- (9CI)  
 (CA INDEX NAME)



RN 193201-99-9 CAPLUS  
 CN 4-Piperidinamine, 1-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(2-methyl-4-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethyl)- (9CI)  
 (CA INDEX NAME)

L4 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 193202-16-3 CAPLUS  
 CN [1,4'-Bipiperidin]-4-amine, 1'-[3,5-bis(trifluoromethyl)benzoyl]-N-[1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl]- (9CI)  
 (CA INDEX NAME)

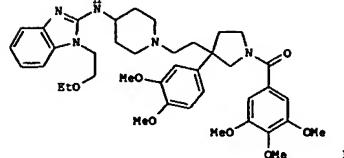
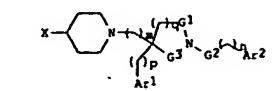


L4 ANSWER 20 OF 46 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997-453985 CAPLUS  
 DOCUMENT NUMBER: 127:81450  
 TITLE: Substituted 4-(1H-benzimidazol-2-ylamino)piperidines useful for the treatment of allergic diseases  
 INVENTOR(S): Kane, John M.; Maynard, George D.; Burkholder, Timothy P.; Bratton, Larry D.; Dalton, Christopher R.; Santiago, Braulio; Kudlacz, Elizabeth H.  
 PATENT ASSIGNEE(S): Hoechst Marion Roussel, Inc., USA  
 SOURCE: PCT Int. Appl., 323 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9719074	A1	19970529	WO 1996-US18001	19961107
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, ES, FI, GB, GE, HU, IL, IS, JP, KZ, KG, KP, KR, KZ, LC, LK, LD, LS, LT, LU, LV, MD, MG, MK, MN, MW, MY, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AR, AZ, BY, KG, KZ, MD, RU, TJ, TM, BY, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
JP 20000500742	T2	20000125	JP 1997-517638	19961030
CA 2237971	AA	19970529	CA 1996-2237971	19961107
CA 2237971	C	20020122		
AU 9710508	A1	19970611	AU 1997-10508	19961107
AU 703701	B2	19990401		
CN 1202894	A	19981223	CN 1996-198360	19961107
CN 1098264	B	20030108		
EP 920425	A1	19990609	EP 1996-941334	19961107
EP 920425	B1	20030219		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 11513991	T2	19991130	JP 1996-519767	19961107
CA 2237971	AA	20010319	CA 1996-124396	19961107
IL 124396	A1	20030315	AT 1996-941334	19961107
AT 232858	E			
ZA 9609484	A	19970610	ZA 1996-9484	19961112
TV 394771	B	20000621	TV 1996-85115760	19961220
NO 9802238	A	19980701	NO 1998-2238	19980515
PRIORITY APPLN. INFO.:				
			US 1995-560419	A 19951117
			US 1996-734508	A 19961017
			US 1995-8108P	P 19951030
			US 1995-7473P	P 19951122
			US 1995-8992P	P 19951221
			US 1996-13747P	P 19960320
			US 1996-13746P	P 19960320
			US 1996-17455P	P 19960517
			US 1996-17892P	P 19960517
			US 1996-22047P	P 19960722
			US 1996-23494P	P 19960907
			WO 1996-US18001	V 19961107

OTHER SOURCE(S): MARPAT 127:81450  
 GI

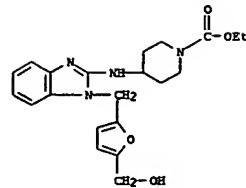


AB The invention relates to novel substituted piperidine derivs. I ( $n = 2, 3$ ;  $n = 0, 1$ ;  $q = 0, 1$ ;  $G1 = \text{CH}_2$ ,  $G2 = \text{CH}_2, \text{CHMe}$ ,  $G3 = \text{CH}_2$ ,  $\text{CO} = \text{Ar}_1 = (\text{un})\text{substituted Ph}$ , naphthyl, pyridyl, or thiényl;  $\text{Ar}_2 = (\text{un})\text{substituted benzimidazol-2-ylaminos with several provisos}$ ) and their stereoisomers and pharmaceutically acceptable salts. The compds. are useful as histamine receptor antagonists and tachykinin receptor antagonists (no data). Such antagonists are useful in the treatment of allergic rhinitis, including seasonal rhinitis and sinusitis, inflammatory bowel diseases, including Crohn's disease and ulcerative colitis, asthma, bronchitis, and emesis. For example, 3-(3,4-dimethoxyphenyl)-3-(2-hydroxyethyl)pyrrolidine (prepn. given) underwent amidation with  $\text{Et}_3\text{N}$ , and coupling with (1-(2-ethoxyethyl)-1H-benzimidazol-2-yl)(piperidin-4-yl)amine (prepn. given), to give title compd. II.

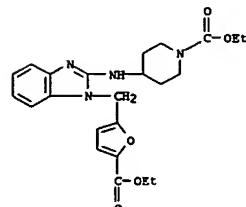
IT R1: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent); (intermediate; prepn. of (benzimidazolylamino)piperidines as antiallergics)

RN 132551-78-1 CAPLUS

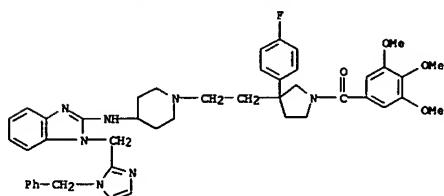
CN 1-Piperidinecarboxylic acid, 4-[(3-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 132552-50-2 CAPLUS  
CN 1-Piperidinecarboxylic acid, 4-[(1-((5-ethoxycarbonyl)-2-furanyl)methyl)-1H-benzimidazol-2-yl]amino-, ethyl ester (9CI) (CA INDEX NAME)



RN 191605-13-7 CAPLUS  
CN Pyrrolidine, 3-(4-fluorophenyl)-3-[2-[(1-((1-((5-ethoxycarbonyl)-2-furanyl)methyl)-1H-benzimidazol-2-yl)amino)-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



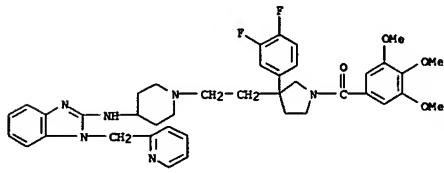
IT 191603-66-4P 191603-67-5P 191603-70-0P

191603-80-2P 191604-17-8P 191605-16-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (prepn. of (benzimidazolylamino)piperidines as antiallergics)

RN 191603-66-4 CAPLUS

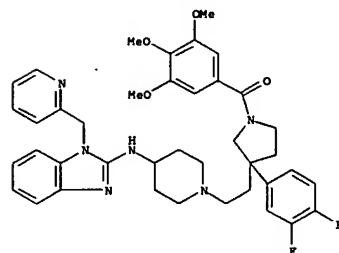
CN Pyrrolidine, 3-(3,4-difluorophenyl)-3-[2-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 191603-67-5 CAPLUS

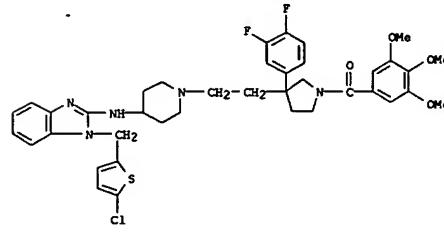
CN Pyrrolidine, 3-(3,4-difluorophenyl)-3-[2-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

Rotation<sup>a</sup> (-).



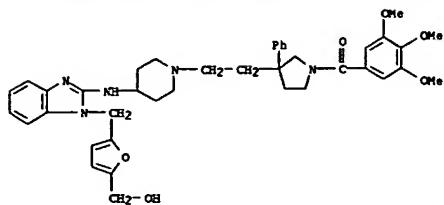
RN 191603-70-0 CAPLUS

CN Pyrrolidine, 3-[2-[(1-((5-chloro-2-thienyl)methyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-3-(3,4-difluorophenyl)-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



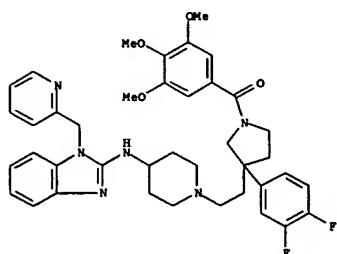
RN 191603-80-2 CAPLUS

CN Pyrrolidine, 3-[2-[(1-((5-hydroxymethyl)-2-furanyl)methyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-3-phenyl-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 191604-17-8 CAPLUS  
 CN Pyrrolidine, 3-(3,4-difluorophenyl)-3-[2-{4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl}ethyl]-1-(3,4,5-trimethoxybenzoyl)-  
 , (+)- (9CI) (CA INDEX NAME)

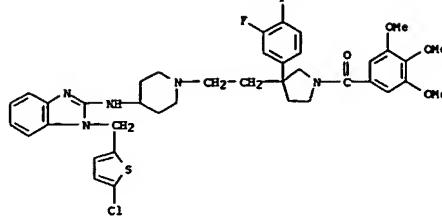
Rotation (+).



RN 191605-16-0 CAPLUS  
 CN Pyrrolidine, 3-[2-{4-[(1-[(5-chloro-2-thienyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl}ethyl]-3-(3,4-difluorophenyl)-1-(3,4,5-trimethoxybenzoyl)-, dimethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 191603-70-0  
 CMF C39 H42 Cl2 N5 O4 S



CH 2  
 CRN 75-75-2  
 CMF C H4 O3 S

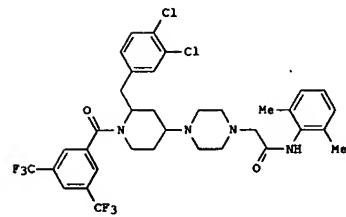
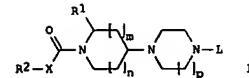


L4 ANSWER 21 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1997:425258 CAPLUS  
 DOCUMENT NUMBER: 127:34245  
 TITLE: Preparation of 1-(1,2-disubstituted piperidinyl)-4-substituted piperazine derivatives as substance-P antagonists  
 INVENTOR(S): Janssens, Frans Eduard; Sommen, Francois Maria; Surleraux, Dominique Louis Nestor Ghislaine; Leenaerts, Joseph Elisabeth; Van Roosbroeck, Yves Emiel Maria  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; Janssens, Frans Eduard; Sommen, Francois Maria; Surleraux, Dominique Louis Nestor Ghislaine; Leenaerts, Joseph Elisabeth; Van Roosbroeck, Yves Emiel Maria  
 SOURCE: PCT Int. Appl., 69 pp.  
 CODEN: PIIXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9716440	A1	19970509	WO 1996-EP4660	19961025
W: AL, AM, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RV: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
TW 460473	B	20010121	TW 1996-85113017	19961024
CA 2234096	AA	19970509	CA 1996-2234096	19961025
AU 9674932	A1	19970522	AU 1996-74932	19961025
AU 704155	B2	19990415		
EP 862566	A1	19980909	EP 1996-937248	19961025
EP 862566	B1	20000112		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
CN 1205699	A	19990120	CN 1996-199225	19961025
BR 9611184	A	19990330	BR 1996-11184	19961025
JP 11514634	T2	19991214	JP 1996-517050	19961025
AT 188691	E	20000115	AT 1996-937248	19961025
ES 2143238	T3	20000501	ES 1996-937248	19961025
JP 3073238	B2	20000807	JP 1997-517050	19961025
IL 123962	A1	20010111	IL 1996-123962	19961025
PL 185029	B1	20030228	PL 1996-327406	19961025
ZA 9609090	A	19980429	ZA 1996-9090	19961029
CA 1206406	A	19990127	CN 1996-199389	19961220
CN 1206414	A	19990127	CN 1996-199406	19961220
NO 9801534	A	19980624	NO 1998-1534	19980403
US 6197772	B1	20010306	US 1998-54963	19980403
US 6521621	B1	20030218	US 2000-745513	20001222
US 37886	E	20021015	US 2001-935698	20010823
PRIORITY APPLN. INFO.:			EP 1995-202929	A 19951030
			WO 1996-EP4660	W 19961025
			US 1998-54963	A1 19980403

OTHER SOURCE(S): MARPAT 127:34245  
 GI

Habte



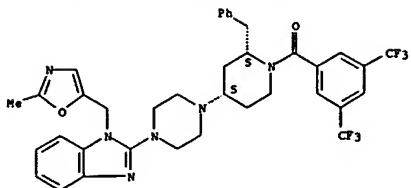
AB The title compds. (I; n = 0-2; m = 1-2 (if n = 2, then n = 1); p = 1-2; Q = O, NH3; X = a covalent bond, a bivalent radical of formula O, S, NR3; R1 = Ar1, Ar1Cl-6alkyl, di(Ar1)Cl-6alkyl wherein each Cl-6alkyl group is optionally substituted with hydroxy, Cl-4alkyloxy, oxo, a ketalized oxo substituent); R2 = Ar2, Ar2Cl-6alkyl, Het1, Het1Cl-6alkyl; R3 = H, Cl-6alkyl; L = H; Ar3, Cl-6alkyl, etc. Ar1, Ar2, Ar3 = (un)substituted Ph; Het1, Het2 = monocyclic, bicyclic heterocycle) and their N-oxide forms, the pharmaceutically acceptable addn. salts and the stereoisomeric forms, useful as substance-P antagonists were prep. and formulated. Thus, reaction of 3,5-bis(trifluoromethyl)benzoyl chloride with (+,-)-4-[(2-[(3,4-dichlorophenyl)methyl]-4-piperidinyl)-N-(2,6-dimethylphenyl)-1-piperazineacetamide in the presence of Et3N in DCM afforded 44 II which showed IC50 of 0.13x10-9 M against substance-P induced relaxation of the pig coronary arteries.

IT 190963-36-1P 190963-37-2P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (prep. of 1-(1,2-disubstituted piperidinyl)-4-substituted piperazine derivs. as substance-P antagonists)

RN 190963-36-1 CAPLUS  
 CN Piperidine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)-1-piperazinyl]-2-(phenylmethyl)-, cis- (9CI) (CA INDEX NAME)

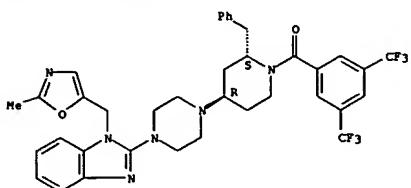
Relative stereochemistry.

L4 ANSWER 21 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 190963-37-2 CAPIUS  
 CN Piperidine, 1-[3,5-bis(trifluoromethyl)benzoyl]-4-[4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)-1-piperazinyl]-2-(phenylmethyl)-trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

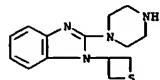


L4 ANSWER 22 OF 46 CAPIUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1996:488344 CAPIUS  
 DOCUMENT NUMBER: 125:237897  
 TITLE: Preparation and immunomodulating effect of 1-thietanyl-3-benzimidazoles  
 AUTHOR(S): Kataev, V. A.; Sadykov, R. F.; Khalilov, F. A.; Sibiryak, S. V.; Alekhin, E. K.; Volkova, S. S.  
 CORPORATE SOURCE: Bashkirskii Gosudarstvennyi Meditsinskii Institut, Ufa, Russia  
 SOURCE: Khimiko-Farmatsevicheskii Zhurnal (1996), 30(7), 22-24  
 CODEN: KHPZAN; ISSN: 0023-1134  
 PUBLISHER: Izdatel'stvo Folium  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Russian

AB 2-Amino-substituted 1-(3-thietanyl)benzimidazoles, 2-[1-(3-thietanyl)benzimidazolyl-2-thio]acetic acid, and its potassium salt (I) were prep'd. and their effects on anti-infectious and antitumor immunity were studied. I demonstrated considerable potentiation of antitumor immunity.

IT 182193-15-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIO (Biological study); PREP (Preparation); USES (Uses) (prepn. and immunomodulating effect of thietanylbenzimidazoles)

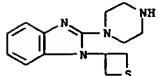
RN 182193-15-3 CAPIUS  
 CN 1H-Benzimidazole, 2-(1-piperazinyl)-1-(3-thietanyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

IT 182193-12-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and immunomodulating effect of thietanylbenzimidazoles)  
 RN 182193-12-0 CAPIUS  
 CN 1H-Benzimidazole, 2-(1-piperazinyl)-1-(3-thietanyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 22 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

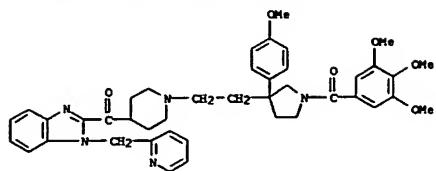


L4 ANSWER 23 OF 46 CAPIUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1996:404635 CAPIUS  
 DOCUMENT NUMBER: 125:114615  
 TITLE: 1-Benzoyl-3-[2-[4-(1H-benzimidazole-2-carboxy)pyridin-1-yl]ethyl]-3-phenylpyrrolidine derivatives and analogs as histamine and tachykinin receptor antagonists useful for the treatment of allergic diseases  
 INVENTOR(S): Burkholder, Timothy P.; Bratton, Larry D.; Kudlacz, Elizabeth M.; Maynard, George D.; Kane, John M.; Santiago, Braulio  
 PATENT ASSIGNEE(S): Merrell Dow Pharmaceuticals Inc., USA  
 SOURCE: PCT Int. Appl., 294 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9606094	A1	19960229	WO 1995-US10640	19950817
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TH				
RV: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2198084	AA	19960229	CA 1995-2198084	19950817
AU 6934928	A1	19960314	AU 1995-34928	19950817
AU 693936	B2	19980709		
EP 777666	A1	19970611	EP 1995-931551	19950817
EP 777666	B1	19990303		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1158612	A	19970903	CN 1995-195283	19950817
CN 1067385	B	20010620		
HU 76644	A2	19971028	HU 1997-1257	19950817
HU 221434	B	20021028		
JP 10504580	T2	19980506	JP 1995-500257	19950817
AT 177095	E	19990315	AT 1995-931551	19950817
ES 2132709	T3	19990816	ES 1995-931551	19950817
ZA 9507033	A	19960416	ZA 1995-7033	19950822
IL 115040	A1	20000229	IL 1995-15040	19950823
TW 430662	B	20010421	TW 1995-84108797	19950823
FI 9700771	A	19970224	FI 1997-771	19970224
NO 9700831	A	19970418	NO 1997-831	19970224
PRIORITY APPLN. INFO.:			US 1994-295960 A	19940825
			US 1995-501914 A	19950713
			WO 1995-US10640 W	19950817

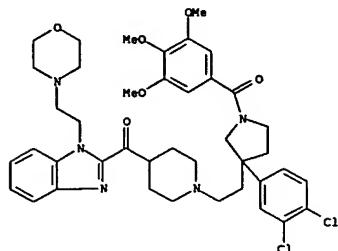
OTHER SOURCE(S): MARPAT 125:114615  
 GI





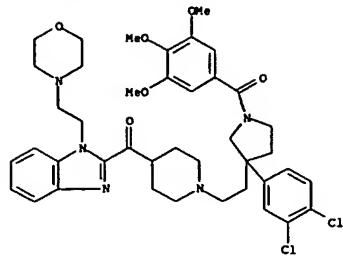
RN 178372-82-2 CAPLUS  
 CN Pyrrolidine, 3-[3,4-dichlorophenyl]-3-[2-[4-[[1-(2-(4-morpholinyl)ethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



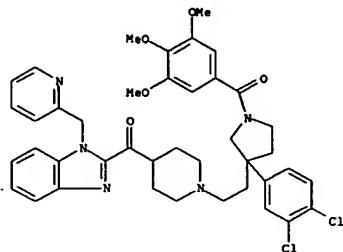
RN 178372-83-3 CAPLUS  
 CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-[4-[[1-(2-(4-morpholinyl)ethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



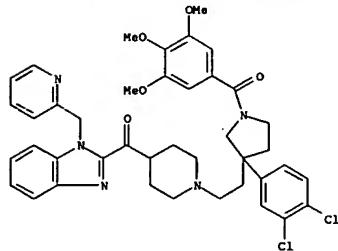
RN 178372-84-4 CAPLUS  
 CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



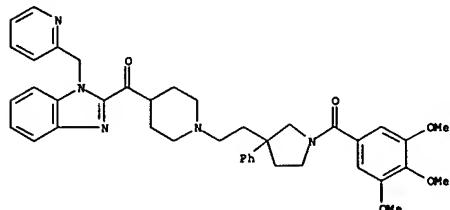
RN 178372-85-5 CAPLUS  
 CN Pyrrolidine, 3-(3,4-dichlorophenyl)-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



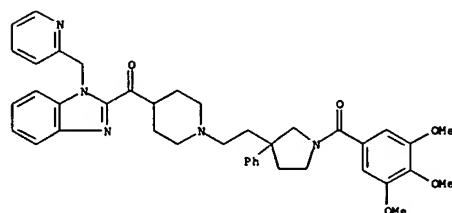
RN 178372-92-4 CAPLUS  
 CN Pyrrolidine, 3-phenyl-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



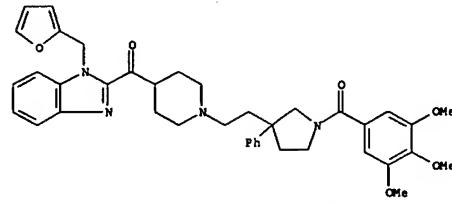
RN 178372-93-5 CAPLUS  
 CN Pyrrolidine, 3-phenyl-3-[2-[4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



RN 178373-06-3 CAPLUS  
 CN Pyrrolidine, 3-[2-[4-[[1-(2-furanyl methyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-3-phenyl-1-(3,4,5-trimethoxybenzoyl)-, (+)- (9CI) (CA INDEX NAME)

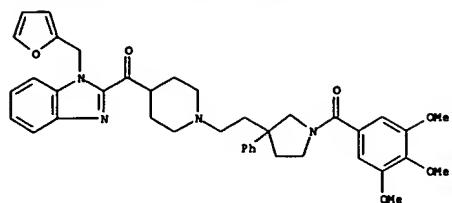
Rotation (+).



RN 178373-07-4 CAPLUS  
 CN Pyrrolidine, 3-[2-[4-[[1-(2-furanyl methyl)-1H-benzimidazol-2-yl]carbonyl]-1-piperidinyl]ethyl]-3-phenyl-1-(3,4,5-trimethoxybenzoyl)-, (-)- (9CI) (CA INDEX NAME)

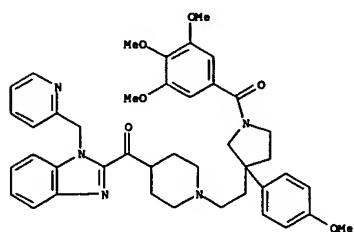
Rotation (-).

14 ANSWER 23 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 178373-36-9 CAPIUS  
 CN Pyrrolidine, 3-(4-methoxyphenyl)-3-[2-{4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)carbonyl]-1-piperidinyl}ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (+)- (9CI) (CA INDEX NAME)

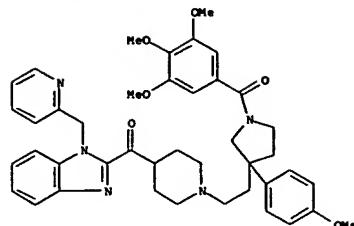
Rotation (+).



RN 178373-37-0 CAPIUS  
 CN Pyrrolidine, 3-(4-methoxyphenyl)-3-[2-{4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)carbonyl]-1-piperidinyl}ethyl]-1-(3,4,5-trimethoxybenzoyl)-, (-)- (9CI) (CA INDEX NAME)

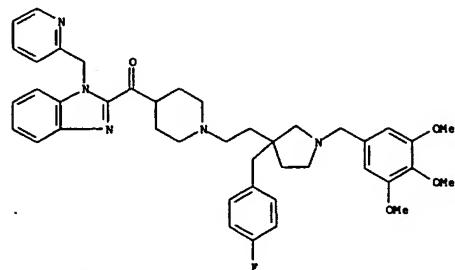
Rotation (-).

14 ANSWER 23 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 178373-45-0 CAPIUS  
 CN Methanone, [1-(2-[3-[(4-fluorophenyl)methyl]-1-(3,4,5-trimethoxyphenyl)3-pyrrolidinyl]ethyl)-4-piperidinyl](1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)-, (+)- (9CI) (CA INDEX NAME)

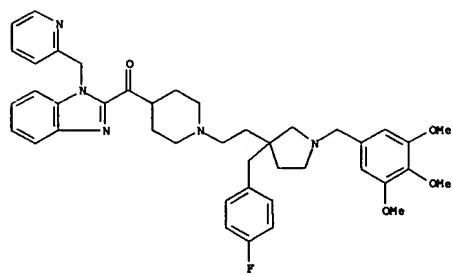
Rotation (+).



RN 178373-46-1 CAPIUS  
 CN Methanone, [1-(2-[3-[(4-fluorophenyl)methyl]-1-(3,4,5-trimethoxyphenyl)3-pyrrolidinyl]ethyl)-4-piperidinyl](1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)-, (-)- (9CI) (CA INDEX NAME)

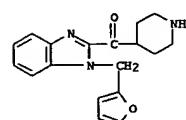
Rotation (-).

14 ANSWER 23 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



IT 178371-33-0P 178371-34-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (1-benzoyl-3-[2-(4-(1H-benzimidazole-2-carbonyl)piperidin-1-yl)ethyl]-3-phenylpyrrolidine derivs. and analogs as histamine and tachykinin receptor antagonists useful for the treatment of allergic diseases)  
 RN 178371-33-0 CAPIUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

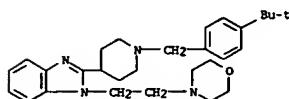
14 ANSWER 23 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



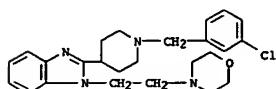
IT 178371-33-0P 178371-34-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (1-benzoyl-3-[2-(4-(1H-benzimidazole-2-carbonyl)piperidin-1-yl)ethyl]-3-phenylpyrrolidine derivs. and analogs as histamine and tachykinin receptor antagonists useful for the treatment of allergic diseases)  
 RN 178371-33-0 CAPIUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 178371-34-1 CAPIUS  
 CN Methanone, [1-(2-furanyl methyl)-1H-benzimidazol-2-yl]-4-piperidinyl- (9CI) (CA INDEX NAME)

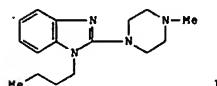
L4 ANSWER 24 OF 46 CAPIUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1995:658478 CAPIUS  
 DOCUMENT NUMBER: 124:8747  
 TITLE: Synthesis and structure-activity relationship of new piperidinyl and piperazine derivatives as antiallergics  
 AUTHOR(S): Orjales, Aurelio; Bordell, Maravillas; Rubio, Victor  
 CORPORATE SOURCE: Research Department, FAEIS S.A., Bilbao, 48080, Spain  
 SOURCE: Journal of Heterocyclic Chemistry (1995), 32(3), 707-18  
 CODEN: JHTCAD; ISSN: 0022-152X  
 PUBLISHER: HeteroCorporation  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB A series of piperazinebenzothiazoles, piperazinebenzimidazoles, piperidinobenzothiazoles, piperidinobenzimidazoles has been synthesized and their antiallergic activity evaluated by means of the passive cutaneous anaphylaxis (PCA) assay. Structure-activity relationships are discussed and related to classical antihistaminics. Piperidino derivs. with an aryl group linked to the nitrogen atom by an Et chain are the most active compds., with ID50 < 1 mg/kg po. Some of these compds. are more potent antiallergics than astemizole and terfenadine.  
 IT 171069-96-5P 171069-14-0P 171069-76-4P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (prepn. and structure-activity relationship of antiallergic benzimidazole benzothiazole and benzothiazole derivs.)  
 RN 171069-96-5 CAPIUS  
 CN 1H-Benzimidazole, 2-[1-[(4-(1,1-dimethylethyl)phenyl)methyl]-4-piperidinyl]-1-[2-(4-morpholinyl)ethyl] - (9CI) (CA INDEX NAME)



RN 171069-14-0 CAPIUS  
 CN 1H-Benzimidazole, 2-[1-[(3-chlorophenyl)methyl]-4-piperidinyl]-1-[2-(4-morpholinyl)ethyl] - (9CI) (CA INDEX NAME)



L4 ANSWER 25 OF 46 CAPIUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1994:435541 CAPIUS  
 DOCUMENT NUMBER: 121:35541  
 TITLE: Design and syntheses of a series of novel serotonin 5<sub>HT</sub> antagonists  
 AUTHOR(S): Hori, Manabu; Suzuki, Kenji; Yamamoto, Takeshi; Nakajima, Fumio; Ozaki, Akio; Ohtaka, Hiroshi  
 CORPORATE SOURCE: New Drug Res. Lab. Kanebo Ltd., Osaka, 534, Japan  
 SOURCE: Chemical & Pharmaceutical Bulletin (1993), 41(10), 1832-41  
 CODEN: CPBTAL; ISSN: 0009-2363  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

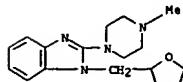


AB From a structural comparison study between serotonin and serotonin3 (5-HT3) antagonists using a 2-dimensional grid template composed of regular hexagons, the authors deduced structural modification patterns from agonists to antagonists, and designed new 5-HT3 antagonist prototypes. Among them, 2-(4-methyl-1-piperazinyl)-1-butylbenzimidazole (I) was identified as a lead compd. which has potent 5-HT3 antagonistic activity comparable to that of granisetron. Using a quant. structure-activity relations method, the authors optimized the structure of 6 and selected 6-amino-5-chloro-1-isopropyl-2-(4-methyl-1-piperazinyl)benzimidazole dimaleate (69, KB-6933), 1 of the most potent and long-acting 5-HT3 antagonists, as a candidate drug.

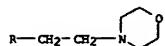
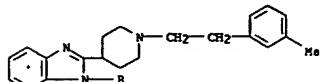
IT 155583-86-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as HT3-antagonist)  
 RN 155583-86-1 CAPIUS  
 CN 1H-Benzimidazole, 2-(4-methyl-1-piperazinyl)-1-[(tetrahydro-2-furanyl)methyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CH 1

CRN 155583-85-0  
 CMF C17 H24 N4 O



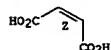
L4 ANSWER 24 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 RN 171069-76-4 CAPIUS  
 CN 1H-Benzimidazole, 2-[1-[(3-methylphenyl)ethyl]-4-piperidinyl]-1-[2-(4-morpholinyl)ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)  
 CH 1  
 CRN 171069-75-3  
 CMF C27 H36 N4 O



CH 2  
 CRN 110-17-8  
 CMF C4 H4 O4  
 Double bond geometry as shown.



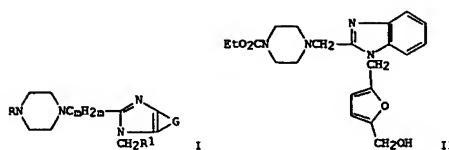
L4 ANSWER 25 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 CH 2  
 CRN 110-16-7  
 CMF C4 H4 O4  
 Double bond geometry as shown.



L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1994:54556 CAPLUS  
 DOCUMENT NUMBER: 120:54556  
 TITLE: Preparation of N-(arenoinimidazol-2-ylalkyl)piperazines as antiallergics  
 INVENTOR(S): Janssens, Frans Eduard; Leenaerts, Joseph Elisabeth; Diels, Gaston Stanislas Marcellus; Sommen, Francois Maria  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.  
 SOURCE: PCT Int. Appl., 39 pp.  
 CODEN: PIXDD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

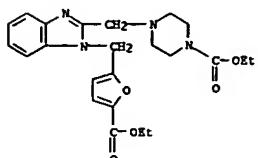
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9314088	A1	19930722	WO 1992-EP3000	19921229
V: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, NZ, PL, PT, RO, RU, SD, US KW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9332582	A1	19930803	AU 1993-32582	19921229
ZA 9300143	A	19940708	ZA 1993-143	19930108
PRIORITY APPLN. INFO. :			EP 1992-200042	19920109
			WO 1992-EP3000	19921229

OTHER SOURCE(S): MARPAT 120:54556  
GI



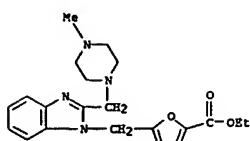
AB Title compds. [I] G = CH:CHCH:CH, N:CHCH:CH, etc.; R = H, (cyclo)alkyl, alkylcarbonyl, alkoxycarbonyl, etc.; R1 = (hydroxylalkyl)furanyl, -oxazolyl, alkyloxazolyl; m = 1-4 were prep'd. Thus, Et 1-piperazinecarboxylate was condensed with 2-chloromethyl-1H-benzimidazole and the product condensed with Et 5-chloromethyl-2-furanecarboxylate to give, after redn., title compd. II. Selected I had ED50 of 0.02-0.08 mg/kg for protection of rats from compd. 48/80-induced lethality (route of administration not given).  
 IT 151856-33-6P 152072-74-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and reaction of, in prepn. of antiallergic agent)  
 RN 151856-33-6 CAPLUS

L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 CN 1-Piperazinecarboxylic acid, 4-[(1-[(5-(ethoxycarbonyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 152072-74-7 CAPLUS  
 CN 2-Furanecarboxylic acid, 5-[(2-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl)methyl]-, ethyl ester, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

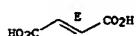
CM 1  
 CRN 152072-73-6  
 CHF C21 H26 N4 O3



CM 2

CRN 110-17-8  
 CHF C4 H4 O4

Double bond geometry as shown.



IT 151856-04-1P 151856-05-2P 151856-06-3P  
 151856-10-9P 151856-11-0P 151856-13-2P  
 151856-15-4P 151856-17-6P 151856-18-7P

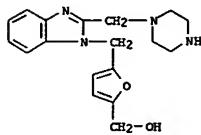
L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 151856-23-4P 152072-24-7P 152072-33-8P  
 152072-33-0P 152072-37-2P 152072-39-4P  
 152072-41-8P 152072-43-0P 152072-45-2P  
 152072-48-5P 152072-58-7P 152072-64-5P  
 152072-70-3P 152072-72-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as antiallergic agent)  
 RN 151856-04-1 CAPLUS  
 CN 1-Piperazinecarboxylic acid, 4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 151856-05-2 CAPLUS  
 CN 2-Furanmethanol, 5-[(2-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

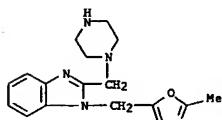
RN 151856-06-3 CAPLUS  
 CN 1-Piperazinecarboxylic acid, 4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 151856-10-9 CAPLUS  
 CN 2-Furanmethanol, 5-[(2-(1-piperazinylmethyl)-1H-benzimidazol-1-yl)methyl]-

L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 (9CI) (CA INDEX NAME)

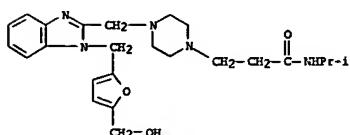


RN 151856-11-0 CAPLUS  
 CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-(1-piperazinylmethyl)-, tetrahydrobromide (9CI) (CA INDEX NAME)



● 4 HBr

RN 151856-13-2 CAPLUS  
 CN 1-Piperazinecarboxylic acid, 4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

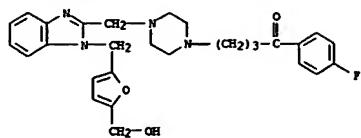


RN 151856-15-4 CAPLUS  
 CN 1-Butanone, 1-(4-fluorophenyl)-4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)

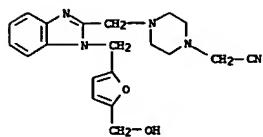
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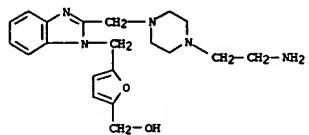
L4 ANSWER 26 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 151856-17-6 CAPIUS  
 CN 1-Piperazinesacetonitrile, 4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)

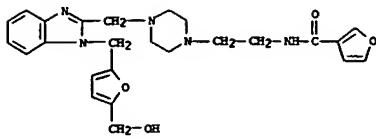


RN 151856-18-7 CAPIUS  
 CN 2-Furanmethanol, 5-[(2-[(4-(2-aminoethyl)-1-piperazinyl)methyl]-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



RN 151856-23-4 CAPIUS  
 CN 3-Purancarbonamide, N-[2-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 26 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

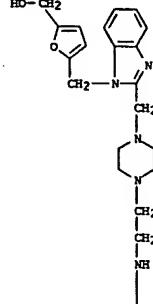


RN 152072-24-7 CAPIUS  
 CN 2-Furanmethanol, 5-[(2-[(4-(1H-imidazo[4,5-c]pyridin-2-ylamino)ethyl]-1-piperazinyl)methyl]-1H-benzimidazol-1-yl)methyl]-, (2E)-2-butenedioate (1:3) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 152072-23-6  
CMF C26 H30 N8 O2

PAGE 1-A



L4 ANSWER 26 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

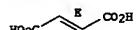
PAGE 2-A



CM 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.



RN 152072-33-8 CAPIUS  
 CN 2-Furanmethanol, 5-[(2-[(4-(2-(4-methoxyphenyl)ethyl)-1-piperazinyl)methyl]-1H-benzimidazol-1-yl)methyl]-, (2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

CM 1

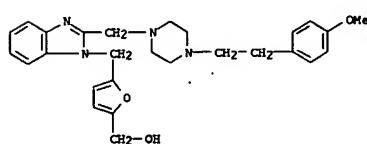
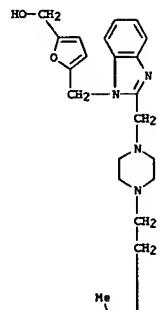
CRN 152072-32-7  
CMF C27 H32 N4 O3

L4 ANSWER 26 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[(2-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperazinyl)ethyl]-7-methyl-, (2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 152072-34-9  
CMF C27 H30 N6 O3 S

PAGE 1-A



CM 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.



RN 152072-35-0 CAPIUS

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CM 2  
CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

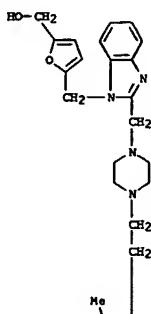
6/02/2003

L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 152072-37-2 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-6-[2-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperazinyl]ethyl]-7-methyl-, (2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

CH 1

CRN 152072-36-1  
 CMF C27 H32 N6 O3 S

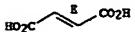
PAGE 1-A

L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CH 2

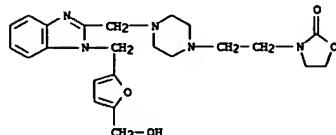
CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



RN 152072-39-4 CAPLUS  
 CN 2-Oxazolidinone, 3-[2-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperazinyl]ethyl]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

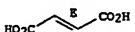
CH 1

CRN 152072-38-3  
 CMF C23 H29 N5 O4

CH 2

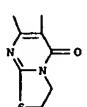
CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.

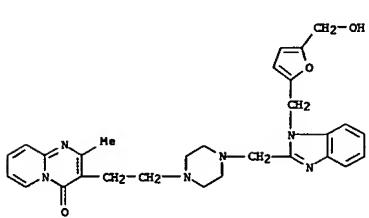


RN 152072-41-8 CAPLUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperazinyl]ethyl]-2-methyl-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CH 1



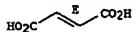
PAGE 2-A

L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 CRN 152072-40-7  
 CMF C29 H32 N6 O3

CH 2

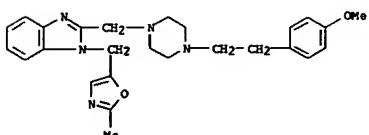
CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



RN 152072-43-0 CAPLUS  
 CN 1H-Benzimidazole, 2-[(4-[(2-(4-methoxyphenyl)ethyl]-1-piperazinyl)methyl]-1-[(2-methyl-5-oxazolyl)methyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

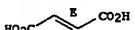
CH 1

CRN 152072-42-9  
 CMF C26 H31 N5 O2

CH 2

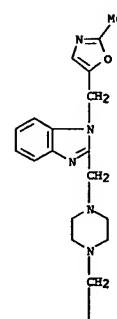
CRN 110-17-8  
 CMF C4 H4 O4

Habte

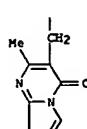
L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 Double bond geometry as shown.

RN 152072-45-2 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperazinyl]ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 152072-44-1  
 CMF C26 H29 N7 O2 S

PAGE 1-A



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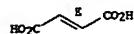
6/02/2003

L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CH 2

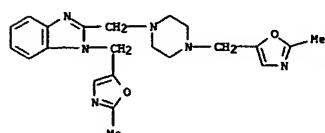
CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.



RN 152072-48-5 CAPLUS  
 CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-[(4-((2-methyl-5-oxazolyl)methyl)-1-piperazinyl)methyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

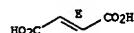
CH 1

CRN 152072-47-4  
CMF C22 H26 N6 O2

CH 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

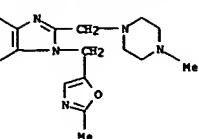


RN 152072-58-7 CAPLUS  
 CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-[(4-methyl-1-piperazinyl)methyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CH 1

CRN 152072-57-6  
CMF C18 H23 N5 O

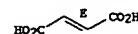
L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CH 2

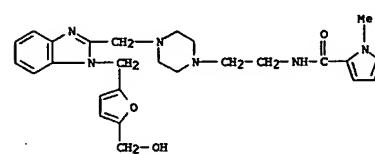
CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.



RN 152072-64-5 CAPLUS  
 CN 1H-Pyrrole-2-carboxamide, N-[2-[(1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl)methyl]-1-piperazinyl]ethyl]-1-methyl-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CH 1

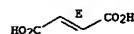
CRN 152072-63-4  
CMF C26 H32 N6 O3

CH 2

CRN 110-17-8  
CMF C4 H4 O4

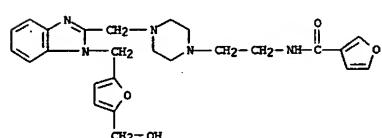
Double bond geometry as shown.

L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 152072-70-3 CAPLUS  
 CN 3-Furancarboxamide, N-[2-[(1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl)methyl]-1-piperazinyl]ethyl]-, (2E)-2-butenedioate (1:2) (salt) (9CI) (CA INDEX NAME)

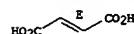
CH 1

CRN 151856-23-4  
CMF C25 H29 N5 O4

CH 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

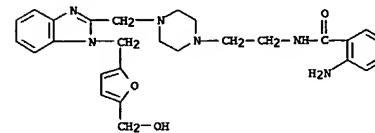


RN 152072-72-5 CAPLUS  
 CN 2-amino-N-(2-[(1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl)methyl]-1-piperazinyl)ethyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CH 1

CRN 152072-71-4  
CMF C27 H32 N6 O3

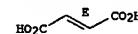
L4 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CH 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

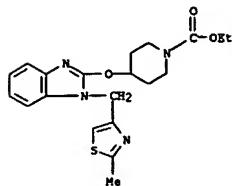




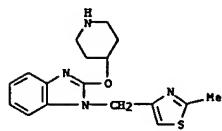
L4 ANSWER 27 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

CRN 144-62-7  
C10H 22 H2 04

RN 141841-14-7 CAPIUS  
CN 1H-Piperidinocarboxylic acid, 4-[(1-[(2-methyl-4-thiazolyl)methyl]-1H-benzimidazol-2-yl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)

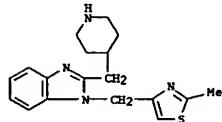


RN 141841-15-8 CAPIUS  
CN 1H-Benzimidazole, 1-[(2-methyl-4-thiazolyl)methyl]-2-(4-piperidinyl)- (9CI) (CA INDEX NAME)



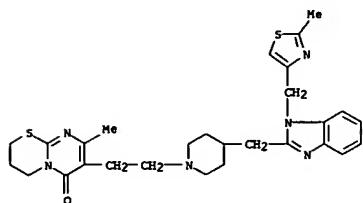
RN 141841-16-9 CAPIUS  
CN 2H,6H-Pyrimido[2,1-b][1,3]thiazin-6-one, 3,4-dihydro-8-methyl-7-[2-(4-[(1-[(2-methyl-4-thiazolyl)methyl]-1H-benzimidazol-2-yl)oxy]-1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 141841-21-6 CAPIUS  
CN 2H,6H-Pyrimido[2,1-b][1,3]thiazin-6-one, 3,4-dihydro-8-methyl-7-[2-(4-[(1-[(2-methyl-4-thiazolyl)methyl]-1H-benzimidazol-2-yl)oxy]-1-piperidinyl)ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 141841-20-5  
C10H 22 H34 N6 O 52

CM 2

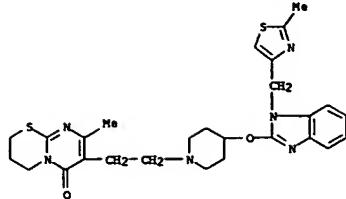
CRN 110-17-8  
C4 H4 O4

Double bond geometry as shown.

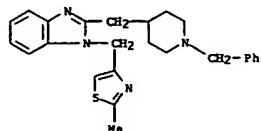


RN 141841-22-7 CAPIUS  
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-(4-[(1-[(2-methyl-4-thiazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

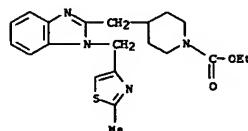
L4 ANSWER 27 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

CRN 144-62-7  
C10H 22 H2 04

RN 141841-17-0 CAPIUS  
CN 1H-Benzimidazole, 1-[(2-methyl-4-thiazolyl)methyl]-2-[(1-(phenylmethyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



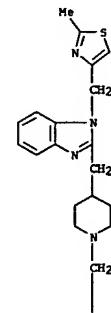
RN 141841-18-1 CAPIUS  
CN 1H-Piperidinocarboxylic acid, 4-[(1-[(2-methyl-4-thiazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



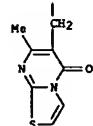
RN 141841-19-2 CAPIUS  
CN 1H-Benzimidazole, 1-[(2-methyl-4-thiazolyl)methyl]-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

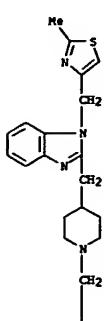
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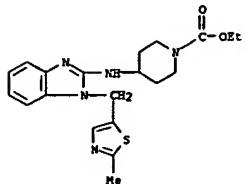
PAGE 2-A



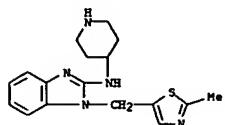
RN 141841-23-8 CAPIUS  
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-7-methyl-6-[2-(4-[(1-[(2-methyl-4-thiazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



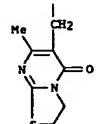
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RN 141841-25-0 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-thiazolyl)methyl]-N-4-piperidinyl- (9CI) (CA INDEX NAME)

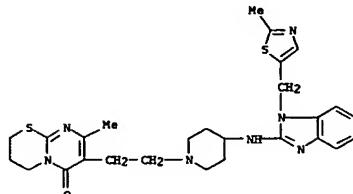


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RN 141841-24-9 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-[(2-methyl-5-thiazolyl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

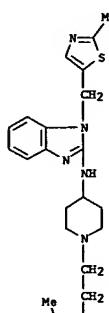
RN 141841-26-1 CAPLUS  
 CN 2H,6H-Pyrido[2,1-b][1,3]thiazin-6-one, 3,4-dihydro-8-methyl-7-[2-[(4-[(1-[(2-methyl-5-thiazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



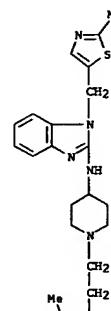
RN 141841-27-2 CAPLUS

L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-7-methyl-6-[2-[(4-[(1-[(2-methyl-5-thiazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

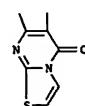
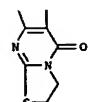
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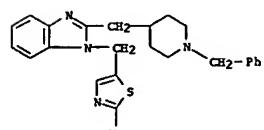
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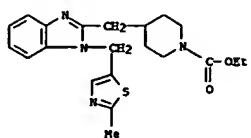
RN 141841-28-3 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-[(4-[(1-[(2-methyl-5-thiazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 141841-29-4 CAPLUS  
 CN 1H-Benzimidazole, 1-[(2-methyl-5-thiazolyl)methyl]-2-[(1-phenylmethyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

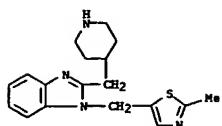


RN 141841-30-7 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-[(2-methyl-5-thiazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

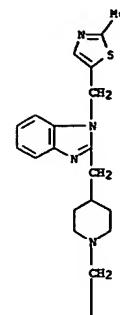
6/02/2003



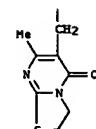
RN 141841-31-8 CAPLUS  
 CN 1H-Benzimidazole, 1-[(2-methyl-5-thiazolyl)methyl]-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)



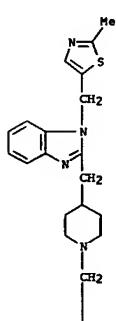
RN 141841-32-9 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-7-methyl-6-[2-[(1-[(2-methyl-5-thiazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl- (9CI) (CA INDEX NAME)



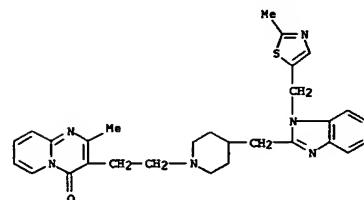
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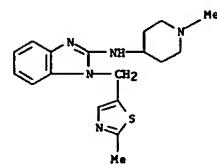
RN 141841-33-0 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[(1-[(2-methyl-5-thiazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl- (9CI) (CA INDEX NAME)



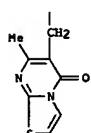
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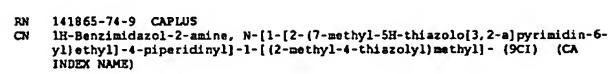
RN 141841-35-2 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-(1-methyl-4-piperidinyl)-1-[(2-methyl-5-thiazolyl)methyl]- (9CI) (CA INDEX NAME)



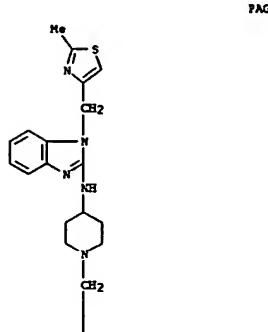
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RN 141841-34-1 CAPLUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[(1-[(2-methyl-5-thiazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl- (9CI) (CA INDEX NAME)

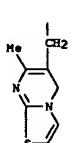


RN 141865-74-9 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-[(2-(7-methyl-5H-thiazolo[3,2-a]pyrimidin-6-yl)ethyl)-4-piperidinyl]-1-[(2-methyl-4-thiazolyl)methyl]- (9CI) (CA INDEX NAME)

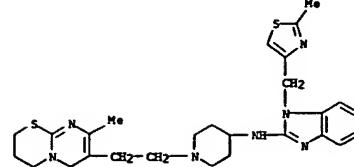


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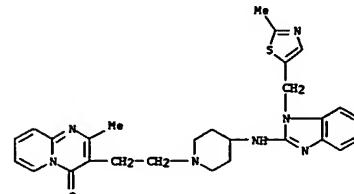
RN 141865-75-0 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(3,4-dihydro-8-methyl-2H,6H-pyrimido[2,1-b][1,3]thiazin-7-yl)ethyl]-4-piperidinyl]-1-[(2-methyl-4-thiazolyl)methyl]- (9CI) (CA INDEX NAME)



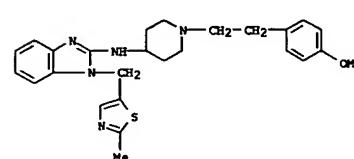
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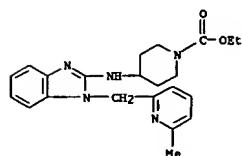
RN 141865-76-1 CAPLUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[(1-[(2-methyl-5-thiazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



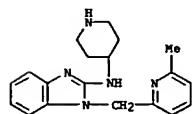
RN 141891-46-5 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-1-[(2-methyl-5-thiazolyl)methyl]- (9CI) (CA INDEX NAME)



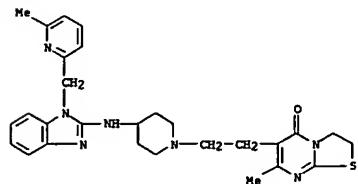
L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 RN 141891-47-6 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 141891-48-7 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-[(6-methyl-2-pyridinyl)methyl]-N-4-piperidinyl- (9CI) (CA INDEX NAME)



RN 141891-49-8 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-7-methyl-6-[(2-[(1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

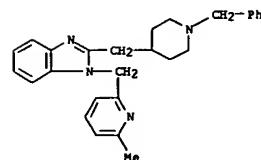


RN 141891-51-2 CAPLUS  
 CN 1H-Benzimidazole, 1-[(6-methyl-2-pyridinyl)methyl]-2-[(1-phenylmethyl)-4-piperidinyl)methyl]-, ethanediolate (1:1) (9CI) (CA INDEX NAME)

CM 1

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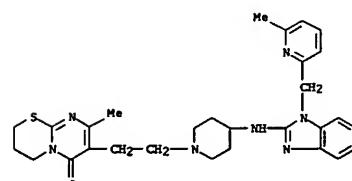
L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 CRN 141891-50-1  
 CMF C27 H30 N4



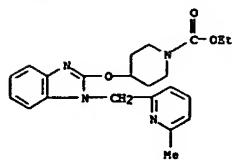
CH 2  
 CRN 144-62-7  
 CMF C2 H2 O4



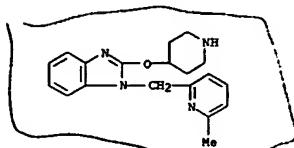
RN 141891-52-3 CAPLUS  
 CN 2H,6H-Pyrido[2,1-b][1,3]thiazin-6-one, 3,4-dihydro-8-methyl-7-[2-[(1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



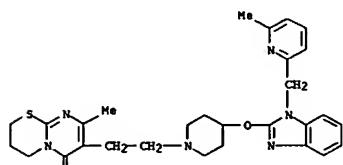
RN 141891-53-4 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)



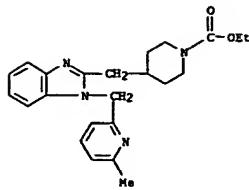
RN 141891-54-5 CAPIUS  
CN 1H-Benzimidazole, 1-[(6-methyl-2-pyridinyl)methyl]-2-(4-piperidinylmethoxy)- (9CI) (CA INDEX NAME)



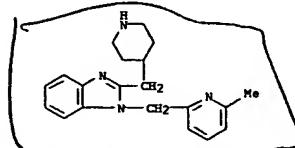
RN 141891-55-6 CAPIUS  
CN 2H,6H-Pyrimido[2,1-b][1,3]thiazin-6-one, 3,4-dihydro-8-methyl-7-[2-{4-[(1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl)oxy]-1-piperidinyl}ethyl]- (9CI) (CA INDEX NAME)



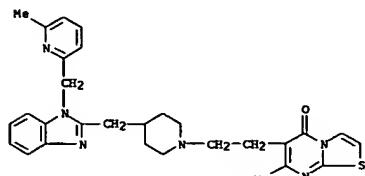
RN 141891-56-7 CAPIUS  
CN 1-Piperidinecarboxylic acid, 4-[(1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 141891-57-8 CAPIUS  
CN 1H-Benzimidazole, 1-[(6-methyl-2-pyridinyl)methyl]-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)



RN 141891-58-9 CAPIUS  
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-{4-[(1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl}ethyl]- (9CI) (CA INDEX NAME)

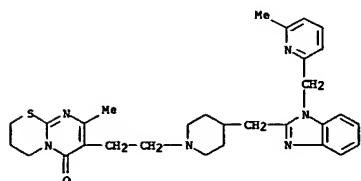


RN 141891-60-3 CAPIUS

L4 ANSWER 27 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
CN 2H,6H-Pyrimido[2,1-b][1,3]thiazin-6-one, 3,4-dihydro-8-methyl-7-[2-{4-[(1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl}ethyl]-, (Z,E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

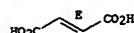
CRN 141891-59-0  
CMF C30 H36 N6 O5



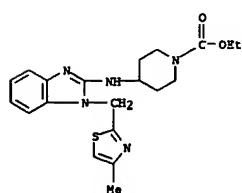
CM 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.



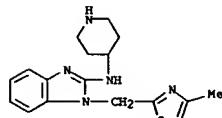
RN 141891-61-4 CAPIUS  
CN 1-Piperidinecarboxylic acid, 4-[(1-[(4-methyl-2-thiazolyl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 141891-62-5 CAPIUS  
CN 1H-Benzimidazol-2-amine, 1-[(4-methyl-2-thiazolyl)methyl]-N-4-piperidinyl-

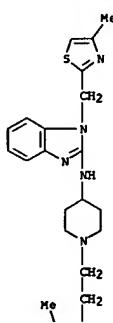
Habte

L4 ANSWER 27 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
(9CI) (CA INDEX NAME)



RN 141891-64-7 CAPIUS  
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-{4-[(1-[(4-methyl-2-thiazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl}ethyl]- (9CI) (CA INDEX NAME)

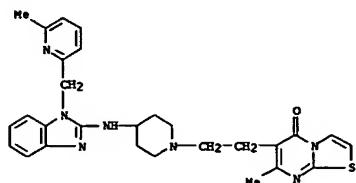
PAGE 1-A



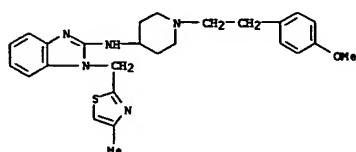
PAGE 2-A



L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 RN 141891-65-8 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-[(1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-(9CI) (CA INDEX NAME)



RN 141891-66-9 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-1-[(4-methyl-2-thiazolyl)methyl]-(9CI) (CA INDEX NAME)

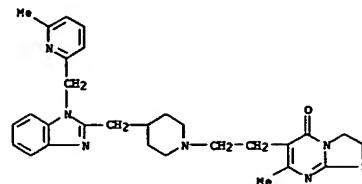


RN 141913-80-6 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-7-methyl-6-[2-[(1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-(2E)-2-butenoate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 141913-79-3  
CMF C29 H34 N6 O5

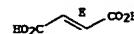
L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CH 2

CRN 110-17-8  
CMF C4 H4 O4

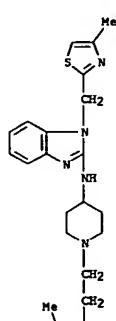
Double bond geometry as shown.



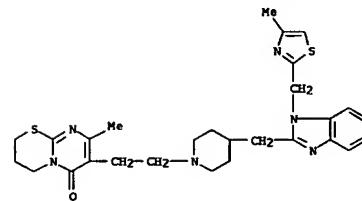
RN 141913-81-7 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-7-methyl-6-[2-[(1-[(4-methyl-2-thiazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-(9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

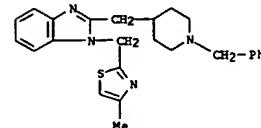
L4 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



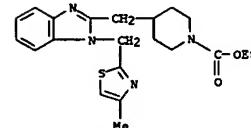
PAGE 1-A



RN 141913-83-9 CAPLUS  
 CN 1H-Benzimidazole, 1-[(4-methyl-2-thiazolyl)methyl]-2-[(1-(phenylmethyl)-4-piperidinyl)methyl]-(9CI) (CA INDEX NAME)

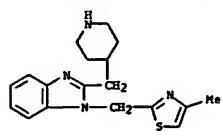


RN 141913-84-0 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-[(4-methyl-2-thiazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



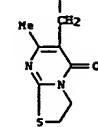
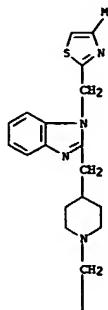
RN 141913-85-1 CAPLUS  
 CN 1H-Benzimidazole, 1-[(4-methyl-2-thiazolyl)methyl]-2-(4-piperidinylmethyl)-(9CI) (CA INDEX NAME)

RN 141913-82-8 CAPLUS  
 CN 2H,6H-Pyrido[2,1-b][1,3]thiazin-6-one, 3,4-dihydro-8-methyl-7-[2-[(1-[(4-methyl-2-thiazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-(9CI) (CA INDEX NAME)



RN 141913-86-2 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-7-methyl-6-[2-[4-[(1-[(4-methyl-2-thiazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-(9CI) (CA INDEX NAME)

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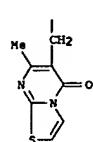
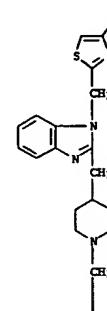


RN 141913-88-4 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-[4-[(1-[(4-methyl-2-thiazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-(2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 141913-87-3  
 CMF C27 H30 N6 O 52

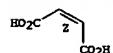
PAGE 2-A



CM 2

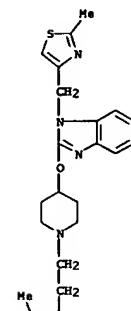
CRN 110-16-7  
 CMF C4 H6 O4

Double bond geometry as shown.



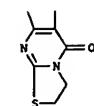
RN 141913-89-5 CAPLUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[4-[(1-[(4-methyl-2-thiazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-(9CI) (CA INDEX NAME)

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RN 141927-65-3 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-7-methyl-6-[2-[4-[(2-methyl-4-thiazolyl)methyl]-1H-benzimidazol-2-yl]oxy]-1-piperidinyl]ethyl]-(9CI) (CA INDEX NAME)



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L4 ANSWER 28 OF 46 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1992:511638 CAPLUS

DOCUMENT NUMBER: 117:111638

TITLE: Preparation of piperidinyl benzimidazolyl ketones and related compounds as antihistaminics

INVENTOR(S): Janssens, Frans Eduard; Diele, Gaston Stanislas

Marcell, Sonnen, Francois Maria

PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.

SOURCE: PCT Int. Appl., 51 pp.

CODEN: PIXXD2

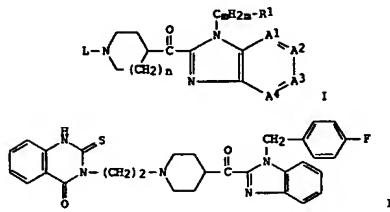
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

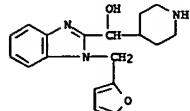
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9206086	A1	19920416	WO 1991-EP1782	19910917
	V: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LX, MC, MG, MV, NO, PL, RO, SD, SU, US			
	RU: AT, BE, BP, BJ, CG, CH, CI, CM, DE, DX, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG			
AU 9185067	A1	19920428	AU 1991-85067	19910917
			US 1990-590716	19901001
			WO 1991-EP1782	19910917

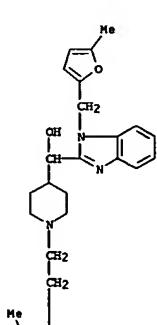
OTHER SOURCE(S): MARPAT 117:111638  
GI

AB The title compds. [I; A1:A2A3:A4 = (un)substituted CH:CHCH:CH, N:CHCH:CH, N:CHN:CH, etc.; n = 1-4; n = 0-2; R1 = aryl, DR2; D = O, S; R2 = (un)substituted Cl-6 alkyl; L = H, Cl-12 alkyl(carbonyl), C3-6 cycloalkyl, (aryl)C3-6 alkenyl, Alk-R3, Alk-YR4, etc.; R3 = cyano, aryl, heterocyclyl; R4 = H, aryl, heterocyclyl, (un)substituted Cl-6 alkyl; Alk = Cl-6 alkylene; Y = O, S, NR7; R7 = H, Cl-6 alkyl(carbonyl)] or their stereoisomers and pharmaceutically acceptable acid addn. salts, effective antihistaminics (no data) useful in the treatment of, e.g., allergic rhinitis, conjunctivitis, asthma, and chronic urticaria, were prep'd. A

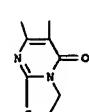
L4 ANSWER 28 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 142850-72-4 CAPLUS  
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-6-[2-[4-(hydroxy[1-((5-methyl-2-furanyl)methyl)-1H-benzimidazol-2-yl]methyl)-1-piperidinyl]ethyl]-7-methyl- (9CI) (CA INDEX NAME)



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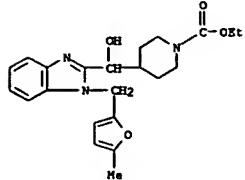


PAGE 2-A

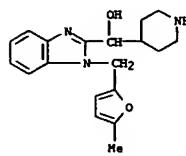
RN 142850-73-5 CAPLUS  
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-(hydroxy[1-((5-methyl-2-

Habte

L4 ANSWER 28 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
soln. of 2-MeO2COHANCS in THF was added dropwise to a stirred mixt. of 1-(2-aminoethyl)-4-piperidinyl 1-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl ketone (prepn. given) and THF and the whole stirred for 2 h at the ambient temp. to give title compd. II.  
IT 142850-47-3 142850-49-5P 142850-51-9P  
142850-72-4P 142850-73-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactn. and reaction of, in prepn. of antihistaminics)  
RN 142850-49-5 CAPLUS  
CN 1-Piperidinocarboxylic acid, 4-[hydroxy[1-((5-methyl-2-furanyl)methyl)-1H-benzimidazol-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



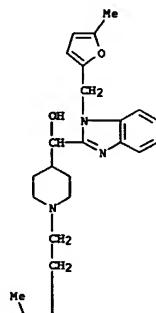
RN 142850-49-5 CAPLUS  
CN 1H-Benzimidazole-2-methanol, 1-[(5-methyl-2-furanyl)methyl]-.alpha.-4-piperidinyl- (9CI) (CA INDEX NAME)



RN 142850-51-9 CAPLUS  
CN 1H-Benzimidazole-2-methanol, 1-(2-furanyl)methyl)-.alpha.-4-piperidinyl- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-7-methyl- (9CI) (CA INDEX NAME)

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Me

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IT 142849-91-0P 142849-92-1P 142849-94-3P

142850-15-5P 142850-24-6P 142850-30-4P

142850-35-9P 142850-39-3P 142850-41-7P

RL: SPN (Synthetic preparation); PREP (Preparation); (prepn. of, as antihistaminic)

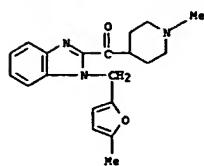
RN 142849-91-0 CAPLUS

CN Methanone, [1-((5-methyl-2-furanyl)methyl)-1H-benzimidazol-2-yl](1-methyl-4-piperidinyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 142849-90-9

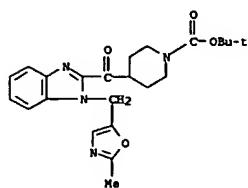
CMF C20 H23 N3 O2



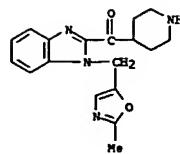
CH 2  
CRN 144-62-7  
CMF C2 H2 O4



RN 142849-92-1 CAPLUS  
CN 1-Piperidinocarboxylic acid, 4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

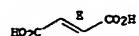


RN 142849-94-3 CAPLUS  
CN Methanone, [(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)-4-piperidinyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)  
CH 1  
CRN 142849-93-2  
CMF C18 H20 N4 O2

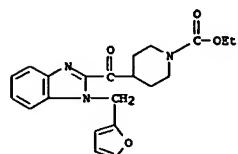


CH 2  
CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

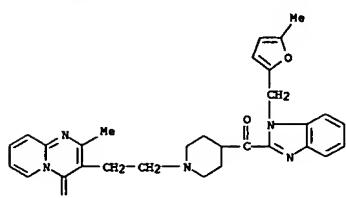


RN 142850-15-5 CAPLUS  
CN 1-Piperidinocarboxylic acid, 4-[(1-(2-furanyl)methyl)-1H-benzimidazol-2-yl]carbonyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 142850-24-6 CAPLUS  
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[4-[(1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl)carbonyl]-1-piperidinyl]ethyl]-, ethanenedioate (2:3) (9CI) (CA INDEX NAME)

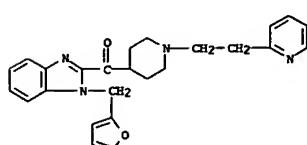
CH 1  
CRN 142850-23-5  
CMF C30 H31 N5 O3



CH 2  
CRN 144-62-7  
CMF C2 H2 O4



RN 142850-30-4 CAPLUS  
CN Methanone, [(1-(2-furanyl)methyl)-1H-benzimidazol-2-yl][1-[(2-pyridinyl)ethyl]-4-piperidinyl]-, ethanenedioate (1:2) (9CI) (CA INDEX NAME)  
CH 1  
CRN 142850-29-1  
CMF C25 H26 N4 O2

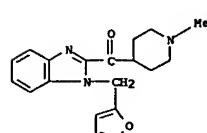


CH 2  
CRN 144-62-7  
CMF C2 H2 O4



RN 142850-35-9 CAPLUS  
CN Methanone, [(1-(2-furanyl)methyl)-1H-benzimidazol-2-yl](1-methyl-4-piperidinyl)-, ethanenedioate (1:1) (9CI) (CA INDEX NAME)

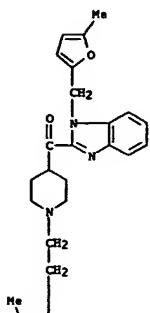
CH 1  
CRN 142850-34-8  
CMF C19 H21 N3 O2



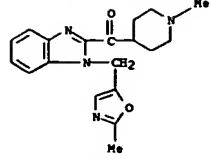
CH 2  
CRN 144-62-7  
CMF C2 H2 O4



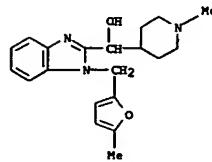
RN 142850-39-3 CAPLUS  
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-[(1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl)carbonyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



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IT 142851-05-6  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, in prepn. of antihistaminics)  
 RN 142851-05-6 CAPLUS  
 CN 1H-Benzimidazole-2-methanol, 1-[(5-methyl-2-furanyl)methyl]-.alpha.-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



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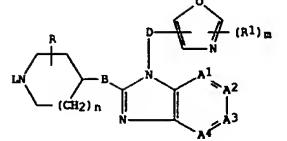
RN 142850-41-7 CAPLUS  
 CN Methanone, 1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl] (1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1992:255611 CAPLUS  
 DOCUMENT NUMBER: 116:255611  
 TITLE: Preparation of oxazolyl derivatives  
 INVENTOR(S): Janssens, Frans Eduard; Sommen, Francois Maria; Dierckx, Ann Christina Joannes; Coomans, Ludwig Paul  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.  
 SOURCE: PCT Int. Appl., 63 pp.  
 CODEN: PIXX02  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9201687	A1	19920206	WO 1991-EP1291	19910709
W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LX, MC, MG, NO, PL, RO, SU, RU, AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
US 5217980	A	19930608	US 1991-723862	19910701
AU 9182141	A1	19920218	AU 1991-82141	19910709
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EP 539421	A1	19930505	EP 1991-912700	19910709
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
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HU 64340	A2	19931228	HU 1993-97	19910709
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ES 2121784	T3	19981216	ES 1991-912700	19910709
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CH 1043640	B	19990616		
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		US 1991-723862	A3	19910701
		WO 1991-EP1291	A	19910709

OTHER SOURCE(S): MARPAT 116:255611

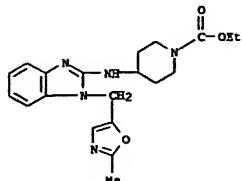
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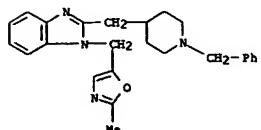
AB Title compds. I (A1-A4 = CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, CH:CH:N; N:CH:N; CH:NCH:N wherein 1 or 2 H may be replaced by halo, Cl-6 alkyl, Cl-6 alkoxy, HO, F3C; R = H, Cl-4 alkyl; R1 = H, Cl-6 alkyl, HO-Cl-6-alkyl; D = Cl-4 alkanediyl; B = R2N wherein R2 = H, Cl-4 alkyl, H2C, O, S, SO2; L = H, Cl-12 alkyl, C3-6 cycloalkyl, (aryl) C3-6 alkenyl, Cl-6 alkylcarbonyl, Cl-6 alkoxy carbonyl, aryl carbonyl, etc.; n = 1,2; n = 0-2), stereoisomer or salt thereof, useful as antiallergic (no data), are prepd. 5-(Bromomethyl)-2-methylisoxazole, Et, 4-[(1H-benzimidazol-2-yl)amino]-1-piperidinedicarboxylate, Na2CO3 and DMF were stirred for 10 h at 80.degree. to give after work-up I [A1-A4 = CH:CHCH:CH, R = H, (R1) = 2-Me, D = H2C, B = NH, L = EtO2C, n = 1].

IT 141567-55-79 141567-57-99 141567-60-4P  
 141567-63-79 141567-64-8P 141567-65-9P  
 141567-66-0P 141567-67-1P 141567-68-2P  
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L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
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 141591-04-6P 141591-05-7P 141591-07-9P  
 141591-08-0P  
 RN: SPM (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as antiallergic)  
 141567-55-7 CAPLUS  
 1-Piperidinocarboxylic acid, 4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

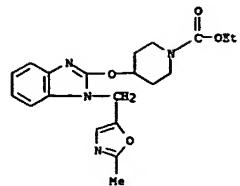


RN 141567-57-9 CAPLUS  
 CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-[(1-phenylmethyl)-4-piperidinylmethyl]- (9CI) (CA INDEX NAME)

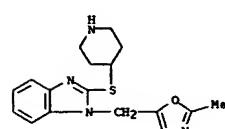


RN 141567-60-4 CAPLUS  
 CN 1-Piperidinocarboxylic acid, 4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)oxy]-, ethyl ester (9CI) (CA INDEX NAME)

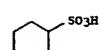
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141567-63-7 CAPLUS  
 CN Cyclohexanesulfonic acid, compd. with 1-[(2-methyl-5-oxazolyl)methyl]-2-(4-piperidinylthio)-1H-benzimidazole (2:1) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 141567-62-6  
 CMF C17 H20 N4 O S

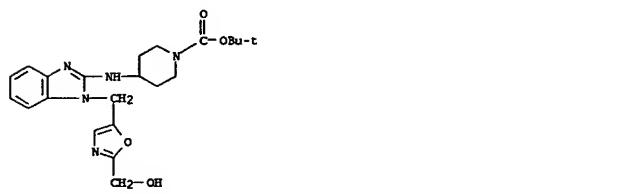


CH 2  
 CRN 6922-46-9  
 CMF C6 H12 O3 S

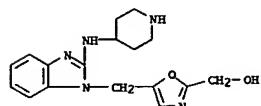


RN 141567-64-8 CAPLUS  
 CN 1-Piperidinocarboxylic acid, 4-[(1-[(2-hydroxymethyl)-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino-, 1,1-dimethyl-ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

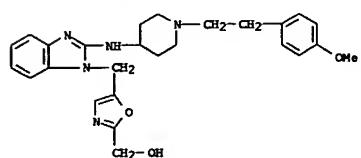


RN 141567-65-9 CAPLUS  
 CN 2-Oxazolemethanol, 5-[(2-[(4-piperidinylamino)-1H-benzimidazol-1-yl)methyl]- trihydrochloride (9CI) (CA INDEX NAME)



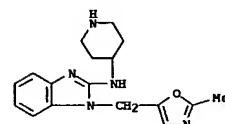
•3 HCl

RN 141567-66-0 CAPLUS  
 CN 2-Oxazolemethanol, 5-[(2-[(1-[(2-[(4-methoxyphenyl)ethyl]-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

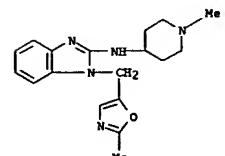


RN 141567-67-1 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-4-piperidinyl- (9CI) (CA INDEX NAME)

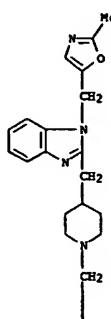
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141567-68-2 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 141567-70-6 CAPLUS  
 CN SH-Thiocolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl- (9CI) (CA INDEX NAME)



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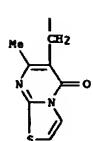
CM 2  
 CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.

CC(=O)C=C(C)C(=O)O

RN 141567-74-0 CAPLUS  
 CN 2-Pyrimidinamine, N-[2-{4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl}ethyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

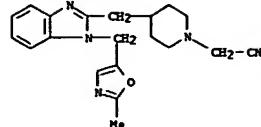
CM 1  
 CRN 141567-73-9  
 CMF C24 H29 N7 O



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RN 141567-72-8 CAPLUS  
 CN 1-Piperidinacetonitrile, 4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1  
 CRN 141567-71-7  
 CMF C20 H23 N5 O



CM 2

CRN 110-17-8  
 CMF C4 H4 O4

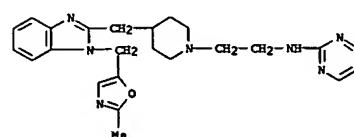
Double bond geometry as shown.



RN 141567-74-0 CAPLUS  
 CN 2-Pyrimidinamine, N-[2-{4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl}ethyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 141567-73-9  
 CMF C24 H29 N7 O



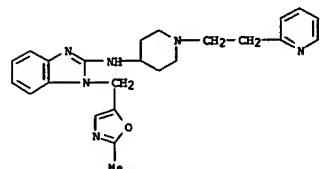
CM 2

CRN 144-62-7  
 CMF C2 H2 O4



RN 141567-76-2 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-[1-(2-(2-pyridinyl)ethyl)-4-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

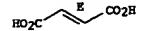
CM 1  
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 CMF C24 H28 N6 O



CM 2

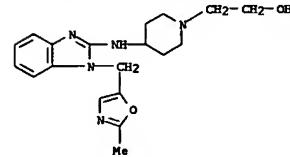
CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



RN 141567-78-4 CAPLUS  
 CN 1-Piperidinethanol, 4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-ylamino)-, (2E)-2-butenedioate (1:2) (salt) (9CI) (CA INDEX NAME)

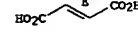
CM 1  
 CRN 141567-77-3  
 CMF C19 H25 N5 O2



CM 2

CRN 110-17-8  
 CMF C4 H4 O4

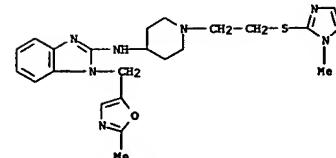
Double bond geometry as shown.



RN 141567-81-9 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-{2-[(1-methyl-1H-imidazol-2-yl)thio]ethyl}-1-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 141567-80-8  
 CMF C23 H29 N7 O S

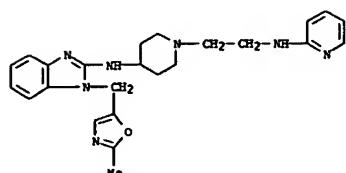
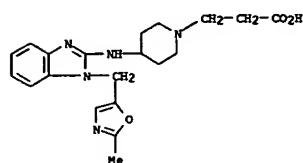


CM 2

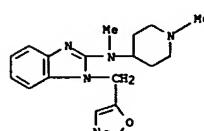
CRN 144-62-7  
 CMF C2 H2 O4



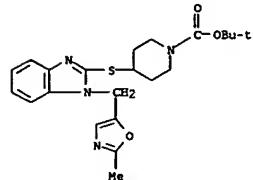
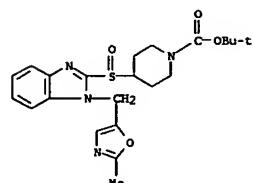
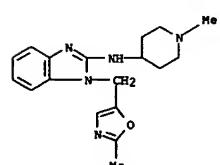
L4 ANSWER 29 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

CRN 141567-92-2  
CNF C24 H29 N7 OCH 2  
CRN 6922-46-9  
CNF C6 H12 O3 SRN 141567-95-5 CAPIUS  
CN 1-Piperidinepropanoic acid, 4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-, dicyclohexanesulfonate (9CI) (CA INDEX NAME)  
CH 1  
CRN 141567-94-4  
CNF C20 H25 N5 O3

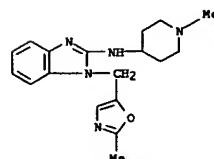
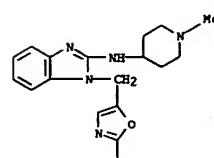
L4 ANSWER 29 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

CH 2  
CRN 6922-46-9  
CNF C6 H12 O3 SRN 141567-97-7 CAPIUS  
CN 1H-Benzimidazol-2-amine, N-methyl-N-(1-methyl-4-piperidinyl)-1-(5-oxazolylmethyl)-, ethanedicarboxylate (1:2) (9CI) (CA INDEX NAME)CH 1  
CRN 141567-96-6  
CNF C18 H23 N5 OCH 2  
CRN 144-62-7  
CNF C2 H2 O4RN 141567-98-8 CAPIUS  
CN 1-Piperidinecarboxylic acid, 4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)thio]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

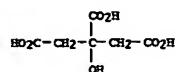
RN 141567-99-9 CAPIUS  
CN 1-Piperidinecarboxylic acid, 4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)sulfinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)RN 141568-00-5 CAPIUS  
CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-(1-methyl-4-piperidinyl)-, trihydrochloride (9CI) (CA INDEX NAME)

•3 HCl

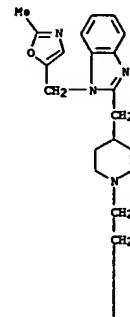
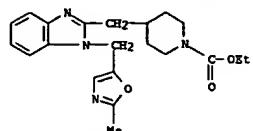
L4 ANSWER 29 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
RN 141568-01-6 CAPIUS  
CN Cyclohexanesulfonic acid, compd. with 1-[(2-methyl-5-oxazolyl)methyl]-N-(1-methyl-4-piperidinyl)-1H-benzimidazol-2-amine (2:1) (9CI) (CA INDEX NAME)CH 1  
CRN 141567-68-2  
CNF C18 H23 N5 OCH 2  
CRN 6922-46-9  
CNF C6 H12 O3 SRN 141568-02-7 CAPIUS  
CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-(1-methyl-4-piperidinyl)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:2) (9CI) (CA INDEX NAME)CH 1  
CRN 141567-69-2  
CNF C18 H23 N5 O

6/02/2003

CN 2

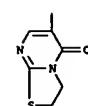
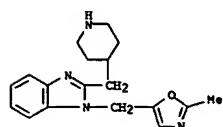
CRN 77-92-9  
CNF C6 H8 O7

RN 141568-03-8 CAPIUS  
 CN 1-Piperidinocarboxylic acid, 4-((1-((2-methyl-5-oxazolyl)methyl)-1H-benzimidazol-2-yl)methyl)-, ethyl ester (9CI) (CA INDEX NAME)



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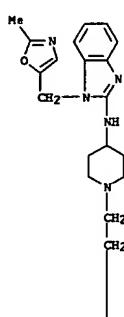
RN 141568-08-3 CAPIUS  
 CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)



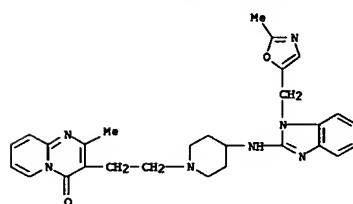
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RN 141568-09-4 CAPIUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-6-[2-[(1-((2-methyl-5-oxazolyl)methyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl- (9CI) (CA INDEX NAME)

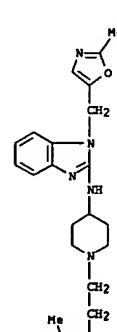
RN 141568-10-7 CAPIUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-6-[2-[(1-((2-methyl-5-oxazolyl)methyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl- (9CI) (CA INDEX NAME)



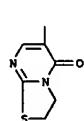
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RN 141568-12-9 CAPIUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-[(1-((2-methyl-5-oxazolyl)methyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl- (9CI) (CA INDEX NAME)

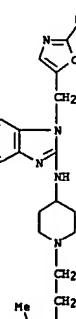


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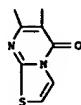


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RN 141568-11-8 CAPIUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[(1-((2-methyl-5-oxazolyl)methyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl- (9CI) (CA INDEX NAME)

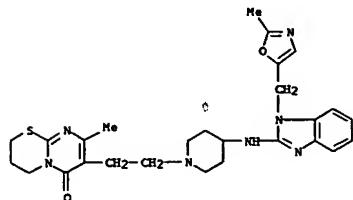


PAGE 2-A



RN 141568-14-1 CAPLUS  
 CN 2H,6H-Pyrimido[2,1-b][1,3]thiazin-6-one, 3,4-dihydro-8-methyl-7-[2-{4-[(1-(2-methyl-5-oxazolyl)methyl)-1H-benzimidazol-2-yl]amino}-1-piperidinyl]ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

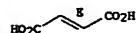
CH 1

CRN 141568-13-0  
 CMF C27 H33 N7 O2 S

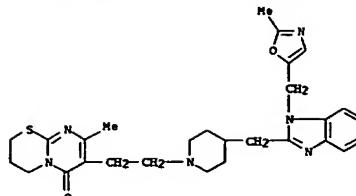
CH 2

CRN 110-17-8  
 CMF C4 H4 O4

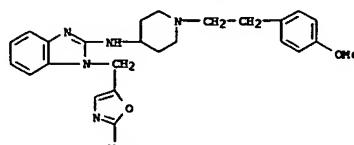
Double bond geometry as shown.



RN 141568-15-2 CAPLUS  
 CN 2H,6H-Pyrimido[2,1-b][1,3]thiazin-6-one, 3,4-dihydro-8-methyl-7-[2-{4-[(1-(2-methyl-5-oxazolyl)methyl)-1H-benzimidazol-2-yl]methyl}-1-piperidinyl]ethyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

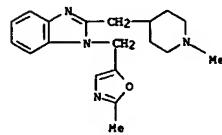


RN 141568-16-3 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-{[2-(4-methoxyphenyl)ethyl]-4-piperidinyl}-1-[(2-methyl-5-oxazolyl)methyl]- (9CI) (CA INDEX NAME)



RN 141568-18-5 CAPLUS  
 CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-[(1-methyl-4-piperidinyl)methyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

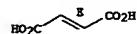
CH 1

CRN 141568-17-4  
 CMF C19 H24 N4 O

CH 2

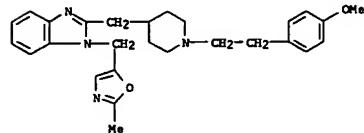
CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



RN 141568-20-9 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 2-[(1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-1-[(2-methyl-5-oxazolyl)methyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

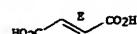
CH 1

CRN 141568-19-6  
 CMF C27 H32 N4 O2

CH 2

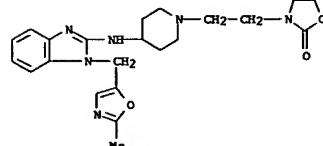
CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



RN 141568-22-1 CAPLUS  
 CN 2-Oxazolidinone, 3-[(1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

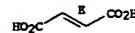
CH 1

CRN 141568-21-0  
 CMF C22 H28 N6 O3

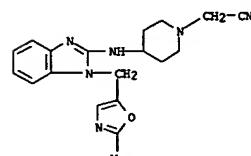
CH 2

CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



RN 141568-23-2 CAPLUS  
 CN 1-Piperidinacetone, 4-[(1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)

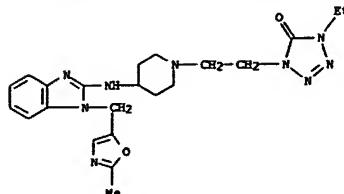


RN 141569-25-4 CAPLUS  
 CN 5H-Tetrazol-5-one, 1-ethyl-1,4-dihydro-4-[(1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

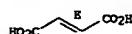
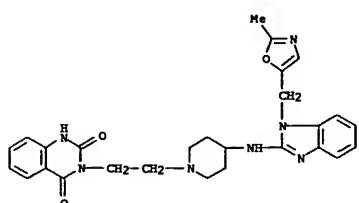
CH 1

CRN 141568-24-3  
 CMF C22 H29 N9 O2

L4 ANSWER 29 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

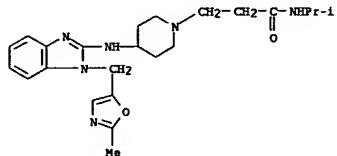
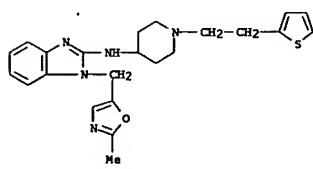
CH 2  
CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

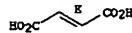
RN 141568-26-5 CAPIUS  
CN 2,4(1H,3H)-Quinazolininedione, 3-[2-(4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)RN 141568-27-6 CAPIUS  
CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-[1-(3-phenyl-2-propenyl)-4-piperidinyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 29 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

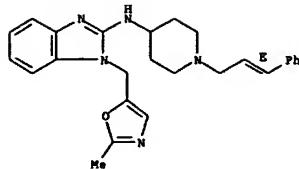
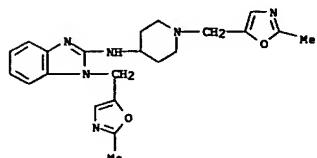
RN 141568-32-3 CAPIUS  
CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-[1-(2-(2-phenylethyl)-4-piperidinyl)-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)  
CH 1  
CRN 141568-31-2  
CMF C23 H27 N5 O5CH 2  
CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

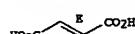
RN 141568-33-4 CAPIUS  
CN 1-Piperidinethanol, 4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-.alpha.-(phenoxymethyl)- (9CI) (CA INDEX NAME)

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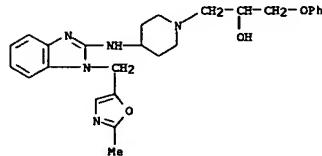
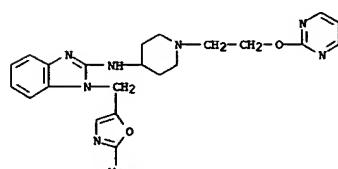
L4 ANSWER 29 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

RN 141568-29-8 CAPIUS  
CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-4-piperidinyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)CH 1  
CRN 141568-28-7  
CMF C22 H26 N6 O2CH 2  
CRN 110-17-8  
CMF C4 H4 O4

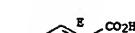
Double bond geometry as shown.

RN 141568-30-1 CAPIUS  
CN 1-Piperidinepropanamide, N-(1-methylethyl)-4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

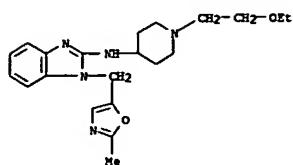
RN 141568-35-6 CAPIUS  
CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-[1-(2-(2-pyrimidinyl)ethyl)-4-piperidinyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)CH 1  
CRN 141568-34-5  
CMF C23 H27 N7 O2CH 2  
CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

RN 141568-37-8 CAPIUS  
CN 1H-Benzimidazol-2-amine, N-[1-(2-ethoxyethyl)-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)CH 1  
CRN 141568-36-7  
CMF C21 H29 N5 O2

6/02/2003

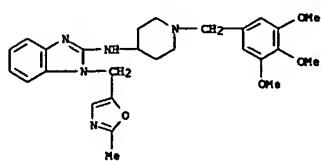
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2  
CRN 144-62-7  
CMF C2 H2 O4

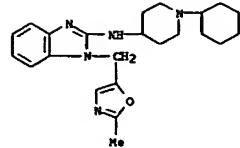


RN 141568-38-9 CAPLUS  
CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-(1-[(3,4,5-trimethoxyphenyl)methyl]-4-piperidinyl)- (9CI) (CA INDEX NAME)

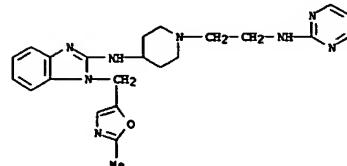


RN 141568-39-0 CAPLUS  
CN 1H-Benzimidazol-2-amine, N-(1-cyclohexyl-4-piperidinyl)-1-[(2-methyl-5-oxazolyl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



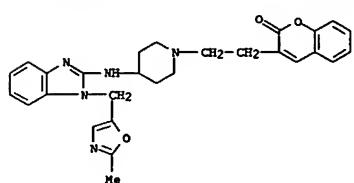
RN 141568-40-3 CAPLUS  
CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-(1-[(2-pyrimidinylamino)ethyl]-4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 141568-42-5 CAPLUS  
CN 2H-1-Benzopyran-2-one, 3-[2-[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

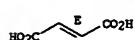
CM 1  
CRN 141568-41-4  
CMF C28 H29 N5 O3

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



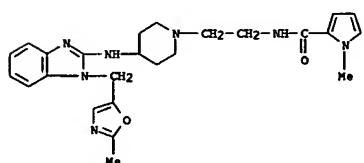
CM 2  
CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.



RN 141568-44-7 CAPLUS  
CN 1H-Pyrrole-2-carboxamide, 1-methyl-N-[2-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1  
CRN 141568-43-6  
CMF C25 H31 N7 O2

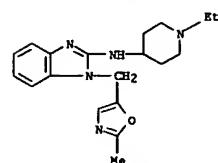


CM 2  
CRN 144-62-7  
CMF C2 H2 O4

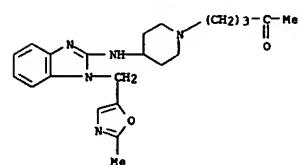
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141568-45-8 CAPLUS  
CN 1H-Benzimidazol-2-amine, N-(1-ethyl-4-piperidinyl)-1-[(2-methyl-5-oxazolyl)methyl]- (9CI) (CA INDEX NAME)



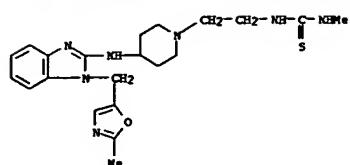
RN 141568-46-9 CAPLUS  
CN 2-Pentanone, 5-[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 141568-47-0 CAPLUS  
CN Cyclohexanesulfonic acid, compd. with N-methyl-N'-(2-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl)ethyl thiourea (2:1) (9CI) (CA INDEX NAME)

CM 1  
CRN 141567-82-0  
CMF C21 H29 N7 O S

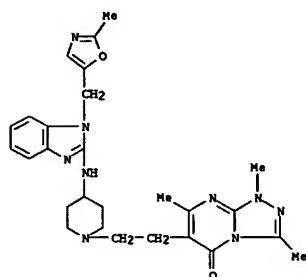
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2  
CRN 6922-46-9  
CMI C6 H12 O3 S



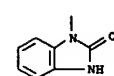
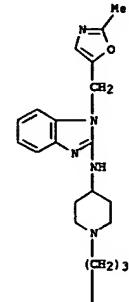
RN 141568-48-1 CAPLUS  
CN 1,2,4-Triazolo[4,3-a]pyrimidin-5(1H)-one, 1,3,7-trimethyl-6-[2-[4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-(9CI) (CA INDEX NAME)



L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

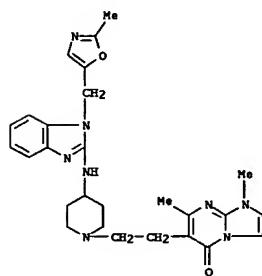
RN 141568-49-2 CAPLUS  
CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[3-[4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]propyl]-(9CI) (CA INDEX NAME)

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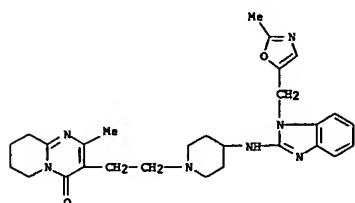


RN 141568-50-5 CAPLUS  
CN Imidazo[1,2-a]pyrimidin-5(1H)-one, 1,7-dimethyl-6-[2-[4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-(9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

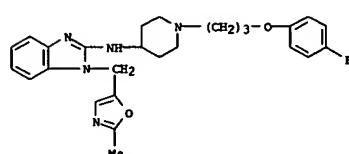


RN 141568-51-6 CAPLUS  
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-[4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-(9CI) (CA INDEX NAME)



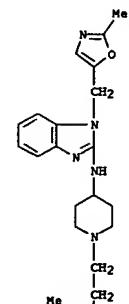
RN 141568-52-7 CAPLUS  
CN 1H-Benzimidazol-2-amine, N-[1-[3-(4-fluorophenoxy)propyl]-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]-(9CI) (CA INDEX NAME)

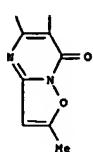
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



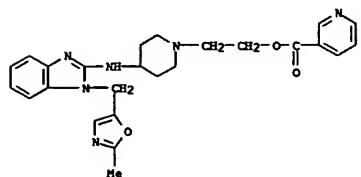
RN 141568-53-8 CAPLUS  
CN 7H-Isoxazolo[2,3-a]pyrimidin-7-one, 2,5-dimethyl-6-[2-[4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-(9CI) (CA INDEX NAME)

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RN 141568-55-0 CAPLUS  
 CN 3-Pyridinecarboxylic acid, 2-[4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl ester, ethanediolate (1:2) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 141568-54-9  
 CMF C25 H28 N6 O3

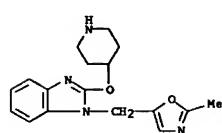


CM 2  
 CRN 144-62-7  
 CMF C2 H2 O4



RN 141568-56-1 CAPLUS  
 CN 4(3H)-Pyrimidinone, 2-amino-3,6-dimethyl-5-[2-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

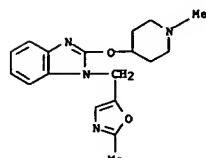
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 RN 141568-60-7 CAPLUS  
 CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-(4-piperidinyl)-, ethanediolate (2:3) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 141568-59-4  
 CMF C17 H20 N4 O2



CM 2  
 CRN 144-62-7  
 CMF C2 H2 O4

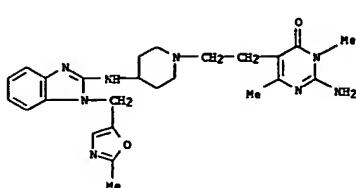


RN 141568-62-9 CAPLUS  
 CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-[(1-methyl-4-piperidinyl)oxy]-, (2E)-2-butenediolate (1:2) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 141568-61-8  
 CMF C18 H22 N4 O2



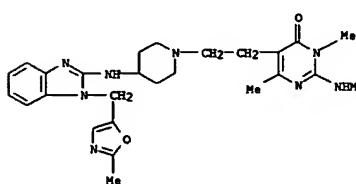
CM 2

Habte



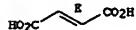
RN 141568-58-3 CAPLUS  
 CN 3-Pyridinecarboxylic acid, 2-[4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl ester, trihydrobromide (9CI) (CA INDEX NAME)

CM 1  
 CRN 141568-57-2  
 CMF C26 H34 N8 O2



CM 2  
 CRN 110-17-8  
 CMF C4 H4 O4

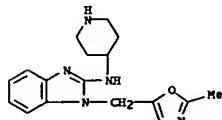
Double bond geometry as shown.



Double bond geometry as shown.

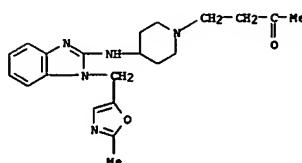


RN 141568-63-0 CAPLUS  
 CN 1H-Benzimidazole-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-4-piperidinyl-, trihydrobromide (9CI) (CA INDEX NAME)



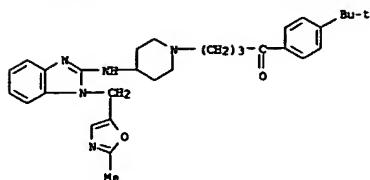
●3 HBr

RN 141568-64-1 CAPLUS  
 CN 2-Butanone, 4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl-, trihydrobromide (9CI) (CA INDEX NAME)



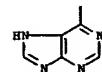
●3 HBr

RN 141568-65-2 CAPLUS  
 CN 1-Butanone, 1-[(4-(1,1-dimethylethyl)phenyl)-4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]- (9CI) (CA INDEX NAME)



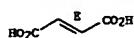
RN 141568-67-4 CAPLUS  
 CN 1H-Purin-6-amine, N-[2-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl-, (2E)-2-butenedioate (2:5) (9CI) (CA INDEX NAME)

CM 1

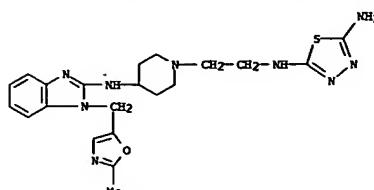
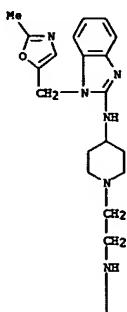
CRN 141568-66-3  
 CMF C24 H28 N10 O

CM 2  
 CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.

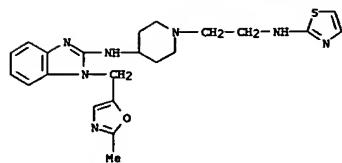


RN 141568-68-5 CAPLUS  
 CN 1,3,4-Thiadiazol-2,5-diamine, N-[2-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl- (9CI) (CA INDEX NAME)



RN 141568-70-9 CAPLUS  
 CN Cyclohexanesulfonic acid, compd. with 1-[(2-methyl-5-oxazolyl)methyl]-N-[1-(2-(2-thiadiazolylamino)ethyl)-4-piperidinyl]-1H-benzimidazol-2-amine (2:1) (9CI) (CA INDEX NAME)

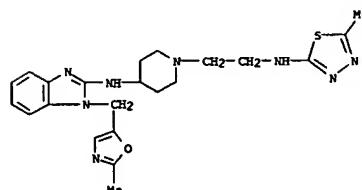
CM 1

CRN 141568-69-6  
 CMF C22 H27 N7 O S

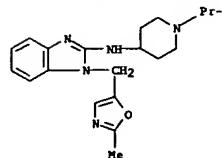
CM 2

CRN 6922-46-9  
 CMF C6 H12 O3 S

RN 141568-71-0 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-[1-[2-[(5-methyl-1,3,4-thiadiazol-2-yl)amino]ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

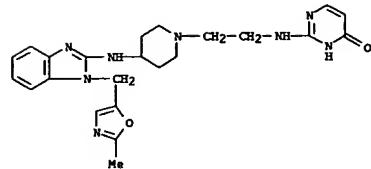


RN 141568-73-2 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(1-methylethyl)-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl]- (9CI) (CA INDEX NAME)



RN 141568-75-4 CAPLUS  
 CN 4(1H)-Pyridinone, 2-[(2-[(4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl)ethyl]amino)-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

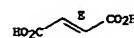
CM 1

CRN 141568-74-3  
 CMF C23 H28 N8 O2

CM 2

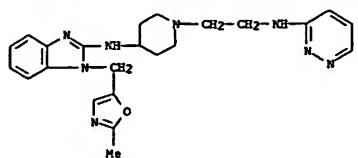
CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



RN 141568-76-5 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-[1-(2-(3-pyridazinylamino)ethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

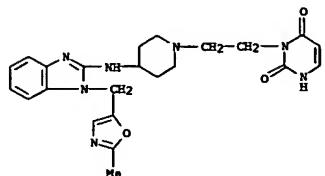
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141568-78-7 CAPLUS  
 CN 2,4,4,4-tetra(1H-benzimidazol-2-yl)pentane-1,3-diamine, 3-[2-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl-, (2E)-2-butenedicarboxylate (2:3) (9CI) (CA INDEX NAME)

CH 1

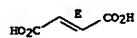
CRN 141568-77-6  
 CMF C23 H27 N7 O3



CH 2

CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



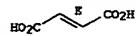
RN 141568-80-1 CAPLUS  
 CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-(4-piperidinylsulfinyl)-, (2E)-2-butenedicarboxylate (2:3) (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

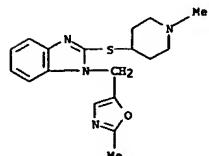
CH 2

CRN 110-17-8  
 CMF C4 H4 O4

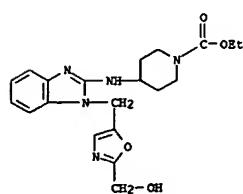
Double bond geometry as shown.



RN 141568-83-4 CAPLUS  
 CN 1H-Benzimidazole, 1-[(2-methyl-5-oxazolyl)methyl]-2-[(1-methyl-4-piperidinyl)thio]- (9CI) (CA INDEX NAME)



RN 141569-17-7 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-[(2-hydroxymethyl)-5-oxazolyl]methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

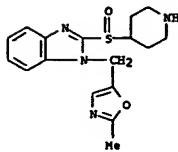


RN 141569-18-8 CAPLUS  
 CN 1-Pyrrolidinecarboxylic acid, 3-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CH 1

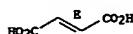
CRN 141568-79-8  
 CMF C17 H20 N4 O2 S



CH 2

CRN 110-17-8  
 CMF C4 H4 O4

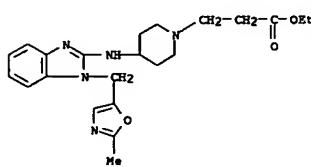
Double bond geometry as shown.



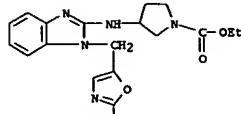
RN 141568-82-3 CAPLUS  
 CN 1-Piperidinepropanoic acid, 4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester, (2E)-2-butenedicarboxylate (1:2) (9CI) (CA INDEX NAME)

CH 1

CRN 141568-81-2  
 CMF C22 H29 N5 O



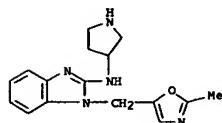
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141569-20-2 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-3-pyrrolidinyl-, ethanediolate (1:2) (9CI) (CA INDEX NAME)

CH 1

CRN 141569-19-9  
 CMF C16 H19 N5 O



CH 2

CRN 144-62-7  
 CMF C2 H2 O4

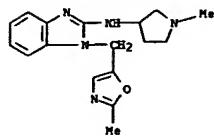


RN 141569-22-4 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-(1-methyl-3-pyrrolidinyl)-, ethanediolate (1:2) (9CI) (CA INDEX NAME)

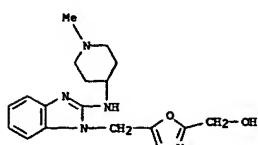
CH 1

CRN 141569-21-3  
 CMF C17 H21 N5 O

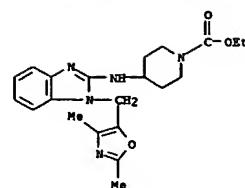
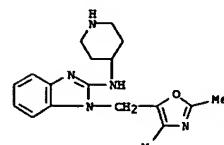
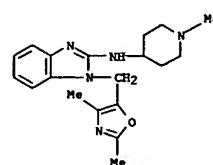
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



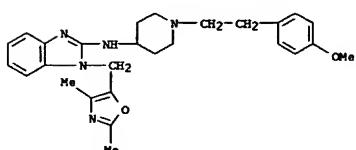
CH 2

CRN 144-62-7  
CMF C2 H2 O4RN 141569-40-6 CAPLUS  
CN 2-Oxazolemethanol, 5-[(2-[(1-methyl-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)RN 141569-41-7 CAPLUS  
CN 1-Piperidinecarboxylic acid, 4-[(1-[(2,4-dimethyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

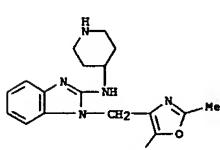
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 141569-42-8 CAPLUS  
CN 1H-Benzimidazol-2-amine, 1-[(2,4-dimethyl-5-oxazolyl)methyl]-N-4-piperidinyl- (9CI) (CA INDEX NAME)RN 141569-43-9 CAPLUS  
CN 1H-Benzimidazol-2-amine, 1-[(2,4-dimethyl-5-oxazolyl)methyl]-N-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)RN 141569-44-0 CAPLUS  
CN 1H-Benzimidazol-2-amine, 1-[(2,4-dimethyl-5-oxazolyl)methyl]-N-[1-(2-(4-methoxyphenyl)ethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 141569-46-2 CAPLUS  
CN 1H-Benzimidazol-2-amine, 1-[(2,5-dimethyl-4-oxazolyl)methyl]-N-4-piperidinyl-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

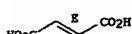
CH 1

CRN 141569-45-1  
CMF C18 H23 N5 O

CH 2

CRN 110-17-8  
CMF C4 H4 O4

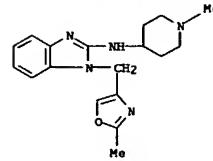
Double bond geometry as shown.

RN 141569-48-4 CAPLUS  
CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-4-oxazolyl)methyl]-N-(1-methyl-4-piperidinyl)-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CH 1

CRN 141569-47-3  
CMF C18 H23 N5 O

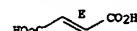
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



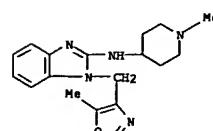
CH 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

RN 141569-50-8 CAPLUS  
CN 1H-Benzimidazol-2-amine, 1-[(5-methyl-4-oxazolyl)methyl]-N-(1-methyl-4-piperidinyl)-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

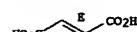
CH 1

CRN 141569-49-5  
CMF C18 H23 N5 O

CH 2

CRN 110-17-8  
CMF C4 H4 O4

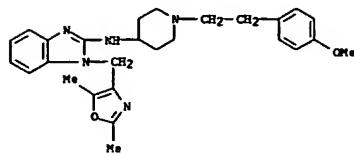
Double bond geometry as shown.



RN 141569-52-0 CAPLUS

6/02/2003

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 CN 1H-Benzimidazol-2-amine, 1-[(2,5-dimethyl-4-oxazolyl)methyl]-N-(1-(2-(4-methoxyphenyl)ethyl)-4-piperidinyl)-(2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 141569-51-9  
 CMF C27 H33 N5 O2



CM 2  
 CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.

RN 141569-54-2 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-[(2,5-dimethyl-4-oxazolyl)methyl]-N-(1-methyl-4-piperidinyl)-(2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 141569-53-1  
 CMF C19 H25 N5 O

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

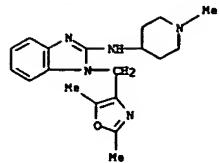
RN 141569-58-6 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-(1-methyl-4-piperidinyl)-1-(4-oxazolylmethyl)-(2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 141569-57-5  
 CMF C17 H21 N5 O

CM 2  
 CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.

RN 141591-84-6 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-5-oxazolyl)methyl]-N-(1-methyl-4-piperidinyl)-(+-), (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 141591-83-5  
 CMF C18 H23 N5 O

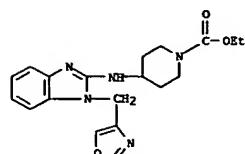
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2  
 CRN 110-17-8  
 CMF C4 H4 O4

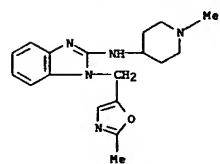
Double bond geometry as shown.

RN 141569-55-3 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[[1-(4-oxazolylmethyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



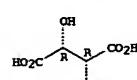
RN 141569-56-4 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-(4-oxazolylmethyl)-N-4-piperidinyl- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

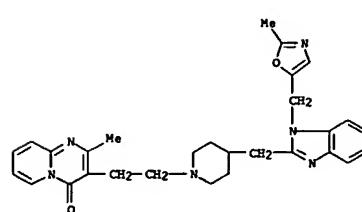


CM 2  
 CRN 87-69-4  
 CMF C4 H6 O6

Absolute stereochemistry.



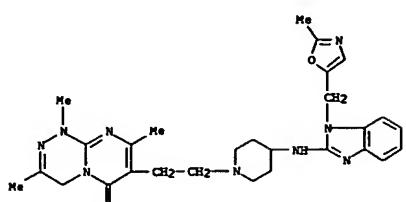
RN 141591-85-7 CAPLUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 141591-87-9 CAPLUS  
 CN 6H-Pyrimido[2,1-c][1,2,4]triazin-6-one, 1,4-dihydro-1,3-dimethyl-7-[2-[4-[[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-, (2E)-2-butenedioate (2:5) (9CI) (CA INDEX NAME)

CM 1

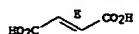
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 CRN 141591-86-8  
 CNF C28 H35 N9 O2



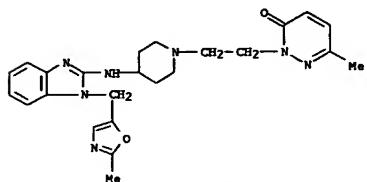
CH 2

CRN 110-17-8  
 CNF C4 H4 O4

Double bond geometry as shown.

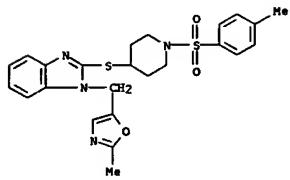


RN 141591-88-0 CAPLUS  
 CN 3(2H)-Pyridazinone, 6-methyl-2-[2-{4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl}ethyl] - (9CI) (CA INDEX NAME)

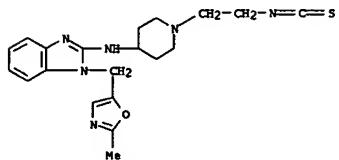


IT 141567-48-0P 141567-49-9P 141567-61-5P  
 141567-87-5P 141567-88-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)

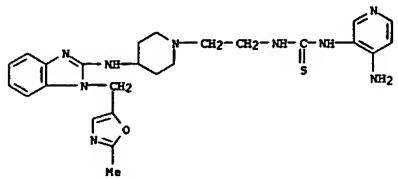
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 141567-87-5 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-isothiocyanatoethyl)-4-piperidinyl]-1-[(2-methyl-5-oxazolyl)methyl] - (9CI) (CA INDEX NAME)



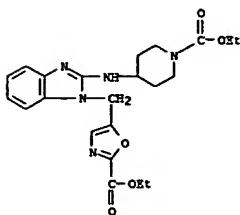
RN 141567-88-6 CAPLUS  
 CN Thiourea, N-(4-amino-3-pyridinyl)-N'-(2-[4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl) - (9CI) (CA INDEX NAME)



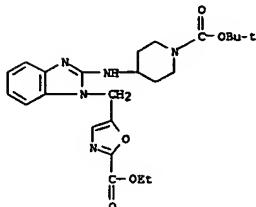
IT 141567-79-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as intermediate in prepn. of oxazole antiallergics)  
 RN 141567-79-5 CAPLUS  
 CN 1-Piperidinethanol, 4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-

Habte

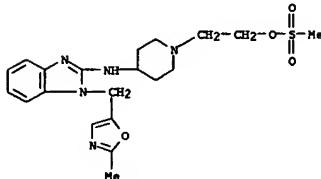
L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 (prepn. of, as intermediate in prepn. of oxazole antiallergics)  
 RN 141567-48-8 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-[(2-ethoxycarbonyl)-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino)-, ethyl ester (9CI) (CA INDEX NAME)



RN 141567-49-9 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-[(2-ethoxycarbonyl)-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]amino)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



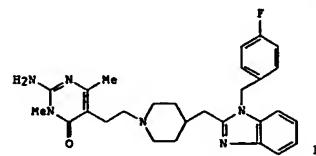
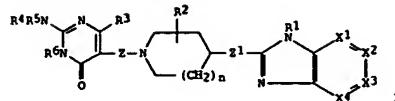
RN 141567-61-5 CAPLUS  
 CN Piperidine, 4-[(1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)thio]-1-[(4-methylphenyl)sulfonyl] - (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 (prepn. of, as intermediate in prepn. of oxazole antiallergics)  
 RN 141567-62-6 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl)amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

14 ANSWER 30 OF 46 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1991:608009 CAPLUS  
DOCUMENT NUMBER: 1151:200009  
TITLE: Preparation of 2-aminopyrimidinones as antihistaminics  
and antiserotonergics  
INVENTOR(S): Janssens, Frans S.; Kennis, Ludo E. J.; Sommen, Francois M.; Dielckx, Ann C. J.  
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.  
SOURCE: Eur. Pat. Appl. 30 pp.  
CODEN: EPDK0W  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 378254	A2	19900718	EP 1990-200004	19900103
EP 378254	A3	19910109		
EP 378254	B1	19940622		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL				
US 5008268		19910416	US 1989-456391	19891226
ES 2058749	T3	19941101	ES 1990-200004	19900103
CA 2007198	AA	19900709	CA 1990-2007198	19900105
FI 9000084	A	19900710	FI 1990-84	19900108
FI 94526	B	19950615		
FI 94526	C	19950925		
NO 9000070	A	19900710	NO 1990-70	19900108
NO 172645	B	19930510		
NO 172645	C	19930818		
AU 9047780	A1	19900712	AU 1990-47780	19900108
AU 622509	B2	19920409		
HU 53364	A2	19901028	HU 1990-63	19900108
HU 206350	B	19921028		
ZA 9000120	A	19910925	ZA 1990-120	19900108
SU 1825364	A3	19930630	SU 1990-4742861	19900108
CH 1044059	A	19900725	CH 1990-100077	19900109
CH 1030452	B	19951206		
JP 02233678	A2	19900917	JP 1990-2414	19900109
PRIORITY APPLN. INFO.:			GB 1989-380	19890105
OTHER SOURCE(S):		MARPAT 115:200809		

14 ANSWER 30 OF 46 CAMPUS COPYRIGHT 2003 ACS (Continued)



AB The title compds. [I]: X1M2X3X4 = (substituted) CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH, CH:CH(NH)CH:CH, CH:NCH:CH, CH:NCH:R1 = H, alkyl, cycloalkyl, alkylalkyl, etc.; R2, R3, R6 = H, alkyl, n-0-2- R4 = H, (substituted) alkyl, R5 = H, alkyl, alkylaminocarbonyl, aminocarbonyl, R5R6 = (alkyl-substituted) CH2CHZ2, CH2CH2CHZ2, CH:CH, CH:N:CH:N:CHCH2: Z = CH2, Z1 = CH2, O, S, SO, SO2, imino, were prep'd. Thus, 1-((4-fluorophenyl)methyl)-2-(4-piperidinylmethyl)-1H-benzodiazole, 4-methyl-2-pentanone, and Na2CO3 were refluxed 42 h to give 51.2% title compd. II which had an ED50 of 0.005 mg/kg in rats for redn. of

IT tryptamine-induced hypertension.  
 131263-61-1P 131263-63-3P 131263-78-0P  
 131263-79-1P 131263-87-1P 131263-88-2P  
 131263-90-6P 131263-92-8P 131264-13-1P  
 131264-15-8P 131264-17-0P 131264-21-6P  
 131264-22-7P 131264-24-9P 131264-26-1P

131264-32-9P 131283-64-2P 131283-66-4P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of an antihistaminic and antiserotonergic)

RN 131263-61-1 CAPLUS (proprietary, or, as antihistaminic and antiserotoninergic)  
CN 4(3H)-Pyrimidinones, 2-amino-5-[2-[4-[(1-[[5-(hydroxymethyl)-2-furylmethyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-3,6-dimethyl- (9CI) (CA INDEX NAME)

14 ANSWER 30 OF 46 CAPWIS COPYRIGHT 2003 ACS (Continued)

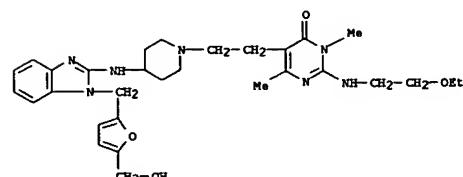
RN 131263-63-3 CAPLUS  
CN 4 (3H)-Pyrimidinone, 5-[2-[4-[(1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-3,6-dimethyl-2-(methylamino)-(9CI) (CAIN NAME)

RN 131263-78-0 CAPLUS  
CN 4-(3H)-Pyrimidinone, 2-(ethylamino)-5-[2-[4-[(1-[(5-(hydroxymethyl)-2-furanyl]methyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-3,6-dimethyl- (9CI) (CA INDEX NAME)

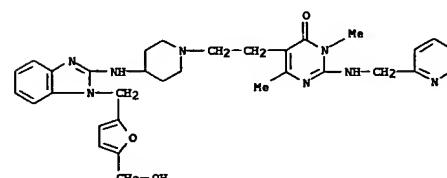
BN 131263-29-1 CARLUS

AM 19126.

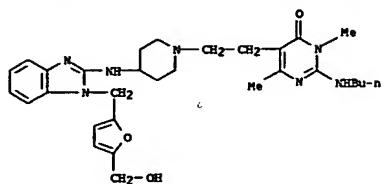
L4 ANSWER 30 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
CN 4(3H)-Pyrimidinone, 2-[(2-ethoxyethyl)amino]-5-[2-[4-[(1-[(5-  
hydroxymethyl)-2-furyl]methyl)-1H-benzimidazol-2-yl]amino]-1-  
piperidinyl]ethyl-3,6-dimethyl- (9CI) (CA INDEX NAME)



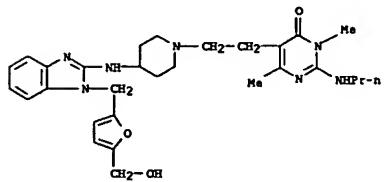
RN 131263-87-1 CAPLUS  
CN 4 (3H)-Pyrimidinone, 5-[2-[4-[(1-[[5-(hydroxymethyl)-2-furanyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-3,6-dimethyl-2-[(2-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



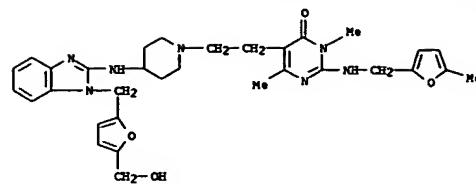
RN 131263-88-2 CAPIUS  
CN 4(3H)-Pyrimidinone, 2-(butylamino)-5-[2-{4-[(1-[(5-(hydroxymethyl)-2-furylmethyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl}ethyl]-3,6-dimethyl- (SCI) (CA INDEX NAME)



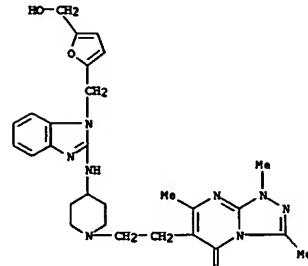
RN 131263-90-6 CAPLUS  
 CN 4-[2-[4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-3,6-dimethyl-2-(propylamino)-(9CI) (CA INDEX NAME)



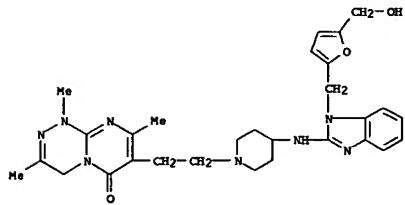
RN 131263-92-8 CAPLUS  
 CN 4-(3H)-Pyrimidinone, 5-[2-[4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-3,6-dimethyl-2-[(5-methyl-2-furanyl)methyl]amino- (9CI) (CA INDEX NAME)



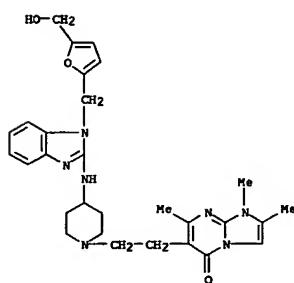
RN 131264-13-6 CAPLUS  
 CN 1,2,4-Triazolo[4,3-a]pyrimidin-5(1H)-one, 6-[2-[4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-1,3,7-trimethyl- (9CI) (CA INDEX NAME)



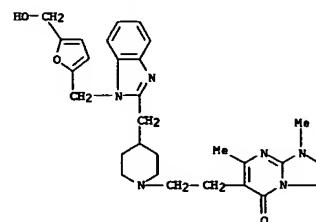
RN 131264-15-8 CAPLUS  
 CN 6H-Pyrido[2,1-c][1,2,4]triazin-6-one, 1,4-dihydro-7-[2-[4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-1,3,8-trimethyl- (9CI) (CA INDEX NAME)



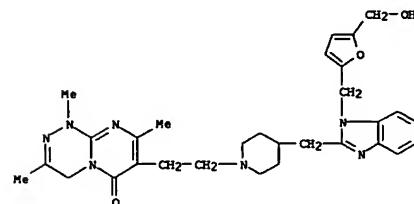
RN 131264-17-0 CAPLUS  
 CN Imidazo[1,2-a]pyrimidin-5(1H)-one, 6-[2-[4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-1,2,7-trimethyl- (9CI) (CA INDEX NAME)



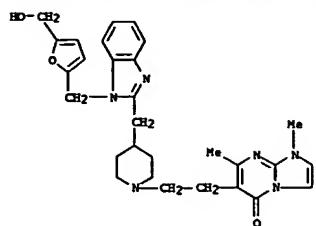
RN 131264-21-6 CAPLUS  
 CN Imidazo[1,2-a]pyrimidin-5(1H)-one, 2,3-dihydro-6-[2-[4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-1,7-dimethyl- (9CI) (CA INDEX NAME)



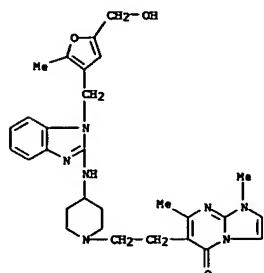
RN 131264-22-7 CAPLUS  
 CN 6H-Pyrido[2,1-c][1,2,4]triazin-6-one, 1,4-dihydro-7-[2-[4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-1,3,8-trimethyl- (9CI) (CA INDEX NAME)



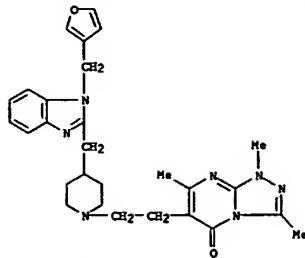
RN 131264-24-9 CAPLUS  
 CN Imidazo[1,2-a]pyrimidin-5(1H)-one, 6-[2-[4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-1,7-dimethyl- (9CI) (CA INDEX NAME)



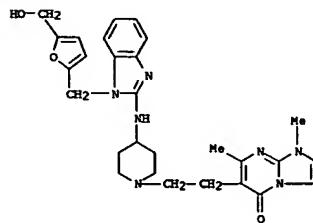
RN 131264-26-1 CAPLUS  
 CN Imidazo[1,2-a]pyrimidin-5(1H)-one, 6-[2-{4-[(1-[(5-(hydroxymethyl)-2-methyl-3-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl}ethyl]-1,7-dimethyl- (9CI) (CA INDEX NAME)



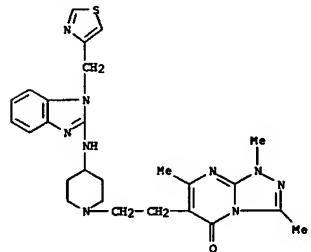
RN 131264-32-9 CAPLUS  
 CN 1,2,4-Triazolo[4,3-a]pyrimidin-5(1H)-one, 6-[2-{4-[(1-(3-furanyl)methyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl}ethyl]-1,3,7-trimethyl- (9CI) (CA INDEX NAME)



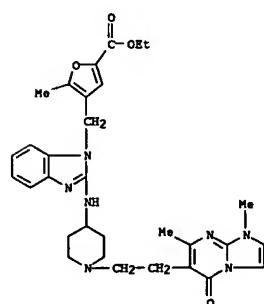
RN 131283-64-2 CAPLUS  
 CN Imidazo[1,2-a]pyrimidin-5(1H)-one, 6-[2-{4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl}ethyl]-1,7-dimethyl- (9CI) (CA INDEX NAME)



RN 131283-66-4 CAPLUS  
 CN 1,2,4-Triazolo[4,3-a]pyrimidin-5(1H)-one, 1,3,7-trimethyl-6-[2-{4-[(1-(4-thiazolyl)methyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl}ethyl]- (9CI) (CA INDEX NAME)



IT 131264-69-2P  
 RL: SPN (Synthetic preparation), PREP (Preparation)  
 (prep. of, as intermediate for antihistamine and antiserotonergic)  
 RN 131264-69-2 CAPLUS  
 CN 2-Furancarboxylic acid, 4-[(2-[(1-[2-(1,5-dihydro-1,7-dimethyl-5-oximidazo[1,2-a]pyrimidin-6-yl)ethyl]-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl]-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)

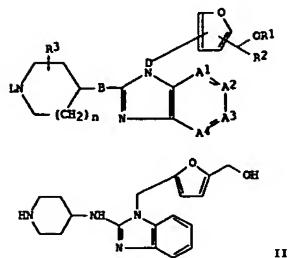


ACCESSION NUMBER: 1991185500 CAPLUS  
 DOCUMENT NUMBER: 114185500  
 TITLE: Preparation of [(hydroxymethylfuryl)methyl]benzimidazides and -imidazopyridines as antihistamines  
 INVENTOR(S): Janssens, Frans Eduard; Diels, Gaston Stanislas; Marcellar, Leenaerts, Joseph Elisabeth  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.  
 SOURCE: Eur. Pat. Appl., 48 pp.  
 CODEN: EP001W  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 393738	A1	19901024	EP 1990-200720	19900327
EP 393738	B1	19941130		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE			
ES 2067645	T3	19950401	ES 1990-200720	19900327
CA 2013892	AA	19901007	CA 1990-2013892	19900405
AU 9052951	A1	19901011	AU 1990-52951	19900405
AU 615612	B2	19911003		
ZA 9002664	A	19911224	ZA 1990-2664	19900405
IL 94008	A1	19970218	IL 1990-94008	19900405
NO 9001580	A	19901008	NO 1990-1580	19900406
NO 174851	B	19940411		
NO 174851	C	19940720		
JP 02290874	A2	19901130	JP 1990-90528	19900406
HU 58324	A2	19920228	HU 1990-2107	19900406
RU 2030415	C1	19950310	RU 1990-4743517	19900406
FI 95702	B	19951130	FI 1990-1755	19900406
FI 95702	C	19960311		
CA 1046161	A	19901017	CN 1990-101997	19900407
CA 1031403	B	19960327		
US 5272150	A	19931221	US 1992-842024	19920226
			US 1989-335022	19890407
			US 1990-488566	19900305
OTHER SOURCE(S):			MARPAT 114:185500	
GI				

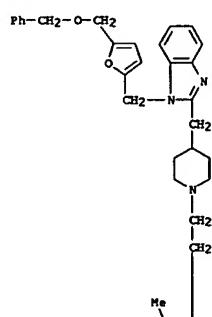
L4 ANSWER 31 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



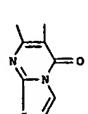
AB The title compds. (I; A1A2A3A4 = (substituted) CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH, NC:NCH:CH, etc.; D = C-1-alkylene; R1 = H, alkyl, arylalkyl, alkylcarbonyl; R2, R3 = H, alkyl; n = 0-2; B = NR4, O, S, SO, SO2, CH2; R4 = H, alkyl, cycloalkyl, arylalkyl; L = H, alkyl, cycloalkyl, (aryl)alkenyl, alkylcarbonyl, alkoxycarbonyl, arylcarbonyl, arylalkylcarbonyl, alkylsulfonyl, arylsulfonyl, heterocyclyalkyl, etc.). were prep'd. Thus, a mixt. of Et 4-(1B-aminimidazol-2-ylamino)-1-piperidinescarboxylate, Et 5-chloromethyl-2-furancarboxylate, Na2CO3, and DMF was stirred 2 nights at 70.degree. to give 70.8% condensation product, which was refluxed with LiBH4 in THF followed by reflux with KOH in Me2CHOH to give title compd. II. II had an ED50 of 0.04 mg/kg s.c. in rats for inhibition of compd. 48/80-induced lethality. Several I are also serotonin antagonists.

IT serotonin antagonists.  
125551-16-72 L25551-16-92 D25551-16-OP  
125551-20-3P L25551-21-4P D25551-22-SP  
125551-24-72 L25551-25-4P D25551-27-OP  
125551-25-72 L25551-26-4P D25551-31-4P  
125551-32-7P L25551-34-4P D25551-35-OP  
125551-37-2P L25551-39-4P D25551-76-9P  
125551-77-0P L25551-78-1P D25551-80-5P  
125551-82-7P L25551-84-8P D25551-86-1P  
125551-87-2P L25551-85-8P D25551-89-4P  
125551-90-72 L25551-92-8P D25551-93-0P  
125551-94-1P L25551-95-2P D25551-96-3P  
125551-97-4P L25551-98-5P D25551-99-6P  
125552-00-2P L25552-01-6P D25552-02-4P  
125552-03-5P L25552-04-6P D25552-05-7P  
125552-06-8P L25552-07-9P D25552-09-1P  
125552-11-5P L25552-32-30P D25552-41-1P  
125552-42-2P L25552-43-3P D25552-44-4P  
125552-45-5P L25552-47-7P D25554-59-9P  
125564-61-3P L25564-64-6P D25564-65-7P  
125564-66-8P L25564-68-9P D25564-70-1P

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



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91 2

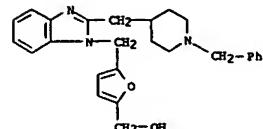
CRN 110-17-8  
CMF C4 H4 04

Double bond geometry as shown.

2020 RELEASE UNDER E.O. 14176

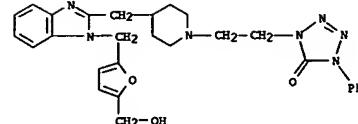
CN 5H-Tetrazol-5-one, 1,4-dihydro-1-[2-[4-[(1-[1-[5-(hydroxymethyl)-2-furyl]methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-4-phenyl- (9CI) (CA INDEX NAME)

14 ANSWER 31 of 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPF (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prep. of, as antihistaminic)  
RN 132551-77-7 CAPLUS  
CN 2-*Uranymethanol, 5-[[2-[(1-phenylmethyl)-4-piperidinyl]methyl]-1H-benzimidazol-1-yl]methyl-* (9CI) (CA INDEX NAME)



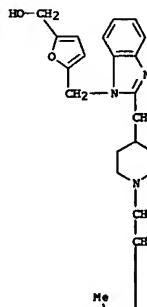
RN 132551-18-9 CAPLUS  
 CN SH-Thiazolo[3,2-a]pyrimidin-5-one, 7-methyl-6-[2-[(1-[(5-  
 {[(phenylmethyl)ethyl]-2-furanyl}methyl]-1H-benzimidazol-2-yl)methyl]-1-  
 piperidinyl]ethyl]. (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 132551-17-8  
 CMT C35 H37 N5 O3 S

L4 ANSWER 31 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



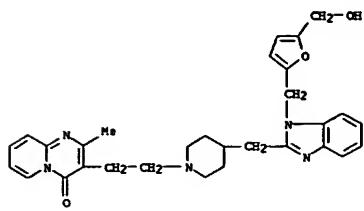
RN 132551-20-3 CAPLUS  
CN 5H-Thiolo[3,2-a]pyrimidin-5-one, 6-[2-[4-[[1-[[5-(hydroxymethyl)-2-furanyl]methyl]ethyl]benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl]-7-methyl-(9CI) (CA INDEX NAME)

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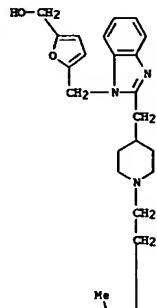
RN 132551-21-4 CAPLUS

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-2-methyl- (9CI) (CA INDEX NAME)



RN 132551-22-5 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-6-[2-[4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-7-methyl- (9CI) (CA INDEX NAME)

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L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

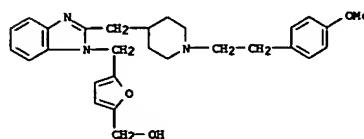
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RN 132551-24-7 CAPLUS  
 CN 2-Furanmethanol, 5-[(2-[(1-[(4-methoxyphenyl)methyl]-1H-benzimidazol-1-yl)methyl]-1-piperidinyl)ethyl]-4- (2S)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CN 1

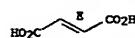
CRN 132551-23-6  
 CMF C28 H33 N3 O3



CN 2

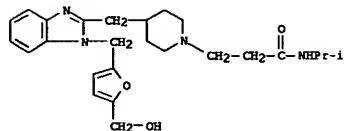
CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



RN 132551-25-8 CAPLUS  
 CN 1-Piperidinopropanamide, 4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

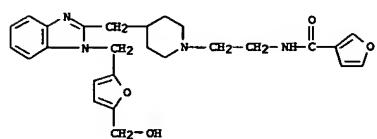
L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 132551-27-0 CAPLUS  
 CN 3-Furancarboxamide, N-[2-[4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-, ethanedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CN 1

CRN 132551-26-9  
 CMF C26 H30 N4 O4



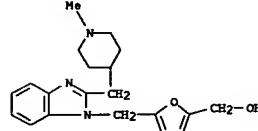
CN 2

CRN 144-62-7  
 CMF C2 H2 O4



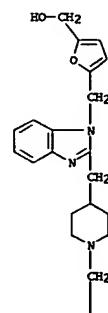
RN 132551-28-1 CAPLUS  
 CN 2-Furancarboxylic acid, 5-[(2-[(1-methyl-4-piperidinyl)methyl]-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

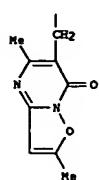
L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 132551-29-2 CAPLUS  
 CN 7H-1,3-oxazolo[2,3-a]pyrimidin-7-one, 6-[2-[4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

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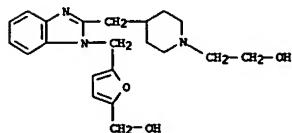




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RN 132551-31-6 CAPIUS  
 CN 1-Piperidineethanol, 4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-, (2E)-2-butenedioate (2:1) (salt) (9CI) (CA INDEX NAME)

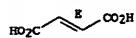
CM 1

CRN 132551-30-5  
 CMF C21 H27 N3 O3

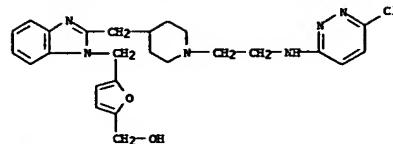
CM 2

CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.

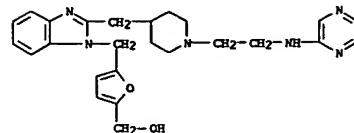


RN 132551-32-7 CAPIUS  
 CN 2-Furanmethanol, 5-[(2-[(1-[(6-chloro-3-pyridazinyl)amino]ethyl]-4-piperidinyl)methyl]-1H-benzimidazol-1-yl)methyl] - (9CI) (CA INDEX NAME)



RN 132551-34-9 CAPIUS  
 CN 2-Furanmethanol, 5-[(2-[(1-[(2-(pyrazinylamino)ethyl)-4-piperidinyl]methyl]-1H-benzimidazol-1-yl)methyl]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

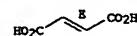
CM 1

CRN 132551-33-8  
 CMF C25 H30 N6 O2

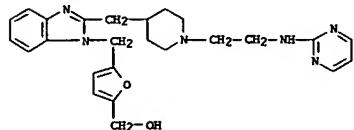
CM 2

CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.

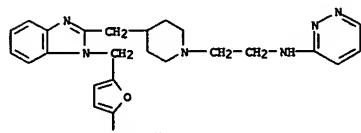


RN 132551-35-0 CAPIUS  
 CN 2-Furanmethanol, 5-[(2-[(1-[(2-(2-pyrimidinylamino)ethyl)-4-piperidinyl]methyl]-1H-benzimidazol-1-yl)methyl] - (9CI) (CA INDEX NAME)



RN 132551-37-2 CAPIUS  
 CN 2-Furanmethanol, 5-[(2-[(1-[(3-pyridazinylamino)ethyl]-4-piperidinyl)methyl]-1H-benzimidazol-1-yl)methyl]-, ethanedioate (1:3) (salt) (9CI) (CA INDEX NAME)

CM 1

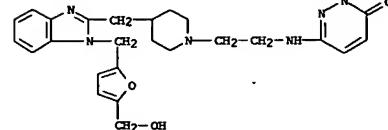
CRN 132551-36-1  
 CMF C25 H30 N6 O2

CM 2

CRN 144-62-7  
 CMF C2 H2 O4

RN 132551-39-4 CAPIUS  
 CN 3(2H)-Pyridazinone, 6-[(2-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl)amino]-, ethanedioate (2:5) (salt) (9CI) (CA INDEX NAME)

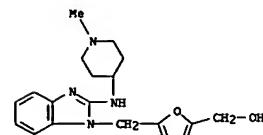
CM 1

CRN 132551-38-3  
 CMF C25 H30 N6 O3

CM 2

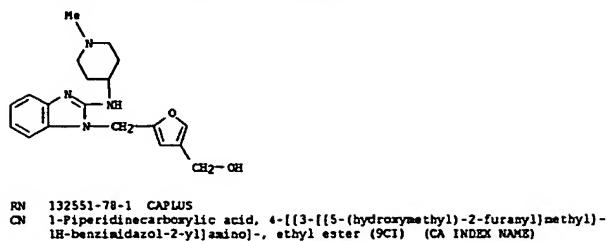
CRN 144-62-7  
 CMF C2 H2 O4

RN 132551-76-9 CAPIUS  
 CN 2-Furanmethanol, 5-[(2-[(1-methyl-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl] - (9CI) (CA INDEX NAME)



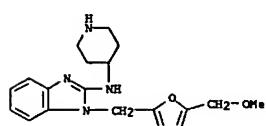
RN 132551-77-0 CAPIUS  
 CN 3-Furanmethanol, 5-[(2-[(1-methyl-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl] - (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

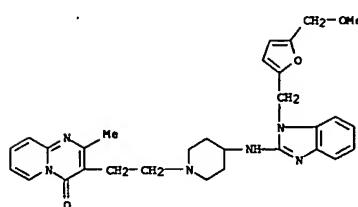


RN 132551-78-1 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-[(5-(methoxymethyl)-2-furanyl)methyl]-N-(1-[(2-(4-methoxyphenyl)ethyl)-4-piperidinyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1  
 CRN 132551-81-6  
 CMF C28 H34 N4 O3



L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 CRN 132551-83-8  
 CMF C30 H34 N6 O3



CM 2  
 CRN 110-17-8  
 CMF C4 H6 O4

Double bond geometry as shown.

RN 132551-86-1 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[(1-[(5-(methoxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-7-methyl-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1  
 CRN 132551-85-0  
 CMF C28 H32 N6 O3 S

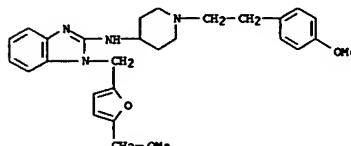
L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 2  
 CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.

RN 132551-82-7 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-[(5-(methoxymethyl)-2-furanyl)methyl]-N-(1-[(2-(4-methoxyphenyl)ethyl)-4-piperidinyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1  
 CRN 132551-81-6  
 CMF C28 H34 N4 O3

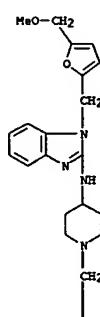


CM 2  
 CRN 110-17-8  
 CMF C4 H6 O4

Double bond geometry as shown.

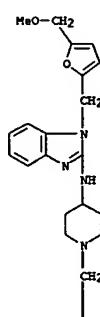
RN 132551-84-9 CAPLUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[(1-[(5-(methoxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-2-methyl-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

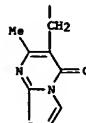


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L4 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



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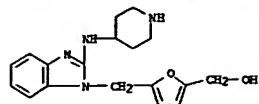


CM 2  
 CRN 110-17-8  
 CMF C4 H4 O4

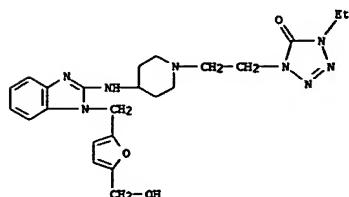
Double bond geometry as shown.

RN 132551-87-2 CAPLUS  
 CN 2-Furanmethanol, 5-[(2-[(4-piperidinylamino)-1H-benzimidazol-1-yl)methyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

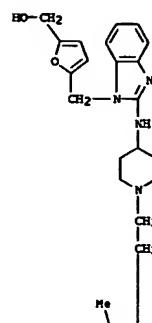
14 ANSWER 31 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 132551-88-3 CAPIUS  
 CN 5H-Tetrazol-5-one, 1-ethyl-1,4-dihydro-4-[2-{4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl}ethyl]-(9CI)  
 (CA INDEX NAME)



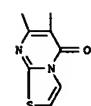
RN 132551-89-4 CAPIUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-{4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl}ethyl]-7-methyl-(9CI)  
 (CA INDEX NAME)



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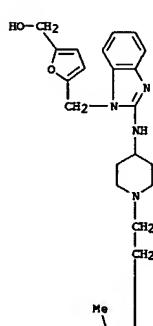
14 ANSWER 31 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

RN 132551-90-7 CAPIUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2,3-dihydro-6-[2-{4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl}ethyl]-7-methyl-(9CI)  
 (CA INDEX NAME)



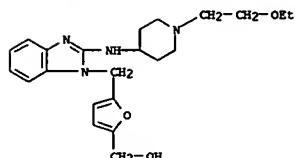
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14 ANSWER 31 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



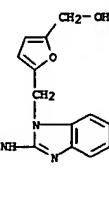
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14 ANSWER 31 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



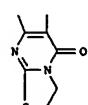
CH 2  
 CRN 144-62-7  
 CMF C2 H2 O4

RN 132551-93-0 CAPIUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-{4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl}ethyl]-2-methyl-(9CI)  
 (CA INDEX NAME)

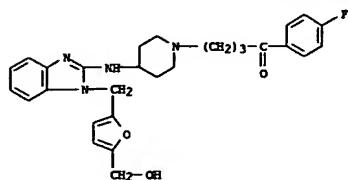


RN 132551-92-9 CAPIUS  
 CN 2-Furanmethanol, 5-[(2-[(1-(2-ethoxyethyl)-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl]-, ethanedioate (1:2) (salt) (9CI)  
 (CA INDEX NAME)

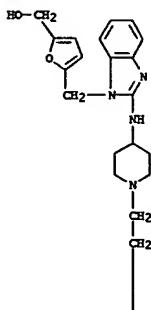
CH 1

CRN 132551-91-8  
 CMF C22 H30 N4 O3

RN 132551-94-1 CAPIUS  
 CN 1-Butanone, 1-(4-fluorophenyl)-4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl)-(9CI)  
 (CA INDEX NAME)

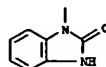


RN 132551-95-2 CAPIUS  
 CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[2-[4-[(1-[(5-(hydroxymethyl)-2-furanyl]methyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

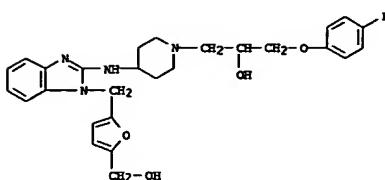


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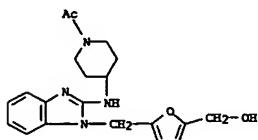
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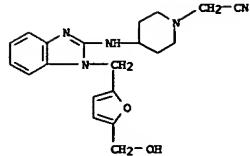
RN 132551-96-3 CAPIUS  
 CN 1-Piperidinethanol, .alpha.s.-[(4-fluorophenoxy)methyl]-4-[(1-[(5-(hydroxymethyl)-2-furanyl]methyl)-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



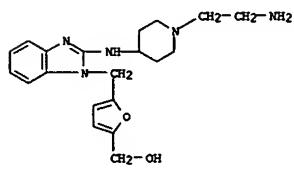
RN 132551-97-4 CAPIUS  
 CN 4-Piperidinamine, N-[1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



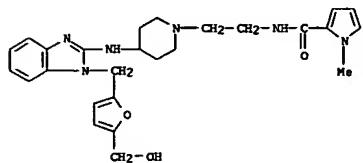
RN 132551-98-5 CAPIUS  
 CN 1-Piperidinacetonitrile, 4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)



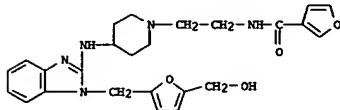
RN 132551-99-6 CAPIUS  
 CN 2-Furanmethanol, 5-[(2-[(1-(2-aminoethyl)-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



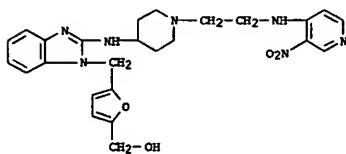
RN 132552-00-2 CAPIUS  
 CN 1H-Pyrrole-2-carboxamide, N-[2-[4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-1-methyl- (9CI) (CA INDEX NAME)



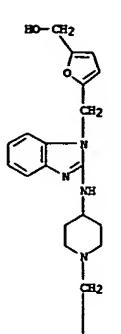
RN 132552-01-3 CAPIUS  
 CN 3-Furancarboxamide, N-[2-[4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 132552-02-4 CAPIUS  
 CN 2-Furanmethanol, 5-[(2-[(1-[(3-nitro-4-pyridinyl)amino]ethyl)-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

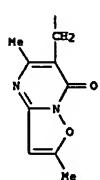


RN 132552-03-5 CAPIUS  
 CN 7H-Isoxazolo[2,3-a]pyrimidin-7-one, 6-[(2-[(1-[(3-nitro-4-pyridinyl)amino]ethyl)-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

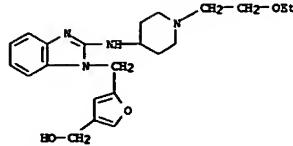


PAGE 1-A

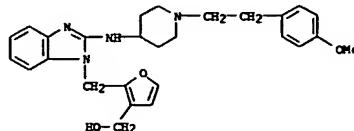
RN 132552-04-6 CAPLUS  
 CN 3-Furamethanol, 5-[(2-[(1-(2-ethoxymethyl)-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



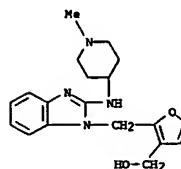
PAGE 2-A



RN 132552-05-7 CAPLUS  
 CN 3-Furamethanol, 2-[(2-[(1-(2-(4-methoxyphenyl)ethyl)-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



RN 132552-06-8 CAPLUS  
 CN 3-Furamethanol, 2-[(2-[(1-methyl-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

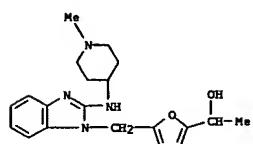


RN 132552-07-9 CAPLUS  
 CN 2H,6H-Pyrimido[2,1-b][1,3]thiazin-6-one, 3,4-dihydro-7-[(2-[(1-[(5-hydroxymethyl)-2-furanyl]methyl)-1H-benzimidazol-2-yl]amino)-1-piperidinyl]ethyl]-8-methyl- (9CI) (CA INDEX NAME)

RN 132552-09-1 CAPLUS  
 CN 2-Furamethanol, .alpha.-methyl-5-[(2-[(1-methyl-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl]-, (2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

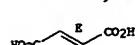
CM 1

CRN 132552-08-0  
 CMF C20 H26 N4 O2



CM 2  
 CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.

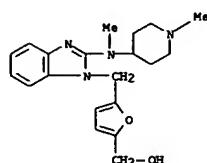


RN 132552-11-5 CAPLUS  
 CN 2-Furamethanol, 5-[(2-[(methyl(1-methyl-4-piperidinyl)amino)-1H-benzimidazol-1-yl)methyl]-, (2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 132552-10-4

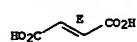
Habte



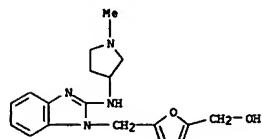
CM 2

CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.

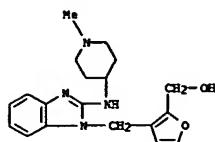


RN 132552-32-0 CAPLUS  
 CN 2-Furamethanol, 5-[(2-[(1-methyl-3-pyrrolidinyl)amino]-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

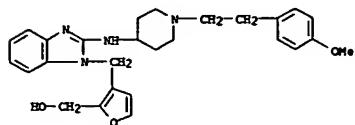


RN 132552-41-1 CAPLUS  
 CN 2-Furamethanol, 3-[(2-[(1-methyl-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

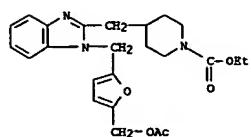
L4 ANSWER 31 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 132552-42-2 CAPIUS  
 CN 2-Furanmethanol, 3-[(2-[(1-(4-methoxyphenyl)ethyl]-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

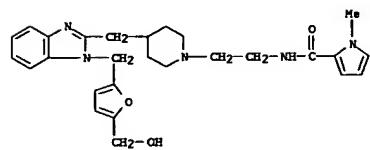


RN 132552-43-3 CAPIUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-[(5-((acetyloxy)methyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 132552-44-4 CAPIUS  
 CN 2-Furanmethanol, 5-[(2-(4-piperidinylmethyl)-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

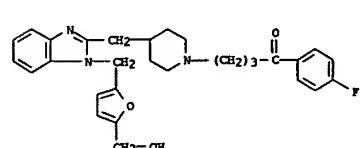
CRN 132584-58-8  
CMF C27 H33 N5 O3

CM 2

CRN 144-62-7  
CMF C2 H2 O4

RN 132584-61-3 CAPIUS  
 CN Sulfamic acid, cyclohexyl-, compd. with 1-(4-fluorophenyl)-4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl-1-butanone (2:1) (9CI) (CA INDEX NAME)

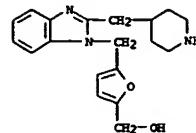
CM 1

CRN 132584-60-2  
CMF C29 H32 F N3 O3

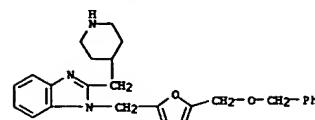
CM 2

CRN 100-88-9  
CMF C6 H13 N O3 S

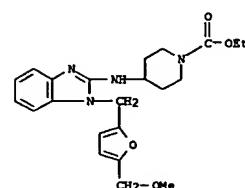
L4 ANSWER 31 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 132552-45-5 CAPIUS  
 CN 1H-Benzimidazole, 1-[(5-((phenylmethoxy)methyl)-2-furanyl)methyl]-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)



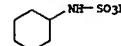
RN 132552-47-7 CAPIUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-[(5-(methoxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



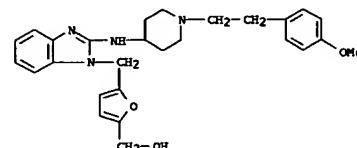
RN 132584-59-9 CAPIUS  
 CN 1H-Pyrrole-2-carboxamide, N-[2-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]-1-methyl-ethanediolate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

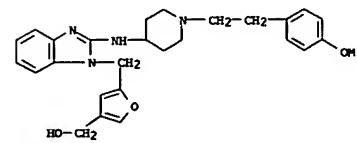
L4 ANSWER 31 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



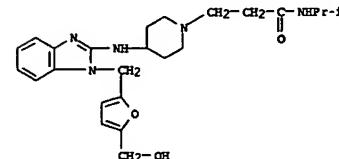
RN 132584-64-6 CAPIUS  
 CN 2-Furanmethanol, 5-[(2-[(1-(4-methoxyphenyl)ethyl]-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



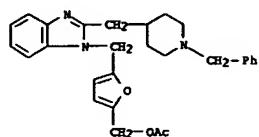
RN 132584-65-7 CAPIUS  
 CN 3-Furanmethanol, 5-[(2-[(1-(4-methoxyphenyl)ethyl]-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)



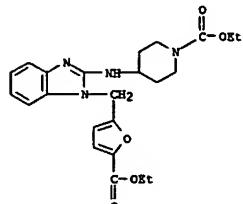
RN 132584-66-8 CAPIUS  
 CN 1-Piperidinopropanamide, 4-[(1-[(5-(hydroxymethyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 31 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 RN 132584-68-0 CAPIUS  
 CN 2-Furancarboxylic acid, 5-[(2-[(1-(phenylmethyl)-4-piperidinyl)methyl]-1H-benzimidazol-1-yl)methyl]-, acetate (ester) (9CI) (CA INDEX NAME)

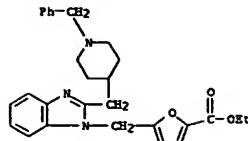


IT 132552-50-2P 132552-51-3P 132552-52-4P  
 132552-53-5P 132552-54-8P 132552-57-9P  
 132552-58-0P 132584-69-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as intermediate for antihistaminic)  
 RN 132552-50-2 CAPIUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-[(5-(ethoxycarbonyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

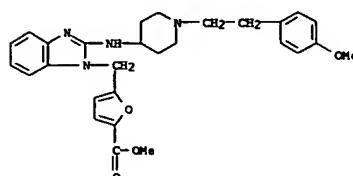


RN 132552-51-3 CAPIUS  
 CN 2-Furancarboxylic acid, 5-[(2-[(1-(phenylmethyl)-4-piperidinyl)methyl]-1H-benzimidazol-1-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

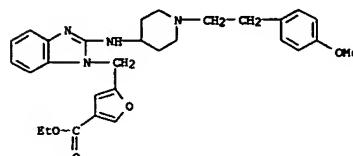
L4 ANSWER 31 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 132552-52-4 CAPIUS  
 CN 2-Furancarboxylic acid, 5-[(2-[(1-(4-methoxyphenyl)ethyl)-4-piperidinyl]amino)-1H-benzimidazol-1-yl)methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 132552-53-5 CAPIUS  
 CN 2-Furancarboxylic acid, 5-[(2-[(1-(4-methoxyphenyl)ethyl)-4-piperidinyl]amino)-1H-benzimidazol-1-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

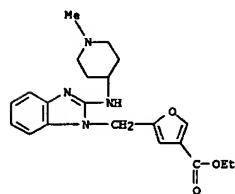


RN 132552-56-8 CAPIUS  
 CN 3-Furancarboxylic acid, 5-[(2-[(1-methyl-4-piperidinyl)amino]-1H-

L4 ANSWER 31 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 benzimidazol-1-yl)methyl]-, ethyl ester, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CN 132552-55-7  
 CMF C21 H26 N4 O3



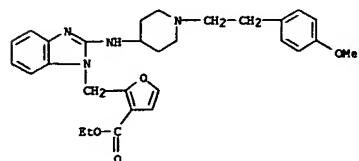
CM 2

CN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.

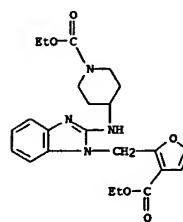


RN 132552-57-9 CAPIUS  
 CN 3-Furancarboxylic acid, 2-[(2-[(1-(2-(4-methoxyphenyl)ethyl)-4-piperidinyl)amino]-1H-benzimidazol-1-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

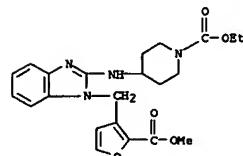


RN 132552-58-0 CAPIUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-[(3-(ethoxycarbonyl)-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 132584-69-1 CAPIUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-[(2-(methoxycarbonyl)-3-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 32 OF 46 CAPIUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:212855 CAPIUS

DOCUMENT NUMBER: 110:212855

TITLE: Preparation of [(bicyclic heterocyclyl)amino]hexahydro-1H-azepines and - pyrrolidines as antihistamines  
 INVENTOR(S): Janssens, Frans Eduard; Diele, Gaston Stanislas; Marcella, Pille, Geert Marcel Ester  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.  
 SOURCE: Eur. Pat. Appl., 49 pp.  
 CODEN: EP0301W

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 297661	A1	19890104	EP 1988-201291	19880623
EP 297661	B1	19920916		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE CA 1317939	A1	19930518	CA 1988-568377	19880602
AT 80628	E	19921015	AT 1988-201291	19880623
ES 2052686	T3	19940716	ES 1988-201291	19880623
AU 8818353	A1	19890105	AU 1988-18353	19880624
AU 603684	B2	19901122		
JP 01034979	A2	19890206	JP 1988-161124	19880630
ZA 8804696	A	19900328	ZA 1988-4696	19880630
IL 86921	A1	19921115	IL 1988-86921	19880630
US 4988689	A	19910129	US 1989-338440	19890413
US 5071846	A	19911210	US 1990-609989	19901106
US 5151424	A	19920929	US 1991-756673	19910909
PRIORITY APPLN. INFO.:			US 1987-68515	19870701
			US 1988-198960	19880526
			ES 1988-201291	19880623
			US 1989-338440	19890413
			US 1990-609989	19901106

OTHER SOURCE(S): MARPAT 110:212855

GI For diagram(s), see printed CA issue.

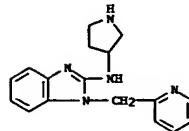
AB Title compds. I (R = H, Cl-6 alkyl, Cl-6 cycloalkyl, etc., A1-A4 = CH:CH:CH, N:CH:CH, CH:NCH:CH, CH:CH:CH:N, N:CHN:CH, CH:NCH:N wherein 1 or 2 H may be replaced by halo, Cl-6 alkyl, Cl-6 alkony, F3C, HO, B = CH<sub>2</sub>, O, SO, SO<sub>2</sub>, R2N, R2 = H, Cl-6 cycloalkyl, Cl-6 alkylcarbonyl, Cl-6 alkoxycarbonyl, etc.; L = H, Cl-6 alkylcarbonyl, Cl-6 alkylsulfonyl, Cl-6 alkoxycarbonyl, (un)substituted C2-6 alkenyl, etc., n = 0, 2), a pharmaceutically acceptable salt, or stereoisomer, isomeric form thereof, are prepd. MeNCO and N-[1-(2-aminoethyl)-3-pyrrolidinyl]-1-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-amine were reacted in THF to give I (L = MeNCONCH<sub>2</sub>CH<sub>2</sub>, R = H, B = NH; R1 = 4-FC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>; A1-A4 = CH:CH:CH; n = 0) which had ED<sub>50</sub> of 0.01 mg/kg for protection of rats from compd. 48/80-induced lethality.

IT 120429-68-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prep. and reaction of, in prepn. of allergy inhibitors)

RN 120429-68-7 CAPIUS

CN 1H-Benzimidazol-2-amine, 1-(2-pyridinylmethyl)-N-3-pyrrolidinyl-,

L4 ANSWER 32 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 dibydrochloride (9CI) (CA INDEX NAME)

●2 HCl

IT 120429-36-9 120429-53-0P 120429-54-1P

120429-59-6P 120429-60-9P 120429-66-5P

120429-67-6P 120429-68-7P 120429-69-6P

120429-90-5P 120429-92-7P 120429-94-5P

120429-98-3P 120430-00-4P 120430-19-5P

120430-21-9P 120430-25-3P 120430-31-1P

120430-33-3P 120430-36-6P 120430-41-3P

120430-43-5P 120430-50-4P 120430-69-4P

120430-70-8P 120430-80-0P 120430-83-3P

120430-86-6P 120430-88-8P 120430-93-5P

120431-00-7P 120431-03-0P 120431-09-6P

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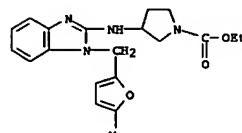
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120457-68-3P 120457-70-7P 120457-73-0P

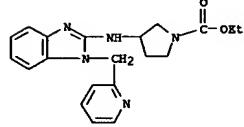
RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prep. of, as antiallergic agent)

RN 120429-36-9 CAPIUS

CN 1-Pyrrolidinedicarboxylic acid, 3-[(1-(5-methyl-2-furanyl)methyl)-1H-benzimidazol-2-yl]amino-, ethyl ester (9CI) (CA INDEX NAME)



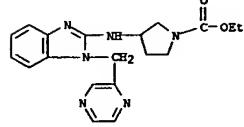
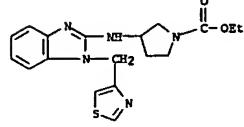
RN 120429-53-0 CAPIUS

L4 ANSWER 32 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 CN 1-Pyrrolidinedicarboxylic acid, 3-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

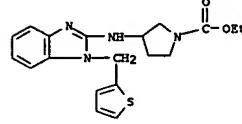
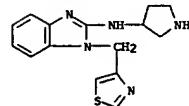
●2 HCl

RN 120429-54-1 CAPIUS

CN 1-Pyrrolidinedicarboxylic acid, 3-[(1-(pyrazinylmethyl)-1H-benzimidazol-2-yl)amino-, ethyl ester (9CI) (CA INDEX NAME)

RN 120429-59-6 CAPIUS  
 CN 1-Pyrrolidinedicarboxylic acid, 3-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)amino-, ethyl ester (9CI) (CA INDEX NAME)RN 120429-60-9 CAPIUS  
 CN 1-Pyrrolidinedicarboxylic acid, 3-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)amino-, ethyl ester (9CI) (CA INDEX NAME)

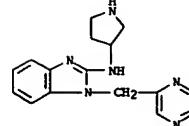
L4 ANSWER 32 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

RN 120429-66-5 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-3-pyrrolidinyl-1-(4-thiazolylmethyl)-, dihydrobromide (9CI) (CA INDEX NAME)

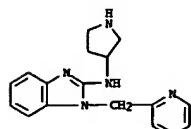
●2 HBr

RN 120429-67-6 CAPIUS

CN 1H-Benzimidazol-2-amine, 1-(pyrazinylmethyl)-N-3-pyrrolidinyl- (9CI) (CA INDEX NAME)

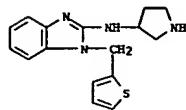
RN 120429-68-7 CAPIUS  
 CN 1H-Benzimidazol-2-amine, 1-(2-pyridinylmethyl)-N-3-pyrrolidinyl-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

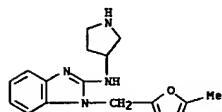


● 2 HCl

RN 120429-83-6 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-3-pyrrolidinyl-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



RN 120429-90-5 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-((5-methyl-2-furanyl)methyl)-N-3-pyrrolidinyl-, monohydrochloride (9CI) (CA INDEX NAME)

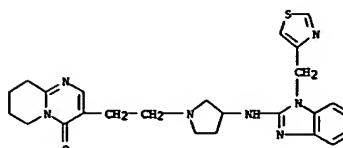


● HCl

RN 120429-92-7 CAPLUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-3-[2-[3-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]amino]-1-pyrrolidinyl]ethyl]-,

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 (2E)-2-butenedioate (2:5) (9CI) (CA INDEX NAME)

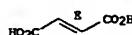
CH 1

CRN 120429-91-6  
 CMF C25 H29 N7 O S

CH 2

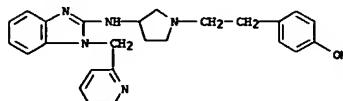
CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



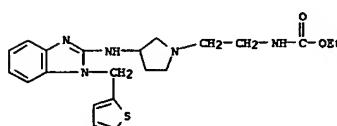
RN 120429-94-9 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-[(2-(4-methoxyphenyl)ethyl)-3-pyrrolidinyl]-1-(2-pyridinylmethyl)-, (2E)-2-butenedioate (2:5) (9CI) (CA INDEX NAME)

CH 1

CRN 120429-93-8  
 CMF C26 H29 N5 O

CH 2

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

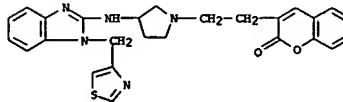


CH 2

CRN 144-62-7  
 CMF C2 H2 O4

RN 120430-19-5 CAPLUS  
 CN 2H-1-Benzopyran-2-one, 3-[2-[3-[[1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl]amino]-1-pyrrolidinyl]ethyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

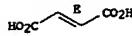
CH 1

CRN 120430-19-4  
 CMF C26 H25 N5 O2 S

CH 2

CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.

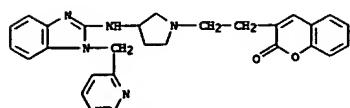


RN 120430-21-9 CAPLUS  
 CN 2H-1-Benzopyran-2-one, 3-[2-[3-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]-1-pyrrolidinyl]ethyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

6/02/2003

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
INDEX NAME)

CH 1

CRN 120430-20-8  
CMF C28 H27 N5 O2

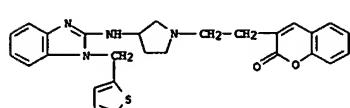
CH 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

RN 120430-25-3 CAPLUS  
CN 2H-1-Benzopyran-2-one, 3-[2-(3-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)amino]-1-pyrrolidinyl)ethyl]-, ethanediolate (1:2) (9CI) (CA INDEX NAME)

CH 1

CRN 120430-24-2  
CMF C27 H26 N4 O2 S

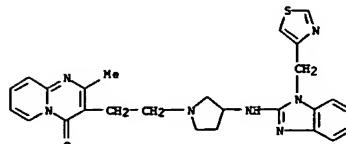
CH 2

CRN 144-62-7  
CMF C2 H2 O4

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 120430-31-1 CAPLUS  
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-(3-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)amino]-1-pyrrolidinyl)ethyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CH 1

CRN 120430-30-0  
CMF C26 H27 N7 O5

CH 2

CRN 110-17-8  
CMF C4 H4 O4

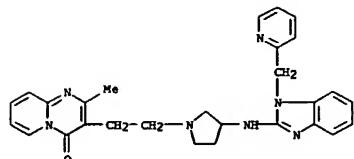
Double bond geometry as shown.

RN 120430-33-3 CAPLUS  
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-(3-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-1-pyrrolidinyl)ethyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CH 1

CRN 120430-32-2  
CMF C28 H29 N7 O

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



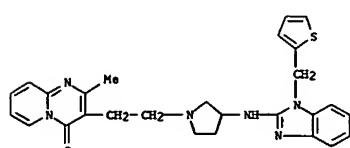
CH 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

RN 120430-36-6 CAPLUS  
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-(3-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)amino]-1-pyrrolidinyl)ethyl]-, (2E)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CH 1

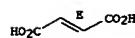
CRN 120430-35-5  
CMF C27 H28 N6 O5

CH 2

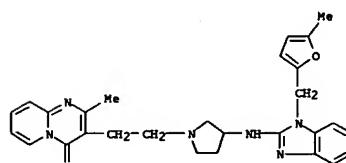
CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 120430-41-3 CAPLUS  
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-(3-[(1-(5-methyl-2-furanyl)methyl)-1H-benzimidazol-2-yl)amino]-1-pyrrolidinyl)ethyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CH 1

CRN 120430-40-2  
CMF C28 H30 N6 O2

CH 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

RN 120430-43-5 CAPLUS  
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-(3-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)amino]-1-pyrrolidinyl)ethyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

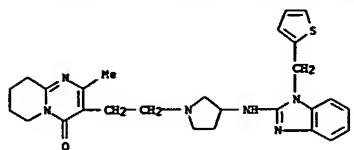
CH 1

CRN 120430-42-4  
CMF C27 H32 N6 O5

Habte

6/02/2003

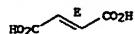
14 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



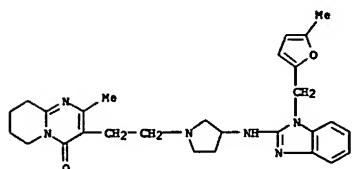
CM 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

RN 120430-50-4 CAPLUS  
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-[(1-[(5-methyl-2-furyl)methyl]-1H-benzimidazol-2-yl)amino]-1-pyrrolidinyl]ethyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

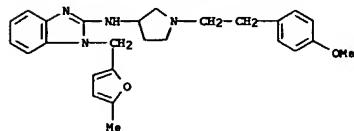
CM 1

CRN 120430-49-1  
CMF C28 H34 N6 O2

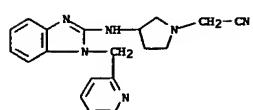
CM 2

CRN 110-17-8  
CMF C4 H4 O4

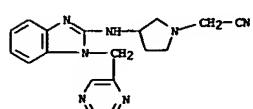
14 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2

CRN 144-62-7  
CMF C2 H2 O4RN 120430-80-0 CAPLUS  
CN 1-Pyrrolidinethacetonitrile, 3-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)RN 120430-83-3 CAPLUS  
CN 1-Pyrrolidinethacetonitrile, 3-[(1-(pyrazinylmethyl)-1H-benzimidazol-2-yl)amino]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 120430-82-2  
CMF C18 H19 N7

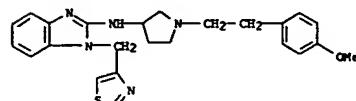
Habte

14 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

Double bond geometry as shown.

RN 120430-68-4 CAPLUS  
CN 1H-Benzimidazol-2-amine, N-[1-[(2-(4-methoxyphenyl)ethyl)-3-pyrrolidinyl]-1-(4-thiazolylmethyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 120430-67-3  
CMF C24 H27 N5 O S

CM 2

CRN 144-62-7  
CMF C2 H2 O4RN 120430-70-8 CAPLUS  
CN 1H-Benzimidazol-2-amine, N-[1-[(2-(4-methoxyphenyl)ethyl)-3-pyrrolidinyl]-1-(5-methyl-2-furyl)methyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 120430-69-5  
CMF C26 H30 N4 O2

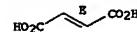
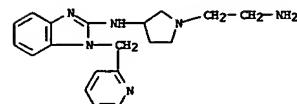
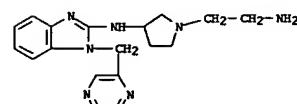
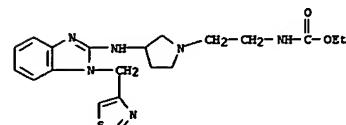
14 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

14 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

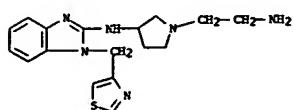
CM 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

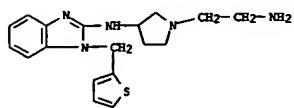
RN 120430-86-6 CAPLUS  
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-3-pyrrolidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)RN 120430-88-8 CAPLUS  
CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-3-pyrrolidinyl]-1-(pyrazinylmethyl)- (9CI) (CA INDEX NAME)RN 120430-93-5 CAPLUS  
CN Carbamic acid, [2-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)amino]-1-pyrrolidinyl]ethyl-, ethyl ester (9CI) (CA INDEX NAME)RN 120431-00-7 CAPLUS  
6/02/2003

L4 ANSWER 32 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-3-pyrrolidinyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)



RN 120431-03-0 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-3-pyrrolidinyl]-1-(2-thienylmethyl)-, (2E)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

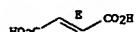
CN 1

CRN 120431-02-9  
CMF C18 H23 N5 S

CN 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.



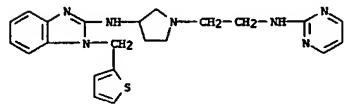
RN 120431-09-6 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(2-pyrimidinylamino)ethyl]-3-pyrrolidinyl]-1-(4-thiazolylmethyl)-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CN 1

CRN 120431-08-5  
CMF C21 H24 N8 S

L4 ANSWER 32 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(2-pyrimidinylamino)ethyl]-3-pyrrolidinyl]-1-(2-thienylmethyl)-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

CN 1

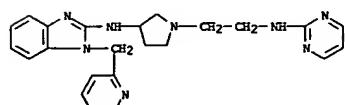
CRN 120431-14-3  
CMF C22 H25 N7 S

CN 2

CRN 144-62-7  
CMF C2 H2 O4

RN 120431-21-2 CAPIUS  
 CN 1H-Benzimidazol-2-amine, 1-(2-pyridinylmethyl)-N-[1-[2-(2-pyrimidinylamino)ethyl]-3-pyrrolidinyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CN 1

CRN 120431-20-1  
CMF C23 H26 N8

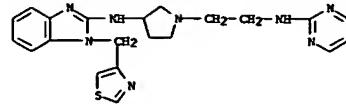
CN 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

Habte

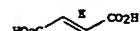
L4 ANSWER 32 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



CN 2

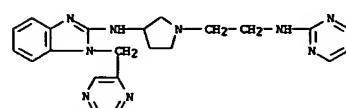
CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.



RN 120431-11-0 CAPIUS  
 CN 1H-Benzimidazol-2-amine, 1-(pyrazinylmethyl)-N-[1-[2-(2-pyrimidinylamino)ethyl]-3-pyrrolidinyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

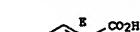
CN 1

CRN 120431-10-9  
CMF C22 H25 N9

CN 2

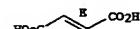
CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

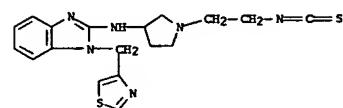


RN 120431-15-4 CAPIUS

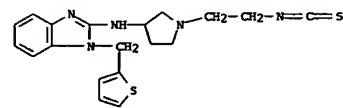
L4 ANSWER 32 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



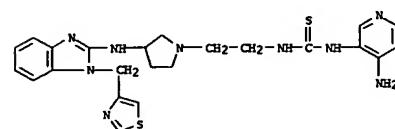
RN 120431-29-0 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-isothiocyanatoethyl)-3-pyrrolidinyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)



RN 120431-31-4 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-isothiocyanatoethyl)-3-pyrrolidinyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)

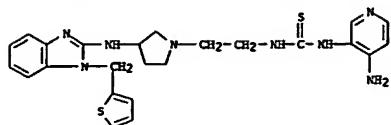


RN 120431-33-6 CAPIUS  
 CN Thiourea, N-(4-amino-3-pyridinyl)-N'-(2-[3-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)amino]-1-pyrrolidinyl]ethyl)- (9CI) (CA INDEX NAME)



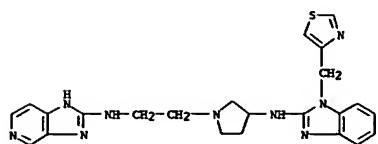
RN 120431-35-8 CAPIUS  
 CN Thiourea, N-(4-amino-3-pyridinyl)-N'-(2-[3-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)amino]-1-pyrrolidinyl]ethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 120431-42-7 CAPLUS  
 CN 1H-Imidazo[4,5-c]pyridin-2-amine, N-[2-[3-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)amino]-1-pyrrolidinyl]ethyl]-, ethanedioate (1:4) (9CI) (CA INDEX NAME)

CM 1

CRN 120431-41-6  
CINF C23 H25 N9 S

CM 2

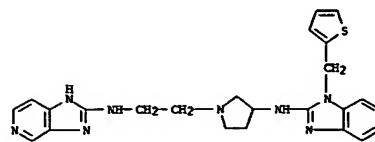
CRN 144-62-7  
CINF C2 H2 O4

RN 120431-44-9 CAPLUS  
 CN 1H-Imidazo[4,5-c]pyridin-2-amine, N-[2-[3-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)amino]-1-pyrrolidinyl]ethyl]-, ethanedioate (1:4) (9CI) (CA INDEX NAME)

CM 1

CRN 120431-43-8

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

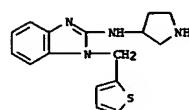


CM 2

CRN 144-62-7  
CINF C2 H2 O4

RN 120431-78-9 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-3-pyrrolidinyl-1-(2-thienylmethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 120429-83-6  
CINF C16 H18 N4 S

CM 2

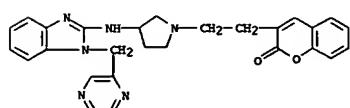
CRN 144-62-7  
CINF C2 H2 O4

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 120445-21-8 CAPLUS  
 CN 2H-1-Benzopyran-2-one, 3-[2-[3-[(1-(pyrazinylmethyl)-1H-benzimidazol-2-yl)amino]-1-pyrrolidinyl]ethyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

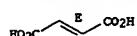
CM 1

CRN 120445-20-7  
CINF C27 H26 N6 O2

CM 2

CRN 110-17-8  
CINF C4 H4 O4

Double bond geometry as shown.

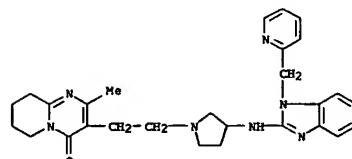


RN 120457-51-4 CAPLUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-[3-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-1-pyrrolidinyl]ethyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 120457-50-3  
CINF C28 H33 N7 O

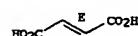
L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



CM 2

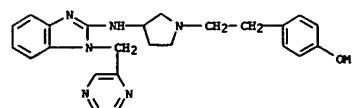
CRN 110-17-8  
CINF C4 H4 O4

Double bond geometry as shown.



RN 120457-68-3 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(4-methoxyphenyl)ethyl]-3-pyrrolidinyl]-, (pyrazinylmethyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

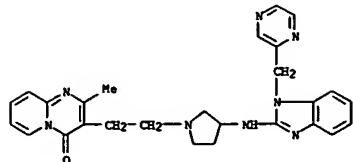
CM 1

CRN 120457-67-2  
CINF C25 H28 N6 O

CM 2

CRN 144-62-7  
CINF C2 H2 O4

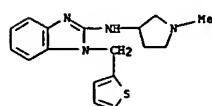
L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 RN 120457-70-7 CAPLUS  
 CN 1H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[3-[(1-(pyrazinylmethyl)-1H-benzimidazol-2-yl)amino]-1-pyrrolidinyl]ethyl]-, (2E)-2-butenedioate (2:5) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 120457-69-4  
 CMF C27 H28 N8 O



CM 2  
 CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.

HO<sub>2</sub>C-CH=CH-CO<sub>2</sub>H  
 RN 120457-73-0 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-(1-methyl-3-pyrrolidinyl)-1-(2-thienylmethyl)-, ethanediolate (1:2) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 120457-72-9  
 CMF C17 H20 N4 S



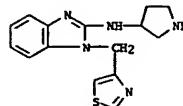
L4 ANSWER 33 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1989:173236 CAPLUS  
 DOCUMENT NUMBER: 110:173236  
 TITLE: Preparation of bicyclic condensed N-(4-piperidinyl)-2-imidazolamine derivatives as antihistaminic agents  
 INVENTOR(S): Janssens, Frans Eduard; Torremans, Joseph Leo  
 Ghislainus; Diels, Gaston Stanislas Marcella  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.  
 SOURCE: Eur. Pat. Appl., 28 pp.  
 CODEN: EPKNDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 295742	A1	19881221	EP 1988-201172	19880608
EP 295742	B1	19920826		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4897401	A	19900130	US 1988-182814	19880418
CA 1324133	A1	19930109	CA 1988-565978	19880505
AT 79878	B	19920915	AT 1988-201172	19880608
ES 2045083	T3	19940116	ES 1988-201172	19880608
SU 1644717	A3	19910423	SU 1988-4355903	19880614
NO 8802641	A	19881220	NO 1988-2641	19880615
NO 167803	B	19910902		
NO 167803	C	19911211		
JP 01025776	A2	19890127	JP 1988-145933	19880615
DK 8803332	A	19881220	DK 1988-3332	19880617
DK 169761	B1	19950220		
FI 8802909	A	19881220	FI 1988-2909	19880617
FI 90233	B	19930930		
FI 90233	C	19940110		
AU 8818109	A1	19881222	AU 1988-18109	19880617
AU 600144	B2	19900802		
CN 88103784	A	19881228	CN 1988-103784	19880617
CN 1029964	B	19951011		
HU 48628	A2	19890628	HU 1988-3118	19880617
HU 201755	B	19901228		
ZA 8804346	A	19900228	ZA 1988-4346	19880617
IL 86788	A1	19920818	IL 1988-86788	19880617
KR 9701158	B1	19970129	KR 1988-7315	19880617
US 5006527	A	19910409	US 1989-445812	19891204
PRIORITY APPLN. INFO.:		US 1987-63698	A	19870619
		US 1988-182814	A3	19880418
OTHER SOURCE(S):	CASREACT 110:173236; MARPAT 110:173236	EP 1988-201172	A	19880608
GI				

L4 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 CM 2  
 CRN 144-62-7  
 CMF C2 H2 O4

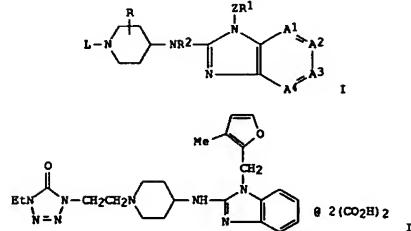


IT 120429-66-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as intermediate in prepn. of antiallergic agents)  
 RN 120429-66-5 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-3-pyrrolidinyl-1-(4-thiazolylmethyl)-, dihydrobromide (9CI) (CA INDEX NAME)



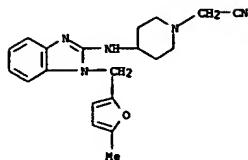
●2 HBr

L4 ANSWER 33 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



AB The title compds. [I; A1:A2A3:A4 = CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH, CH:CH:NCH or CH:CHCH:N optimally substituted by halo, Cl-6 alkyl, Cl-6 alkoxy, CF3 or OH; R = H, Cl-6 alkyl; R1 = (Cl-6 alkyl-substituted) furanyl or imidazolyl, pyrazinyl, thiazolyl; Z = Cl-6 alkanediyl; R2 = H, Cl-6 alkyl, C3-6 cycloalkyl, acyl-substituted Cl-6 alkyl, Cl-6 acyl; L = (aryl-substituted) C3-6 alkenyl, ZR3, ZNR4, ZNR5R6, Z2C1(O)R7, CH2CH(OH)CH2OR9; R3 = H, arylthio, arylsulfonyl, (un)substituted 4,5-dihydro-5-oxo-1H-tetrazol-1-yl, etc.; R4 = Cl-6 alkyl, aryl; R5 = (aryl-substituted) Cl-6 alkyl; R6 = aryl, (aryl-substituted) Cl-6 alkyl, etc.; R7 = Cl-6 alkyl, (aryl-substituted) Cl-6 alkyl or amino, 1-piperidinyl, 1-pyrrolidinyl, 4-morpholinyl, etc.; Z1 = O, NR8 where R8 = H, (aryl-substituted) Cl-6 alkyl; R9 = aryl; aryl = (substituted) Ph, naphthalenyl, (halo- or Cl-6 alkyl-substituted) thiienyl, (Cl-6 alkyl-substituted) furanyl, etc.; etc.] were prep'd. as antihistaminic agents. A mix. of 1-(2-bromoethyl)-4-ethyl-1,4-dihydro-5H-tetrazol-5-one, 1-[(5-methyl-2-furanyl)methyl]-N-(4-piperidinyl)-1H-benzimidazol-2-amine, 4,6,6a,Na2C3 1,6 and AcNHe2 45 parts was stirred overnight at 80.degree. to give 55% benzimidazole deriv. II. I protected rats against compd. 48/80-induced lethal circulatory collapse with ED50 of 0.005-0.04 mg/kg p.o. or s.c.  
 IT R1: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and hydrogenation of)  
 RN 90518-95-9 CAPLUS  
 CN 1-Piperidinoneacetonitrile, 4-[(1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 33 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



IT 120073-07-6P 120073-09-8P 120073-15-6P  
 120073-18-9P 120073-19-0P 120073-21-4P  
 120073-23-6P 120073-23-8P 120073-27-0P  
 120073-28-1P 120073-30-5P 120073-31-6P  
 120073-49-6P 120073-53-2P 120073-54-3P  
 120073-56-3P 120073-79-2P 120116-03-4P  
 120116-07-6P 120116-10-1P 120116-12-3P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prep. of, as antihistaminic)

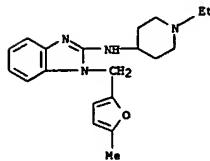
RN 120073-07-6 CAPIUS

CN 1H-Benzimidazol-2-amine, N-((1-ethyl-4-piperidinyl)-1-((5-methyl-2-furanyl)methyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 120073-06-5

CMF C20 H26 N4 O

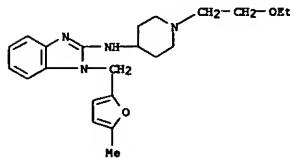


CM 2

CRN 144-62-7

CMF C2 H2 O4

L4 ANSWER 33 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

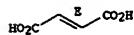


CM 2

CRN 110-17-8

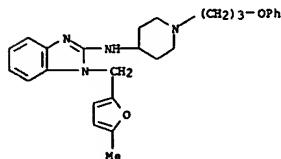
CMF C4 H4 O4

Double bond geometry as shown.



RN 120073-18-9 CAPIUS

CN 1H-Benzimidazol-2-amine, 1-((5-methyl-2-furanyl)methyl)-N-[1-(3-phenoxypyropyl)-4-piperidinyl]-, (9CI) (CA INDEX NAME)



RN 120073-19-0 CAPIUS

CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[2-[(1-((5-methyl-2-furanyl)methyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl-, (9CI) (CA INDEX NAME)

L4 ANSWER 33 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



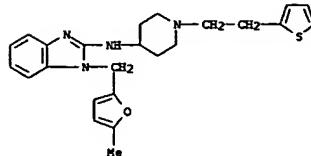
RN 120073-09-8 CAPIUS

CN 1H-Benzimidazol-2-amine, 1-((5-methyl-2-furanyl)methyl)-N-[1-(2-(2-thienyl)ethyl)-4-piperidinyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 120073-08-7

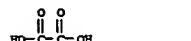
CMF C24 H28 N4 O5



CM 2

CRN 144-62-7

CMF C2 H2 O4

RN 120073-15-6 CAPIUS  
CN 1H-Benzimidazol-2-amine, N-[1-(2-ethoxyethyl)-4-piperidinyl]-1-((5-methyl-2-furanyl)methyl)-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

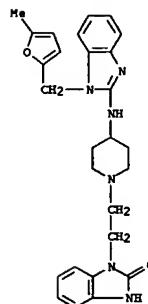
CM 1

CRN 120073-14-5

CMF C22 H30 N4 O2

L4 ANSWER 33 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

L4 ANSWER 33 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 120073-21-4 CAPIUS

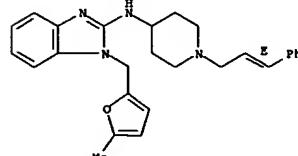
CN 1H-Benzimidazol-2-amine, 1-((5-methyl-2-furanyl)methyl)-N-[1-(3-phenyl-2-propenyl)-4-piperidinyl]-, (E)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 120073-20-3

CMF C27 H30 N4 O

Double bond geometry as shown.



CM 2

CRN 144-62-7

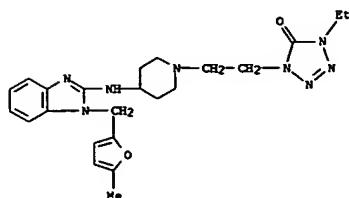
CMF C2 H2 O4



RN 120073-23-6 CAPIUS  
 CN 5H-Tetrazol-5-one, 1-ethyl-1,4-dihydro-4-[2-[(1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethanedicarboxylate (1:2) (9CI) (CA INDEX NAME)

CH 1

CN 120073-22-5  
 CF C23 H30 N8 O2



CH 2

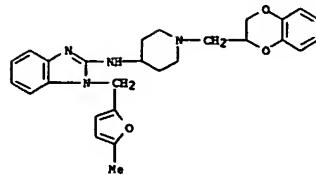
CN 144-62-7  
 CF C2 H2 O4



RN 120073-25-8 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-[1-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]-1-[(5-methyl-2-furanyl)methyl]-, ethanedicarboxylate (1:2) (9CI) (CA INDEX NAME)

CH 1

CN 120073-24-7  
 CF C27 H30 N4 O3



CH 2

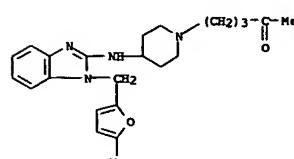
CN 144-62-7  
 CF C2 H2 O4



RN 120073-27-0 CAPIUS  
 CN 2-Pentanone, 5-[(1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl-, ethanedicarboxylate (1:2) (9CI) (CA INDEX NAME)

CH 1

CN 120073-26-9  
 CF C23 H30 N4 O2

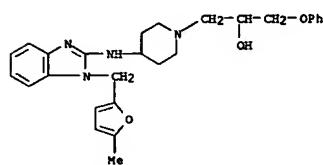


CH 2

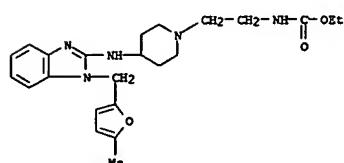
CN 144-62-7  
 CF C2 H2 O4



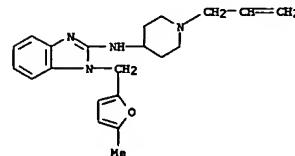
RN 120073-28-1 CAPIUS  
 CN 1-Piperidineethanol, 4-[(1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-alpha-[(phenoxymethyl)-, alpha-[(phenoxymethyl)-, (9CI) (CA INDEX NAME)]



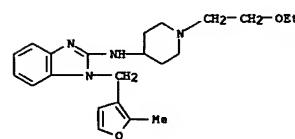
RN 120073-30-5 CAPIUS  
 CN Carbamic acid, [2-[(1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl-, ethyl ester (9CI) (CA INDEX NAME)



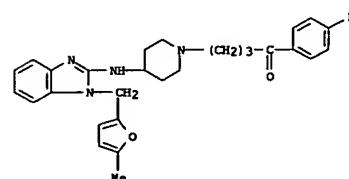
RN 120073-31-6 CAPIUS  
 CN 1H-Benzimidazol-2-amine, 1-[(5-methyl-2-furanyl)methyl]-N-[1-(2-propenyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 120073-49-6 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-ethoxyethyl)-4-piperidinyl]-1-[(2-methyl-3-furanyl)methyl]- (9CI) (CA INDEX NAME)

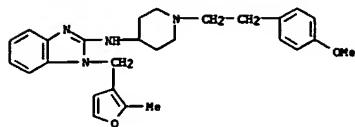


RN 120073-53-2 CAPIUS  
 CN 1-Butanone, 1-(4-fluorophenyl)-4-[(1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl-, (9CI) (CA INDEX NAME)

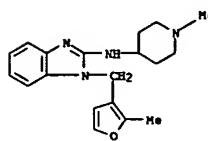


RN 120073-54-3 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-(4-methoxyphenyl)ethyl)-4-piperidinyl]-1-[(2-methyl-3-furanyl)methyl]- (9CI) (CA INDEX NAME)

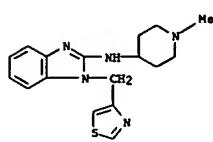
L4 ANSWER 33 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 120073-56-5 CAPIUS  
 CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-3-furanyl)methyl]-N-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



RN 120073-79-2 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-(1-methyl-4-piperidinyl)-1-(4-thiazolylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



•2 HCl

RN 120116-05-4 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-1-[(5-methyl-2-furanyl)methyl]-, ethanodioate (1:2) (9CI) (CA INDEX NAME)

CH 1

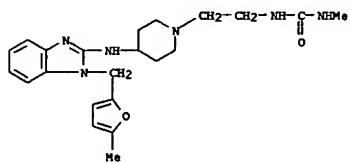
L4 ANSWER 33 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

CRN 110-17-8  
CMF C4 H4 O4

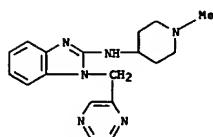
Double bond geometry as shown.



RN 120116-10-1 CAPIUS  
 CN Urea, N-methyl-N'-[2-[(1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

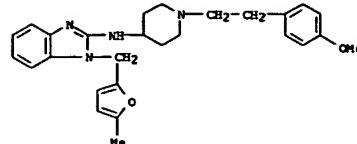


RN 120116-12-3 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-(1-methyl-4-piperidinyl)-1-(pyrazinylmethyl)- (9CI) (CA INDEX NAME)

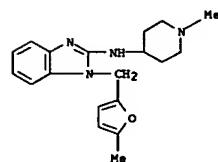


IT 90518-59-5P 90518-64-2P 90518-77-7P  
 111921-85-8P 111922-00-0P 120073-92-9P  
 RL: SPN (Synthetic preparation); PMP (Preparation)  
 (prepn. of, as intermediate for antihistaminics)  
 RN 90518-59-5 CAPIUS  
 1-Piperidinecarboxylic acid, 4-[(1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 33 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

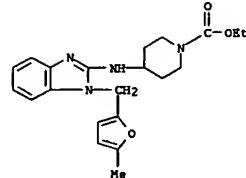
CRN 120116-04-3  
CMF C27 H32 N4 O2CH 2  
CRN 144-62-7  
CMF C2 H2 O4

RN 120116-07-6 CAPIUS  
 CN 1H-Benzimidazol-2-amine, 1-[(5-methyl-2-furanyl)methyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

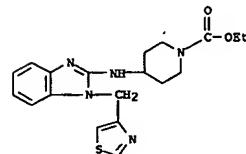
CH 1  
CRN 120116-06-5  
CMF C19 H24 N4 O

CH 2

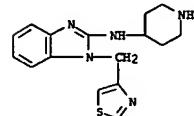
L4 ANSWER 33 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 90518-64-2 CAPIUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-[(4-thiazolylmethyl)-1H-benzimidazol-2-yl]amino)-, ethyl ester (9CI) (CA INDEX NAME)



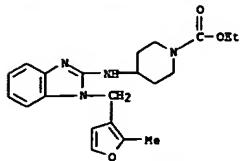
RN 90518-77-7 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(4-thiazolylmethyl)-, dihydrobromide (9CI) (CA INDEX NAME)



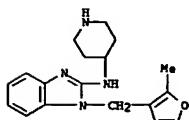
•2 HBr

RN 111921-85-8 CAPIUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-[(2-methyl-3-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

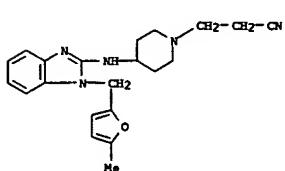
L4 ANSWER 33 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 111922-00-0 CAPIUS  
 CN 1H-Benzimidazol-2-amine, 1-[(2-methyl-3-furanyl)methyl]-N-4-piperidinyl- (9CI) (CA INDEX NAME)



RN 120073-92-9 CAPIUS  
 CN 1-Piperidinopropanenitrile, 4-[(1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)



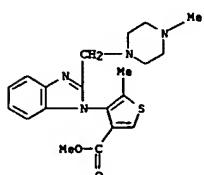
L4 ANSWER 34 OF 46 CAPIUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1988:562796 CAPIUS  
 DOCUMENT NUMBER: 109:162796  
 TITLE: Determination of telenzepine in human serum by gas chromatography-mass spectrometry  
 AUTHOR(S): Sturm, E.; Junker, A.  
 CORPORATE SOURCE: Byk Gulden Pharm., Konstanz, D-7750, Fed. Rep. Ger.  
 SOURCE: Journal of Chromatography (1988), 430(1), 43-51  
 CODEN: JOCRAM; ISSN: 0021-9673  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 109:162796

AB A method for detg. telenzepine in human serum is described. Analytes are obtained from alkalinized serum by extn. of the drug using reversed-phase octadecylsilane-bonded silica cartridges. Telenzepine and a de methyl analog added to serum as internal std. are retained on the C18 cartridge and recovered by elution with MeOH. The gas chromatog. properties of telenzepine and the internal std. are improved by a 2-step derivatization involving a benzodiazepinone-benzimidazole rearrangement and simultaneous formation of a Me ester function. The processed ext. is analyzed by gas chromatog.-mass spectrometry with selected-ion monitoring. Quantification is linear over the range 2-40 ng/mL. Inter-day precision is within 7%, except at the detection limit of 2 ng/mL (16%). Application of this assay to routine anal. is limited by the extensive sample pretreatment essential for derivatization of telenzepine.

IT 117019-16-6P 117019-17-7P 117019-18-8P

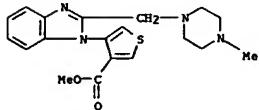
RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, for telenzepine detn. in human blood by gas chromatog.)

RN 117019-16-6 CAPIUS  
 CN 3-Thiophenecarboxylic acid, 5-methyl-4-[2-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]-, methyl ester (9CI) (CA INDEX NAME)

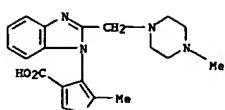


RN 117019-17-7 CAPIUS  
 CN 3-Thiophenecarboxylic acid, 4-[2-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 34 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 117019-18-8 CAPIUS  
 CN 3-Thiophenecarboxylic acid, 5-methyl-4-[2-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]- (9CI) (CA INDEX NAME)



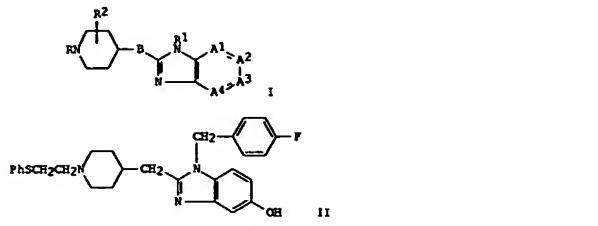
L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:437821 CAPIUS  
 DOCUMENT NUMBER: 109:37821  
 TITLE: Preparation of 4-[(bicyclic heterocyclyl)methyl]piperidines and analogs as antihistaminics  
 INVENTOR(S): Janssens, Frans E.; Kennis, Ludo E. J.; Hens, Jozef F.; Torremans, Joseph L. G.; Dials, Gaston S. M.  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.  
 SOURCE: U.S., 59 pp. Cont.-in-part of U.S. Ser. No. 571,135, abandoned.  
 CODEN: USXKAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4695575	A	19870922	US 1985-747754	198805624
ES 539281	A1	19870616	ES 1984-539281	19841231
AU 8537364	A1	19850912	AU 1985-37364	19850107
AU 573673	B2	19880616		
CA 1259609	A1	19890919	CA 1985-471589	19850107
FI 8500079	A	19850919	FI 1985-79	19850108
FI 83862	B	19850531		
FI 83867	C	19850510		
NO 850005	A	19850610	NO 1985-85	19850108
NO 160949	B	19890227		
NO 850005	C	19890207		
DK 8500089	A	19850710	DK 1985-89	19850108
JP 60185777	A2	19850921	JP 1985-479	19850108
JP 07068240	B4	19850726		
HU 36471	A2	19850930	HU 1985-61	19850108
HU 200330	B	19890529		
ZA 8500187	A	19860227	ZA 1985-107	19850108
RO 86262	B3	19861210	RO 1985-117252	19860108
SV 1396964	A3	19860515	SU 1985-3836958	19860108
IL 74018	A1	19880831	IL 1985-74018	19860108
PL 145710	B1	19881031	PL 1985-251488	19860109
US 4839374	A	19890613	US 1987-94987	19870910
PRIORITY APPLN. INFO.:				
			US 1984-569369	19840109
			US 1984-671135	19841113
			US 1985-747754	19850624

OTHER SOURCE(S): CASREACT 109:37821

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**AB** The title compds. [I: 3 of A1-A4 = (un)substituted CH, the 4th = N, (un)substituted CH, B = CH2, O, SO, SO2; R = substituted C1-6 alkyl, alkoxyl, alkylthio, amino, pyrrolidinyl, piperidinyl, hexahydroazepinyl, etc.; R1 = H, alkyl, cycloalkyl, (un)substituted aryl, heteroaryl, (hetero)alkyl; R2 = H, alkyl] and their stereoisomers and acid salts were prep'd. as antihistaminics and serotonin antagonists.

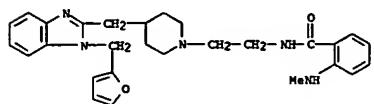
1-[(4-Fluorophenyl)methyl]-2-(4-piperidinylmethyl)-1H-benzimidazol-5-ol and PhSCH2CH2CH2N(Cyclohexyl)-CH2 were refluxed 2 h in Me2CCH2COOMe contg. Na2CO3 to give 27.8% benzimidazole deriv. (II). I inhibited compd. 48/80-induced lethality in rats, caused by histamine release, with ED50 of 0.005-0.16 mg/kg s.c. or orally. I also inhibited gastric lesions caused by simultaneous release of serotonin.

**IT** 99960-16-4  
RL: RCT (Reactant); RACT (Reactant or reagent)

(N-acetylation)

RN 99960-16-4 CAPLUS

CN Benzamide, N-[2-[(1-(2-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-2-(methylamino)- (9CI) (CA INDEX NAME)

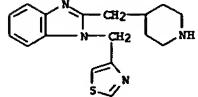


**IT** 99944-13-5  
RL: RCT (Reactant); RACT (Reactant or reagent)

(debenzylatlon-N-acylation of, by Et chloroformate)

RN 99944-13-5 CAPLUS

CN 1H-Benzimidazole, 2-[(1-(phenylmethyl)-4-piperidinyl)methyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)

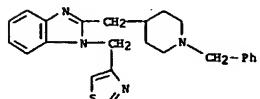


●3 HBr

**IT** 99944-17-9P 99954-01-5P 99954-07-1P  
99954-23-1P 99954-25-3P 99958-51-7P  
99958-52-9P 99958-65-3P 99959-23-6P  
99959-24-7P 99959-49-6P 99959-51-0P  
99959-52-1P 99959-53-2P 99959-60-1P  
99959-73-6P 99959-84-9P 99959-95-2P  
99959-96-3P 99960-28-6P 99960-28-8P  
99960-37-9P 99960-38-0P 99960-59-5P  
99960-60-8P 99960-61-9P 99960-63-1P  
99960-64-2P 99960-70-0P 99960-71-1P  
99960-79-9P 99960-84-6P 99960-86-0P  
99960-93-7P 99960-97-1P 99960-99-3P  
99961-08-7P 99961-09-8P 99961-11-2P  
99961-16-7P 99961-18-9P 99961-19-0P  
99961-21-4P 99961-23-6P 99961-49-6P  
99961-51-0P 99961-53-2P 99961-55-4P  
99961-78-1P 99962-21-7P 99962-26-2P  
99962-30-8P 99962-72-0P 99962-74-0P  
99962-79-5P 99962-83-1P 99962-90-5P  
99963-03-8P 99963-04-9P 99963-13-0P  
99963-15-2P 99963-18-8P 99963-20-9P  
99963-57-2P 100015-20-1P 100015-23-4P  
100015-24-5P 100015-30-3P 100015-50-7P  
100015-57-4P 100015-58-5P 100015-64-3P  
100016-06-6P 100016-11-3P 100016-31-7P  
100016-33-9P 100044-14-2P 100044-16-4P

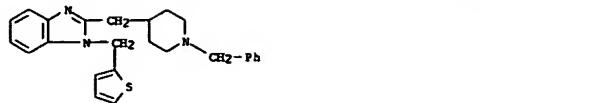
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (prepn. of, as antihistaminic)

**RN** 99944-17-9 CAPLUS  
**CN** 1H-Benzimidazole, 2-[(1-(phenylmethyl)-4-piperidinyl)methyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)

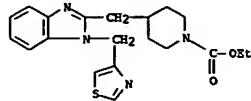


**RN** 99954-01-5 CAPLUS

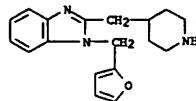
**Habte**



**IT** 99958-54-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and hydrolysis-decarbonylation of)  
**RN** 99958-54-0 CAPLUS  
**CN** 1-Piperidinecarboxylic acid, 4-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



**IT** 99959-22-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and N-alkylation of, by (chloropropyl)benzimidazolone)  
**RN** 99959-22-5 CAPLUS  
**CN** 1H-Benzimidazole, 1-(2-furanyl methyl)-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)



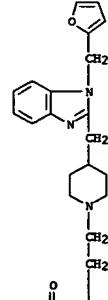
**IT** 99958-55-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and N-alkylation of, by chloroethyl anisyl ether)  
**RN** 99958-55-1 CAPLUS  
**CN** 1H-Benzimidazole, 2-(4-piperidinylmethyl)-1-(4-thiazolylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)

**L4** ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
**CN** 1H-Purine-2,6-dione, 7-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl-ethyl]-3,7-dihydro-1,3-dimethyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

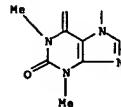
**CH** 1

**CRN** 99954-00-4  
**CMF** C27 H31 N7 O3

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**CH** 2  
**CRN** 110-17-8  
**CMF** C4 H4 O4

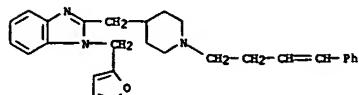
Double bond geometry as shown.

6/02/2003

L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

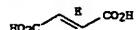


RN 99954-07-1 CAPIUS  
 CN 1H-Benzimidazole, 1-(2-furanylmethyl)-2-[(1-(4-phenyl-3-butenyl)-4-piperidinyl)methyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 99954-06-0  
 CMF C28 H31 N3 O

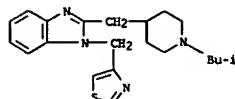


CM 2  
 CRN 110-17-8  
 CMF C4 H4 O4

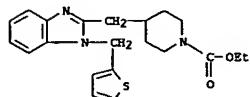
Double bond geometry as shown.



RN 99954-23-1 CAPIUS  
 CN 1H-Benzimidazole, 2-[(1-(2-methylpropyl)-4-piperidinyl)methyl]-1-(4-thiazolylmethyl)-, ethanediolate (1:2) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 99954-22-0  
 CMF C21 H28 N4 S

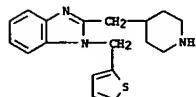


L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



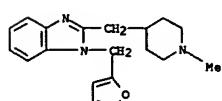
● HCl

RN 99958-52-8 CAPIUS  
 CN 1H-Benzimidazole, 2-(4-piperidinylmethyl)-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



RN 99958-65-3 CAPIUS  
 CN 1H-Benzimidazole, 1-(2-furanylmethyl)-2-[(1-methyl-4-piperidinyl)methyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1  
 CRN 99958-64-2  
 CMF C19 H23 N3 O



CM 2  
 CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



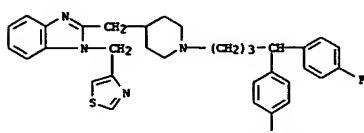
Habte

L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

CM 2  
 CRN 144-62-7  
 CMF C2 H2 O4



RN 99954-25-3 CAPIUS  
 CN 1H-Benzimidazole, 2-[(1-[4,4-bis(4-fluorophenyl)butyl]-4-piperidinyl)methyl]-1-(4-thiazolylmethyl)-, ethanediolate (1:2) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 99954-24-2  
 CMF C33 H34 F2 N4 S



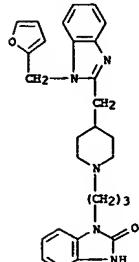
CM 2  
 CRN 144-62-7  
 CMF C2 H2 O4



RN 99958-51-7 CAPIUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)methyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

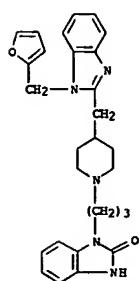
L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

RN 99959-23-6 CAPIUS  
 CN 2H-Benzimidazol-2-one, 1-[3-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]propyl]-1,3-dihydro- (9CI) (CA INDEX NAME)



RN 99959-24-7 CAPIUS  
 CN 2H-Benzimidazol-2-one, 1-[3-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]propyl]-1,3-dihydro-, ethanediolate (1:2) (9CI) (CA INDEX NAME)

CM 1  
 CRN 99959-23-6  
 CMF C28 H31 N5 O2



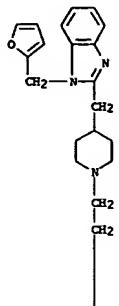
6/02/2003

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

CH 2

CRN 144-62-7  
CNF C2 H2 O4

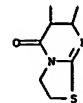
RN 99959-49-6 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-2,3-dihydro-7-methyl-, ethanedioate (1:2) (9CI) (CA INDEX NAME)  
 CH 1  
 CRN 99959-48-5  
 CNF C27 H33 N5 O2 S



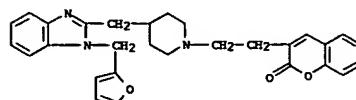
PAGE 1-A

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A


 CH 2  
 CRN 144-62-7  
 CNF C2 H2 O4

RN 99959-51-0 CAPLUS  
 CN 2H,5H-Pyrimido[2,1-b][1,3]thiazin-6-one, 7-[2-[4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

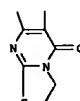
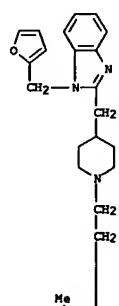
RN 99959-52-1 CAPLUS  
 CN 2H,5H-Pyrimido[2,1-b][1,3]thiazin-6-one, 7-[2-[4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-3,4-dihydro-8-methyl-, trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 99959-53-2 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-2,3-dihydro-7-methyl-, trihydrochloride (9CI) (CA INDEX NAME)

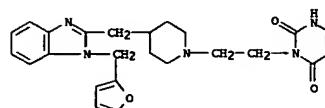
●3 HCl

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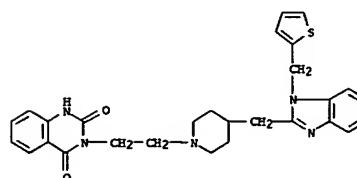


●3 HCl

RN 99959-60-1 CAPLUS  
 CN 2,4(1H,3H)-Pyrimidinedione, 3-[2-[4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

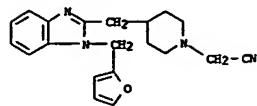


RN 99959-73-6 CAPLUS  
 CN 2,4(1H,3H)-Quinazolinedione, 3-[2-[4-[(1-(2-thienyl methyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

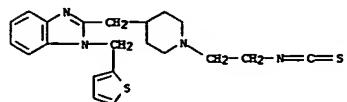


RN 99959-84-9 CAPLUS  
 CN 1-Piperideineacetonitrile, 4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)

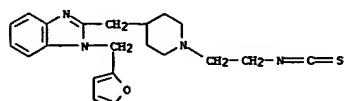
L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



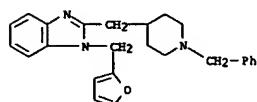
RN 99959-95-2 CAPIUS  
 CN 1H-Benzimidazole, 2-[(1-(2-isothiocyanatoethyl)-4-piperidinyl)methyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



RN 99959-96-3 CAPIUS  
 CN 1H-Benzimidazole, 1-(2-furanyl methyl)-2-[(1-(2-isothiocyanatoethyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

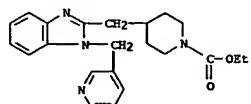


RN 99960-26-6 CAPIUS  
 CN 1H-Benzimidazole, 1-(2-furanyl methyl)-2-[(1-(phenylmethyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

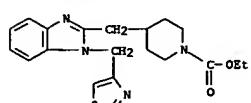


RN 99960-28-8 CAPIUS  
 CN 1H-Benzimidazole, 2-[(1-(phenylmethyl)-4-piperidinyl)methyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

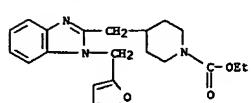


RN 99960-60-8 CAPIUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)methyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 99960-61-9 CAPIUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

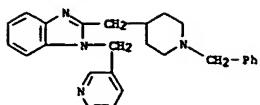


RN 99960-63-1 CAPIUS  
 CN 1H-Benzimidazole, 1-(2-furanyl methyl)-2-(4-piperidinylmethyl)-, (Z,E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

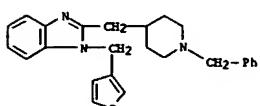
CH 1

CRN 99959-22-5  
 CMF C18 H21 N3 O

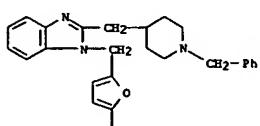
L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 99960-37-9 CAPIUS  
 CN 1H-Benzimidazole, 1-(3-furanyl methyl)-2-[(1-(phenylmethyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

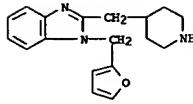


RN 99960-38-0 CAPIUS  
 CN 1H-Benzimidazole, 1-[(5-methyl-2-furanyl)methyl]-2-[(1-(phenylmethyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



RN 99960-59-5 CAPIUS  
 1-Piperidinecarboxylic acid, 4-[(1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

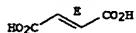
L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



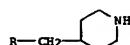
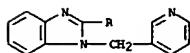
CH 2

CRN 110-17-8  
 CMF C4 H4 O4

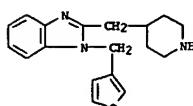
Double bond geometry as shown.



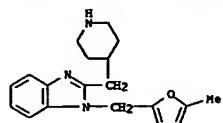
RN 99960-64-2 CAPIUS  
 CN 1H-Benzimidazole, 2-(4-piperidinylmethyl)-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 99960-70-0 CAPIUS  
 CN 1H-Benzimidazole, 1-(3-furanyl methyl)-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

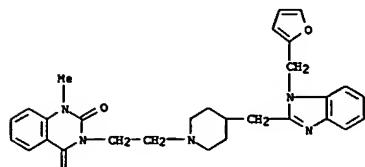


RN 99960-71-1 CAPIUS  
 CN 1H-Benzimidazole, 1-[(5-methyl-2-furanyl)methyl]-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)



RN 99960-79-9 CAPLUS  
 CN 2,4(1H,3H)-Quinazolinedione, 3-[2-(4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl)ethyl]-1-methyl-, ethanediolate (1:2) (9CI) (CA INDEX NAME)

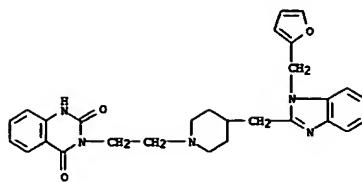
CM 1

CRN 99960-78-8  
 CMF C29 H31 N5 O3

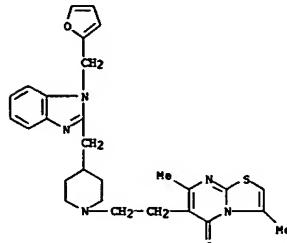
CM 2

CRN 144-62-7  
 CMF C2 H2 O4

RN 99960-84-6 CAPLUS  
 CN 2,4(1H,3H)-Quinazolinedione, 3-[2-(4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

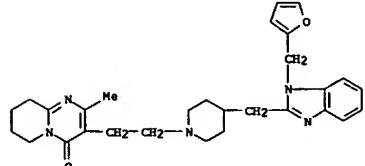


RN 99960-88-0 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-(4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl)ethyl]-3,7-dimethyl- (9CI) (CA INDEX NAME)



RN 99960-93-7 CAPLUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-(4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl)ethyl]-6,7,8,9-tetrahydro-2-methyl-, ethanediolate (1:3) (9CI) (CA INDEX NAME)

CM 1

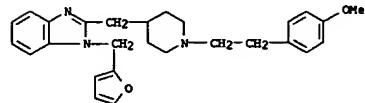
CRN 99960-92-6  
 CMF C29 H35 N5 O2

CM 2

CRN 144-62-7  
 CMF C2 H2 O4

RN 99960-97-1 CAPLUS  
 CN 1H-Benzimidazole, 1-(2-furanyl methyl)-2-[(1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-, (2E)-2-butenediolate (1:1) (9CI) (CA INDEX NAME)

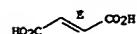
CM 1

CRN 99960-96-0  
 CMF C27 H31 N3 O2

CM 2

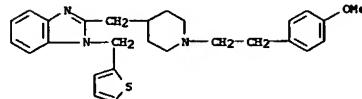
CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



RN 99960-99-3 CAPLUS  
 CN 1H-Benzimidazole, 2-[(1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-1-(2-thienylmethyl)-, (2E)-2-butenediolate (1:1) (9CI) (CA INDEX NAME)

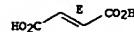
CM 1

CRN 99960-98-2  
 CMF C27 H31 N3 O5

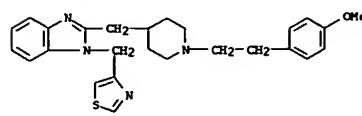
CM 2

CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.

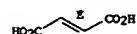


RN 99961-08-7 CAPLUS  
 CN 1H-Benzimidazole, 2-[(1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)



RN 99961-09-8 CAPLUS  
 CN 1H-Benzimidazole, 2-[(1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-1-(4-thiazolylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

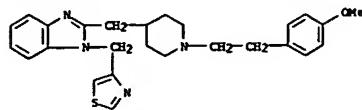
Double bond geometry as shown.



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6/02/2003

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

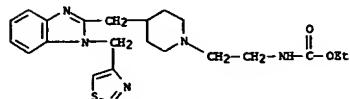


•2 HCl

RN 99961-11-2 CAPLUS

CN Carbamic acid, [2-[4-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-, ethyl ester, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CN 1

CRN 99961-10-1  
CMF C22 H29 N5 O2 S

CN 2

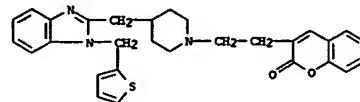
CRN 144-62-7  
CMF C2 H2 O4

RN 99961-16-7 CAPLUS

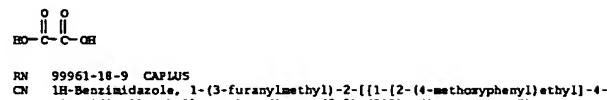
CN 2H-1-Benzopyran-2-one, 3-[2-[4-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CN 1

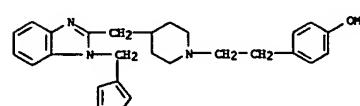
CRN 99961-15-6

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
CRN C29 H29 N3 O2 S

CN 2

CRN 144-62-7  
CMF C2 H2 O4

CN 1

CRN 99961-17-8  
CMF C27 H31 N3 O2

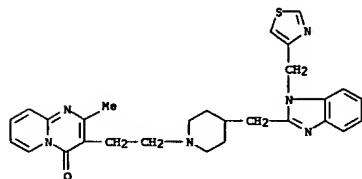
CN 2

CRN 144-62-7  
CMF C2 H2 O4

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 99961-19-0 CAPLUS

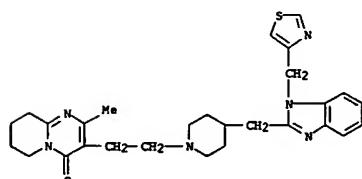
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[4-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 99961-21-4 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-[4-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-, ethanedioate (2:5) (9CI) (CA INDEX NAME)

CN 1

CRN 99961-20-3  
CMF C28 H34 N6 O S

CN 2

CRN 144-62-7  
CMF C2 H2 O4

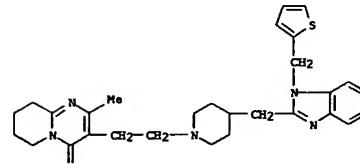
Habte

L4 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 99961-23-6 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-[4-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CN 1

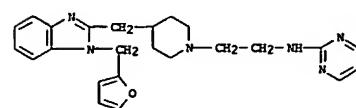
CRN 99961-22-5  
CMF C29 H35 N5 O S

CN 2

CRN 144-62-7  
CMF C2 H2 O4

RN 99961-49-6 CAPLUS

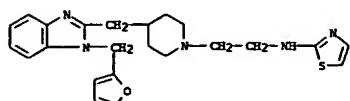
CN 2-Pyrimidinamine, N-[2-[4-[(1-(2-furanyl)methyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

RN 99961-51-0 CAPLUS  
1-Piperidinethanamine, 4-[(1-(2-furanyl)methyl)-1H-benzimidazol-2-yl)methyl]-N-2-thiazolyl-, (2S)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CN 1

6/02/2003

L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 CRN 99961-50-9  
 CMF C23 H27 N5 O5



CM 2

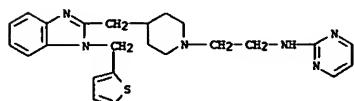
CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



RN 99961-53-2 CAPIUS  
 CN 2-Pyridinamine, N-[2-[4-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 99961-52-1  
 CMF C24 H28 N6 S

CM 2

CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.

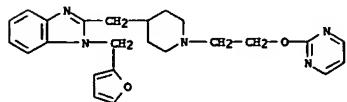
L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

CM 2

CRN 144-62-7  
 CMF C2 H2 O4

RN 99962-21-7 CAPIUS  
 CN 1H-Benzimidazole, 1-(2-furanylmethyl)-2-[(1-[2-(2-pyrimidinyl)oxy]ethyl)-4-piperidinylmethyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99962-20-6  
 CMF C24 H27 N5 O2

CM 2

CRN 144-62-7  
 CMF C2 H2 O4

RN 99962-26-2 CAPIUS  
 CN 1H-Imidazo[4,5-c]pyridin-2-amine, N-[2-[4-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-, (2E)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

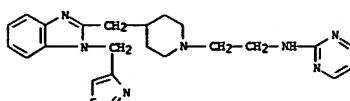
CRN 99962-25-1  
 CMF C26 H29 N7 S

L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 99961-55-4 CAPIUS  
 CN 2-Pyridinamine, N-[2-[4-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-, ethanedioate (1:4) (9CI) (CA INDEX NAME)

CM 1

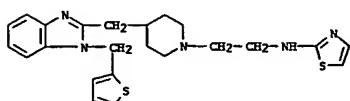
CRN 99961-54-3  
 CMF C23 H27 N7 S

CM 2

CRN 144-62-7  
 CMF C2 H2 O4

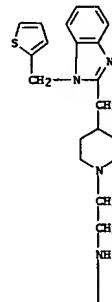
RN 99961-78-1 CAPIUS  
 CN 1-Piperidinethanamine, N-2-thiazolyl-4-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)methyl]-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 99961-77-0  
 CMF C23 H27 N5 S2

L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

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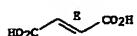
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CM 2

CRN 110-17-8  
 CMF C4 H4 O4

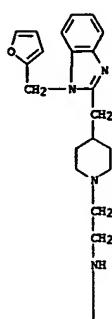
Double bond geometry as shown.



RN 99962-30-8 CAPIUS  
 CN 1H-Imidazo[4,5-c]pyridin-2-amine, N-[2-[4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-, ethanedioate (1:4) (9CI) (CA INDEX NAME)

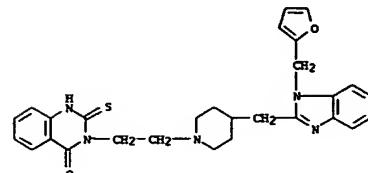
CM 1

L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 CRN 99962-29-5  
 CMF C26 H29 N7 O

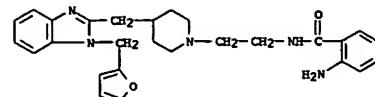


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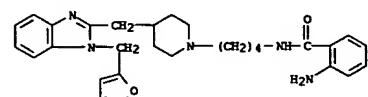
L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 RN 99962-72-8 CAPIUS  
 CN (1R)-Quinazolinone, 3-[2-[4-[(1-(2-furanyl)methyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl-2,3-dihydro-2-thioxo- (9CI) (CA INDEX NAME)



RN 99962-74-0 CAPIUS  
 CN Benzanide, 2-amino-N-[2-[4-[(1-(2-furanyl)methyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl- (9CI) (CA INDEX NAME)



RN 99962-79-5 CAPIUS  
 CN Benzanide, 2-amino-N-[4-[(1-(2-furanyl)methyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinylbutyl- (9CI) (CA INDEX NAME)

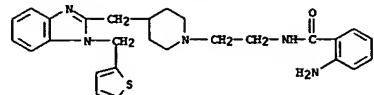


RN 99962-83-1 CAPIUS  
 CN Benzanide, 2-amino-N-[2-[4-[(1-(2-thienyl)methyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl- (9CI) (CA INDEX NAME)

CH 2  
 CRN 144-62-7  
 CMF C2 H2 O4

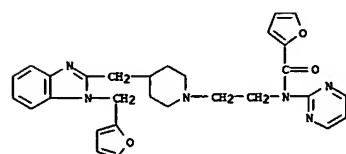


L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 99963-00-5 CAPIUS  
 CN 2-Furancarboxamide, N-[2-[4-[(1-(2-furanyl)methyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl-N-2-pyrimidinyl-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CH 1  
 CRN 99962-99-9  
 CMF C29 H30 N6 O3

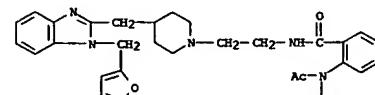


CH 2  
 CRN 144-62-7  
 CMF C2 H2 O4



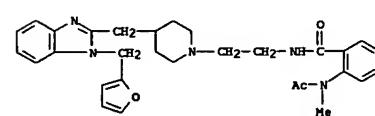
RN 99963-03-8 CAPIUS  
 CN Benzanide, 2-(acetylaminomethyl)-N-[2-[4-[(1-(2-furanyl)methyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 99963-04-9 CAPIUS  
 CN Benzanide, 2-(acetylaminomethyl)-N-[2-[4-[(1-(2-furanyl)methyl)-1H-benzimidazol-2-yl]methyl]-1-piperidinyl]ethyl-, ethanedioate (2:5) (9CI) (CA INDEX NAME)

CH 1  
 CRN 99963-03-8  
 CMF C30 H35 N5 O3



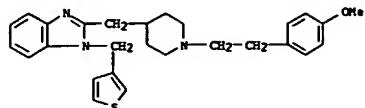
CH 2  
 CRN 144-62-7  
 CMF C2 H2 O4



RN 99963-13-0 CAPIUS  
 CN 1H-Benzimidazole, 2-[(1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-1-(3-thienylmethyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CH 1  
 CRN 99963-12-9  
 CMF C27 H31 N3 O5

L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

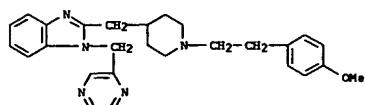


CH 2

CRN 144-62-7  
CMF C2 H2 O4

99963-15-2 CAPIUS  
CN 1H-Benzimidazole, 2-[[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]methyl]-1-(pyrazinylmethyl)-, (2S)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 99963-14-1  
CMF C27 H31 N5 O

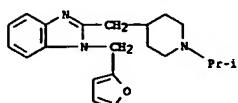
CH 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.



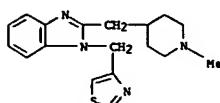
L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
RN 99963-18-5 CAPIUS  
CN 1H-Benzimidazole, 1-(2-furanyl methyl)-2-[(1-(1-methyl ethyl)-4-piperidinyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HC1

99963-20-9 CAPIUS  
CN 1H-Benzimidazole, 2-[(1-methyl-4-piperidinyl)methyl]-1-(4-thiazolylmethyl)-, ethanediolate (1:2) (9CI) (CA INDEX NAME)

CH 1

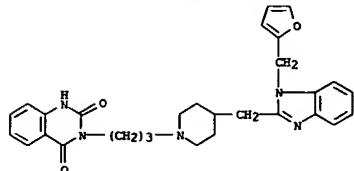
CRN 99963-19-6  
CMF C18 H22 N4 S

CH 2

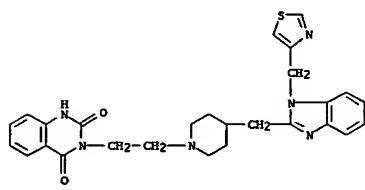
CRN 144-62-7  
CMF C2 H2 O4

99963-57-2 CAPIUS  
CN 2,4(1H,3H)-Quinazolinedione, 3-[3-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]propyl- (9CI) (CA INDEX NAME)

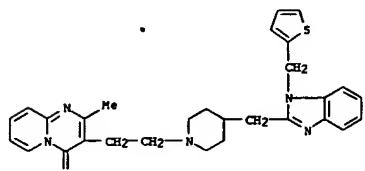
L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



100015-20-1 CAPIUS  
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



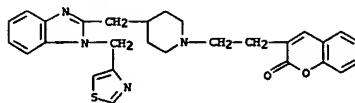
100015-23-4 CAPIUS  
CN 4H-Pyrido[1,2-s]pyrimidin-4-one, 2-methyl-3-[2-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



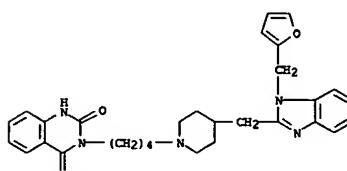
100015-24-5 CAPIUS  
CN 2H-1-Benzopyran-2-one, 3-[2-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

Habte

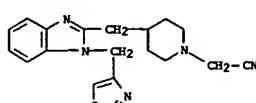
L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



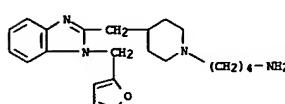
100015-30-3 CAPIUS  
CN 2,4(1H,3H)-Quinazolinedione, 3-[4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]butyl- (9CI) (CA INDEX NAME)



100015-50-7 CAPIUS  
CN 1-Piperidineacetonitrile, 4-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



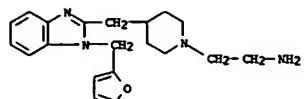
100015-57-4 CAPIUS  
CN 1-Piperidinebutanamine, 4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



100015-58-5 CAPIUS

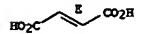
6/02/2003

L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 CN 1-Piperidinethanamine, 4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)methyl]-, (2S)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 99960-06-2  
 CMF C20 H26 N4 O

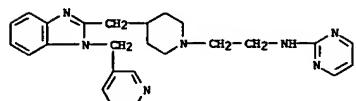


CM 2  
 CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



RN 100015-64-3 CAPIUS  
 CN 2-Pyridinidamine, N-[2-(4-[(1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl)ethyl]-, ethanedioate (1:4) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 100015-63-2  
 CMF C25 H29 N7



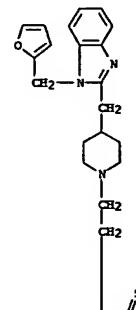
CM 2  
 CRN 144-62-7

L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 CN C2 H2 O4

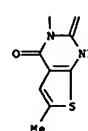


RN 100016-06-6 CAPIUS  
 CN Thieno[2,3-d]pyrimidin-4(1H)-one, 3-[2-(4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl)ethyl]-2,3-dihydro-6-methyl-2-thioxo- (9CI) (CA INDEX NAME)

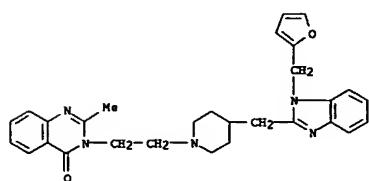
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PAGE 2-A

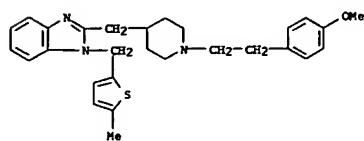


L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 CN 4(3H)-Quinazolinone, 3-[2-(4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl)ethyl]-2-methyl-, trihydrochloride (9CI) (CA INDEX NAME)



•3 HCl

RN 100016-31-7 CAPIUS  
 CN 1H-Benzimidazole, 2-[(1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-1-[(5-methyl-2-thienyl)methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 100016-30-6  
 CMF C28 H33 N3 O5

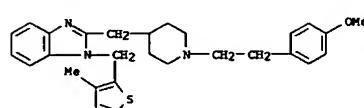


CM 2

CRN 144-62-7  
 CMF C2 H2 O4

L4 ANSWER 35 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 CN 1H-Benzimidazole, 2-[(1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-1-[(5-methyl-2-thienyl)methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)  
 CM 1

CRN 100016-32-8  
 CMF C28 H33 N3 O5

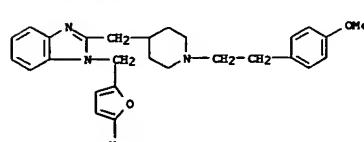


CM 2  
 CRN 144-62-7  
 CMF C2 H2 O4



RN 100044-14-2 CAPIUS  
 CN 1H-Benzimidazole, 2-[(1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-1-[(5-methyl-2-furanyl)methyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1  
 CRN 100044-13-1  
 CMF C28 H33 N3 O2



CM 2  
 CRN 144-62-7  
 CMF C2 H2 O4

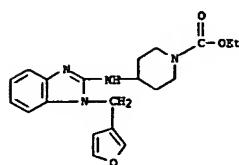
RN 100016-33-9 CAPIUS

Habte

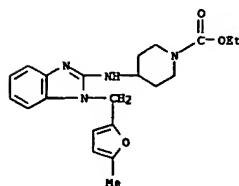
6/02/2003



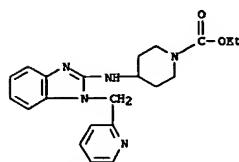
L4 ANSWER 36 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

L4 ANSWER 36 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
y1]amino]-, ethyl ester (9CI) (CA INDEX NAME)

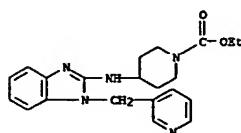
RN 90518-59-5 CAPIUS  
CN 1-Piperidinecarboxylic acid, 4-[(1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



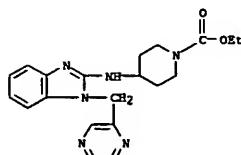
RN 90518-61-9 CAPIUS  
CN 1-Piperidinecarboxylic acid, 4-[(1-[(2-pyridinyl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 90518-62-0 CAPIUS  
CN 1-Piperidinecarboxylic acid, 4-[(1-[(3-pyridinyl)methyl]-1H-benzimidazol-2-

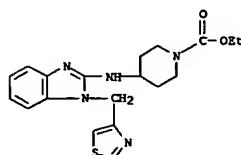


RN 90518-63-1 CAPIUS  
CN 1-Piperidinecarboxylic acid, 4-[(1-[(4-thiazolyl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester, dihydrobromide (9CI) (CA INDEX NAME)

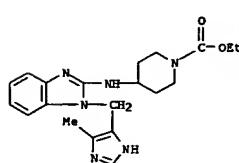


● 2 HBr

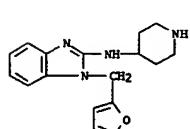
RN 90518-64-2 CAPIUS  
CN 1-Piperidinecarboxylic acid, 4-[(1-[(4-thiazolyl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



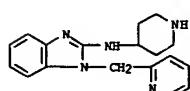
L4 ANSWER 36 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
RN 90518-65-3 CAPIUS  
CN 1-Piperidinecarboxylic acid, 4-[(1-[(5-methyl-1H-imidazol-4-yl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



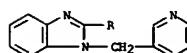
● 2 HCl  
RN 90518-67-5 CAPIUS  
CN 1H-Benzimidazol-2-amine, 1-(2-furanyl)-N-4-piperidinyl- (9CI) (CA INDEX NAME)



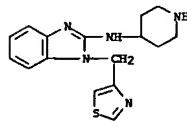
RN 90518-71-1 CAPIUS  
CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(2-pyridinylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)



● 3 HBr  
RN 90518-72-2 CAPIUS  
CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(3-pyridinylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)

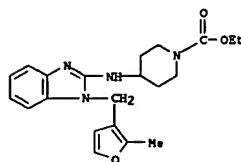
L4 ANSWER 36 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
trihydrobromide (9CI) (CA INDEX NAME)

RN 90518-77-7 CAPIUS  
CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(4-thiazolylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)



● 2 HBr

RN 111921-85-8 CAPIUS  
CN 1-Piperidinecarboxylic acid, 4-[(1-[(2-methyl-3-furanyl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

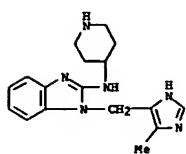


RN 111921-88-1 CAPIUS  
CN 1H-Benzimidazol-2-amine, 1-[(5-methyl-1H-imidazol-4-yl)methyl]-N-4-

6/02/2003

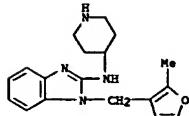
Habte

L4 ANSWER 36 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
Piperidinyl-, dihydrobromide (9CI) (CA INDEX NAME)

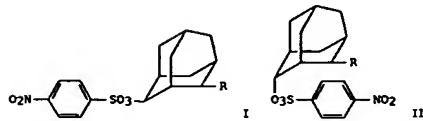


•2 HBr

RN 111922-00-0 CAPLUS  
CN 1H-Benzimidazol-2-amine, 1-((2-methyl-3-furanyl)methyl)-N-4-piperidinyl- (9CI) (CA INDEX NAME)

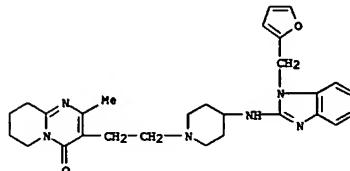


L4 ANSWER 37 OF 46 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1986:148361 CAPLUS  
DOCUMENT NUMBER: 104:148361  
TITLE: Synthesis and hydrolysis of 4-substituted 2-adamantyl p-nitrobenzenesulfonates  
AUTHOR(S): Grob, Cyril A.; Wittwer, Gerhard; Rao, K. Rama  
CORPORATE SOURCE: Inst. Org. Chem., Univ. Basel, Basel, CH-4056, Switz.  
SOURCE: Helvetica Chimica Acta (1985), 68(3), 760-9  
DOCUMENT TYPE: Journal  
LANGUAGE: German  
OTHER SOURCE(S): CASREACT 104:148361  
GI



AB The adamantyl esters I (R = H, Me, CH2OAc, CH2Br, CO2H, CO2Me, Cl, Br, cyano, CO2Na, CH2OH, CH2OMe, CONH2) and II (R = CH2Br, CO2Me, Br, cyano) were hydrolyzed in 70% aq. dioxane to give, in each case, a mixt. of the axial and equatorial alcs. I and II were prep'd. by new routes.

IT 99157-11-6  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prep. and nitrobenzenesulfonylation of)  
RN 99157-11-6 CAPLUS  
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



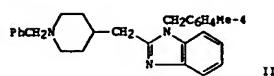
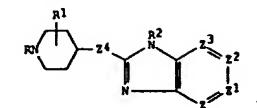
L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS  
ACCESSION NUMBER: 1986:68861 CAPLUS  
DOCUMENT NUMBER: 104:68861  
TITLE: (Piperidinylmethyl)- and (piperidinyloxy)benzimidazoles and -imidazopyridines  
INVENTOR(S): Janssens, Frans Eduard; Kennis, Ludo Edmond Josephine; Hens, Jozef Francis; Torremans, Joseph Leo G.; Diels, Gaston Stanislas M.  
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.  
SOURCE: Eur. Pat. Appl., 140 pp.  
CODEN: EP000W  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 151826	A1	19850821	EP 1984-201851	19841213
EP 151826	B1	19930331		
AT 87626	E	19930415	AT 1984-201851	19841213
ES 539281	A1	19870616	ES 1984-539281	19841231
AU 8537364	A1	19850912	AU 1985-37364	19850107
AU 573673	B2	19880616		
CA 1259609	A1	19890919	CA 1985-471589	19850107
FI 8500079	A	19850710	FI 1985-79	19850108
FI 83867	B	19910531		
FI 83867	C	19910510		
NO 8500085	A	19850710	NO 1985-85	19850108
NO 160845	B	19890227		
NO 160845	C	19890607		
DK 8500089	A	19850710	DK 1985-89	19850108
JP 60185777	A2	19850921	JP 1985-479	19850108
JP 07068240	B4	19950726		
HU 36471	A2	19850930	HU 1985-61	19850108
HU 200338	B	19900528		
ZA 8500187	A	19860827	ZA 1985-187	19850108
RO 90622	B3	19861210	RO 1985-117252	19850108
SU 1396964	A3	19880515	SU 1985-3836858	19850108
IL 74018	A1	19880831	IL 1985-74018	19850108
PL 145710	B1	19881031	PL 1985-251488	19850109
PRIORITY APPLN. INFO.:			US 1984-569369	19840109
			US 1984-671135	19841113
			EP 1984-201851	19841213

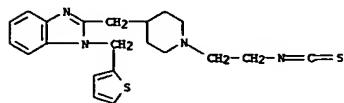
GI

L4 ANSWER 38 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



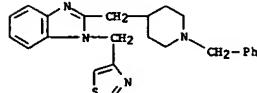
AB The title compds. I (Z-3 = CH, or one of Z-3 is N and the remainder are CH) Z4 = CH2, O, S, SO2; R = alkyl, aryl-, heteroaryl-, acyl-, hydroxy-, acyloxy-, heteroacyloxy-, alkox-, ureido-, thioureido-, or guanidinoalkyl, carboxalkoxy-, cyano-, amino-, ureido-, thioureido-, or guanidinoalkyl, cyclosalkyl, alkenyl, arylalkenyl; R1 = H, alkyl, R2 = H, alkyl, cyclosalkyl, aryl, heteroaryl, acyl- or heteroarylalkyl, which were prepd., exhibited antihistaminic activity. Thus, a mixt. of 2-(4-MeC6H4CH2N)C6H4NH and Et 1-benyl-4-piperidinacetimidate hydrochloride in MeOH was refluxed and NH3 was added to give benzimidazole I.

IT 99959-95-2 99959-96-3  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); TBU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(antihistaminic activity of)  
RN 99959-95-2 CAPIUS  
CN 1H-Benzimidazole, 2-[(1-(2-isothiocyanatoethyl)-4-piperidinyl)methyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)

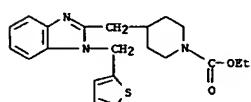


RN 99959-96-3 CAPIUS  
CN 1H-Benzimidazole, 2-[(2-furanylmethyl)-2-[(1-(2-isothiocyanatoethyl)-4-piperidinyl)methyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 38 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

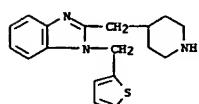


RN 99958-51-7 CAPIUS  
CN 1-Piperidinecarboxylic acid, 4-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)methyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



• HCl

RN 99958-52-8 CAPIUS  
CN 1H-Benzimidazole, 2-(4-piperidinylmethyl)-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)

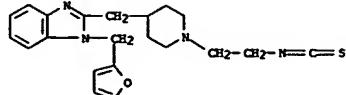


RN 99958-65-3 CAPIUS  
CN 1H-Benzimidazole, 1-(2-furanylmethyl)-2-[(1-methyl-4-piperidinyl)methyl]-, (Z)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

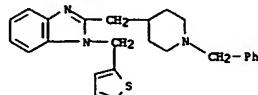
CM 1

CRN 99958-64-2  
CMF C19 H23 N3 O

L4 ANSWER 38 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

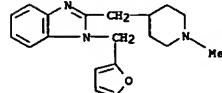


IT 99944-13-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(debenzyl-N-acylation of, by Et chloroformate)  
RN 99944-13-5 CAPIUS  
CN 1H-Benzimidazole, 2-[(1-(phenylmethyl)-4-piperidinyl)methyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



IT 99944-17-9 99958-51-7 99958-52-8  
99958-65-3R 99959-23-6P 99959-24-7P  
99959-49-6P 99959-51-0P 99959-52-1P  
99959-53-2P 99959-60-1P 99959-73-6P  
99960-79-9P 99960-84-6P 99960-88-0P  
99960-93-7P 99960-97-1P 99960-99-3P  
99961-08-7P 99961-09-8P 99961-11-2P  
99961-16-7P 99961-18-9P 99961-19-0P  
99961-21-4P 99961-23-6P 99961-49-6P  
99961-51-0P 99961-53-2P 99961-55-4P  
99961-78-1P 99962-21-7P 99962-26-2P  
99962-30-8P 99962-72-8P 99962-74-0P  
99962-79-5P 99962-83-1P 99963-00-5P  
99963-03-8P 99963-04-9P 99963-13-0P  
99963-15-2P 100015-20-1P 100015-23-4P  
100015-24-5P 100015-30-3P 100044-14-2P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PRMP (Preparation)  
(prep. and antihistaminic activity of)  
RN 99944-17-9 CAPIUS  
CN 1H-Benzimidazole, 2-[(1-(phenylmethyl)-4-piperidinyl)methyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)

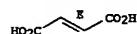
L4 ANSWER 38 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



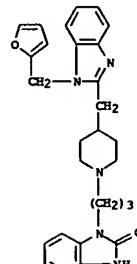
CM 2

CRN 110-17-8  
CMF C4 H6 O4

Double bond geometry as shown.



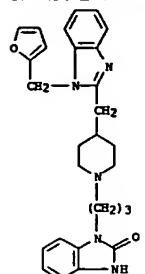
RN 99959-23-6 CAPIUS  
CN 2H-Benzimidazol-2-one, 1-[3-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]propyl]-1,3-dihydro-, ethanedicarboxylic acid (9CI) (CA INDEX NAME)



RN 99959-24-7 CAPIUS  
CN 2H-Benzimidazol-2-one, 1-[3-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]propyl]-1,3-dihydro-, ethanedicarboxylic acid (9CI) (CA INDEX NAME)

CM 1

CRN 99959-23-6  
CMF C28 H31 N5 O2

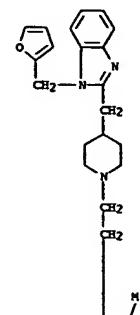


CH 2

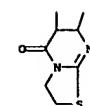
CRN 144-62-7  
CMF C2 H2 O4

RN 99959-49-6 CAPLUS  
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-{4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl}ethyl]-2,3-dihydro-7-methyl-, trihydrochloride (1:2) (9CI) (CA INDEX NAME)

CH 1

CRN 99959-48-5  
CMF C27 H33 N5 O2 S

PAGE 1-A

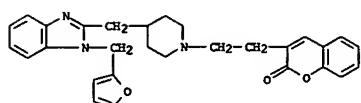


PAGE 2-A

CH 2  
CRN 144-62-7  
CMF C2 H2 O4

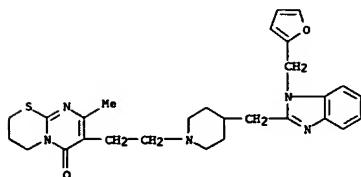


RN 99959-51-0 CAPLUS  
CN 2H-1-Benzopyran-2-one, 3-[2-{4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl}ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



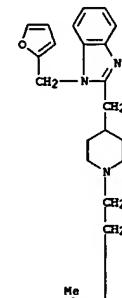
•2 HCl

RN 99959-52-1 CAPLUS  
CN 2H, GH-Pyrimido[2,1-b][1,3]thiazin-6-one, 7-[2-{4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl}ethyl]-3,4-dihydro-8-methyl-, trihydrochloride (9CI) (CA INDEX NAME)

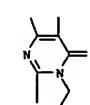


•3 HCl

RN 99959-53-2 CAPLUS  
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-{4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl}ethyl]-2,3-dihydro-7-methyl-, trihydrochloride (9CI) (CA INDEX NAME)

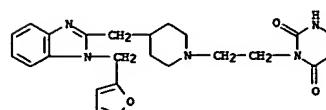


PAGE 1-A

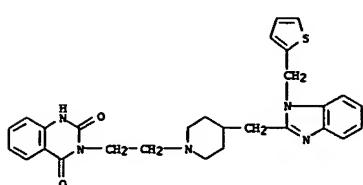


PAGE 2-A

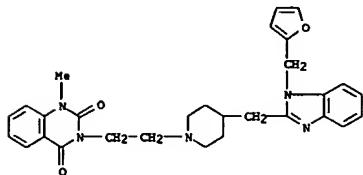
•3 HCl  
RN 99959-60-1 CAPLUS  
CN 2,4 (1H,3H)-Pirimidinedione, 3-[2-{4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl}ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 RN 99959-73-6 CAPLUS  
 CN 2,4(1H,3H)-Quiazolininedione, 3-[2-[4-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 99960-79-9 CAPLUS  
 CN 2,4(1H,3H)-Quiazolininedione, 3-[2-[4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-1-methyl-, ethanedioate (1:2) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 99960-78-8  
 CMF C29 H31 N5 O3

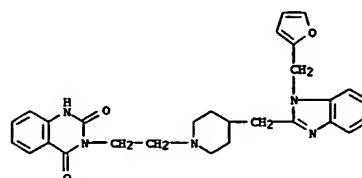


CM 2  
 CRN 144-62-7  
 CMF C2 H2 O4

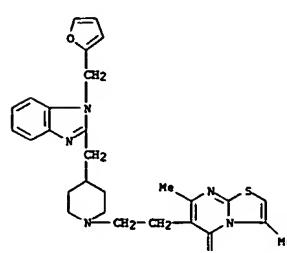
L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 99960-84-6 CAPLUS  
 CN 2,4(1H,3H)-Quiazolininedione, 3-[2-[4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



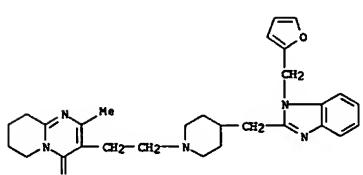
RN 99960-88-0 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-3,7-dimethyl- (9CI) (CA INDEX NAME)



RN 99960-93-7 CAPLUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-

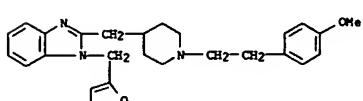
L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 , ethanedioate (1:3) (9CI) (CA INDEX NAME)

CM 1  
 CRN 99960-92-6  
 CMF C29 H35 N5 O2



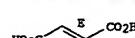
CM 2  
 CRN 144-62-7  
 CMF C2 H2 O4

RN 99960-97-1 CAPLUS  
 CN 1H-Benzimidazole, 1-(2-furanylmethyl)-2-[(1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 99960-96-0  
 CMF C27 H31 N3 O2



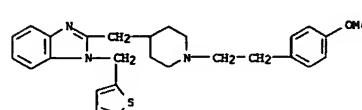
CM 2  
 CRN 110-17-8  
 CMF C4 H4 O4

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 Double bond geometry as shown.



RN 99960-99-3 CAPLUS  
 CN 1H-Benzimidazole, 2-[(1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-1-(2-thienylmethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

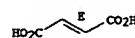
CM 1  
 CRN 99960-98-2  
 CMF C27 H31 N3 O S



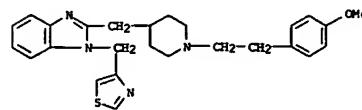
CM 2

CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.

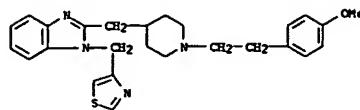


RN 99961-08-7 CAPLUS  
 CN 1H-Benzimidazole, 2-[(1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)



RN 99961-09-8 CAPLUS  
 CN 1H-Benzimidazole, 2-[(1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-1-(4-thiazolylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 38 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

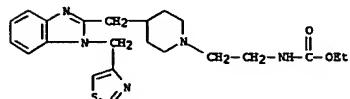


CH 2 HCl

RN 99961-11-2 CAPIUS

CN Carbanic acid, [2-(4-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl)ethyl]-, ethyl ester, ethanediolate (1:2) (9CI) (CA INDEX NAME)

CH 1

CRN 99961-10-1  
CMF C22 H29 N5 O2 S

CH 2

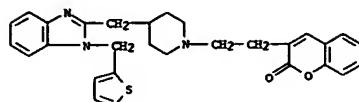
CRN 144-62-7  
CMF C2 H2 O4

RN 99961-16-7 CAPIUS

CN 2H-1-Benzopyran-2-one, 3-[2-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-, ethanediolate (1:2) (9CI) (CA INDEX NAME)

CH 1

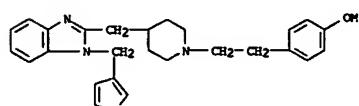
CRN 99961-15-6

L4 ANSWER 38 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
CMF C29 H29 N3 O2 S

CH 2

CRN 144-62-7  
CMF C2 H2 O4RN 99961-18-9 CAPIUS  
CN 1H-Benzimidazole, 1-(3-furanylmethyl)-2-[(1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-, ethanediolate (2:5) (9CI) (CA INDEX NAME)

CH 1

CRN 99961-17-8  
CMF C27 H31 N3 O2

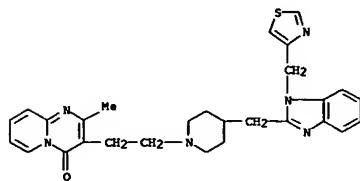
CH 2

CRN 144-62-7  
CMF C2 H2 O4

L4 ANSWER 38 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

RN 99961-19-0 CAPIUS

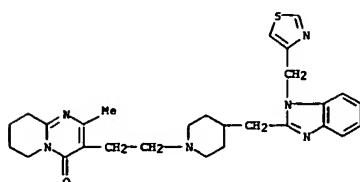
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 99961-21-4 CAPIUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-, ethanediolate (2:5) (9CI) (CA INDEX NAME)

CH 1

CRN 99961-20-3  
CMF C28 H34 N6 O S

CH 2

CRN 144-62-7  
CMF C2 H2 O4

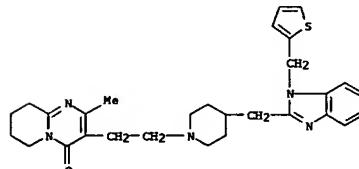
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L4 ANSWER 38 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

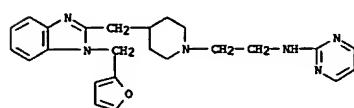
RN 99961-23-6 CAPIUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-, ethanediolate (1:2) (9CI) (CA INDEX NAME)

CH 1

CRN 99961-22-5  
CMF C29 H35 N5 O S

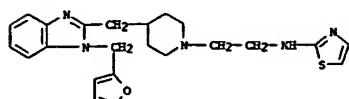
CH 2

CRN 144-62-7  
CMF C2 H2 O4RN 99961-49-6 CAPIUS  
CN 2-Pyrimidinamine, N-[2-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)RN 99961-51-0 CAPIUS  
CN 1-Piperidinethanamine, 4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-N-2-thiazolyl-, (2E)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CH 1

6/02/2003

L4 ANSWER 3B OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 CRN 99961-50-9  
 CMF C23 H27 N5 S



CM 2

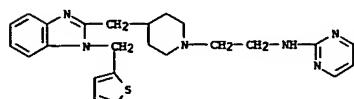
CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



RN 99961-53-2 CAPIUS  
 CN 2-Pyrimidinamine, N-[2-[4-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 99961-52-1  
 CMF C24 H28 N6 S

CM 2

CRN 110-17-8  
 CMF C4 H4 O4

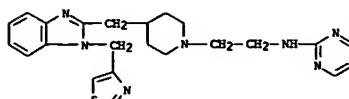
Double bond geometry as shown.

L4 ANSWER 3B OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 99961-55-4 CAPIUS  
 CN 2-Pyrimidinamine, N-[2-[4-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-, ethanedioate (1:4) (9CI) (CA INDEX NAME)

CM 1

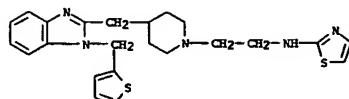
CRN 99961-54-3  
 CMF C23 H27 N7 S

CM 2

CRN 144-62-7  
 CMF C22 H24 O4

RN 99961-78-1 CAPIUS  
 CN 1-Piperazineethanamine, N-2-thiazolyl-4-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)methyl]-, ethanedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 99961-77-0  
 CMF C23 H27 N5 S2

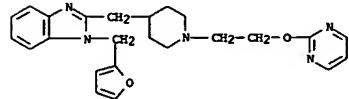
L4 ANSWER 3B OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

CM 2

CRN 144-62-7  
 CMF C22 H24 O4

RN 99962-21-7 CAPIUS  
 CN 1H-Benzimidazole, 1-(2-furanylmethyl)-2-[(1-[2-(2-pyrimidinyl)oxy]ethyl)-4-piperidinylmethyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99962-20-6  
 CMF C24 H27 N5 O2

CM 2

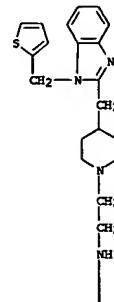
CRN 144-62-7  
 CMF C22 H24 O4

RN 99962-26-2 CAPIUS  
 CN 1H-Imidazo[4,5-c]pyridin-2-amine, N-[2-[4-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-, (2E)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 99962-25-1  
 CMF C26 H29 N7 S

PAGE 1-A



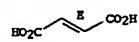
PAGE 2-A



CM 2

CRN 110-17-8  
 CMF C4 H4 O4

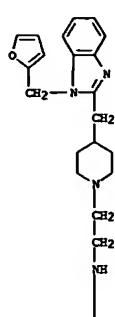
Double bond geometry as shown.



RN 99962-30-8 CAPIUS  
 CN 1H-Imidazo[4,5-c]pyridin-2-amine, N-[2-[4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-, ethanedioate (1:4) (9CI) (CA INDEX NAME)

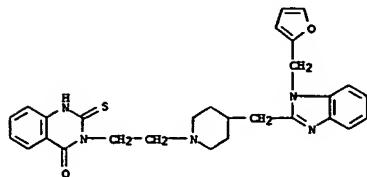
CM 1

L4 ANSWER 38 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 CRN 99962-29-5  
 CMF C26 H29 N7 O

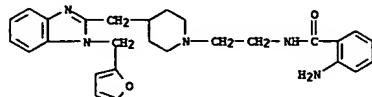


PAGE 1-A

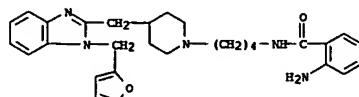
L4 ANSWER 38 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 RN 99962-72-8 CAPIUS  
 CN 4[(1H)-Quinazolinone, 3-[2-[4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl]-2,3-dihydro-2-thioxo- (9CI) (CA INDEX NAME)



RN 99962-74-0 CAPIUS  
 CN Benzamide, 2-amino-N-[2-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl- (9CI) (CA INDEX NAME)



RN 99962-79-5 CAPIUS  
 CN Benzamide, 2-amino-N-[4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]butyl- (9CI) (CA INDEX NAME)

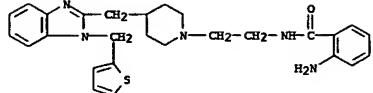


RN 99962-83-1 CAPIUS  
 CN Benzamide, 2-amino-N-[2-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl- (9CI) (CA INDEX NAME)

CM 2  
 CRN 144-62-7  
 CMF C2 H2 O4

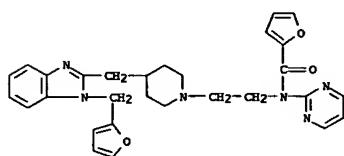


L4 ANSWER 38 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 99963-00-5 CAPIUS  
 CN 2-Furancarboxamide, N-[2-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]-N-2-pyrimidinyl-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1  
 CRN 99962-99-9  
 CMF C29 H30 N6 O3

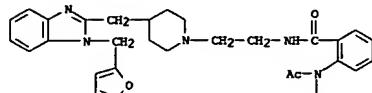


CM 2  
 CRN 144-62-7  
 CMF C2 H2 O4



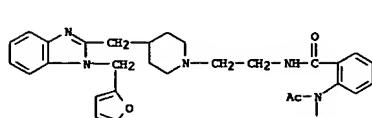
RN 99963-03-8 CAPIUS  
 CN Benzamide, 2-(acetylaminomethyl)-N-[2-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 38 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 99963-04-9 CAPIUS  
 CN Benzamide, 2-(acetylaminomethyl)-N-[2-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl-, ethanedioate (2:5) (9CI) (CA INDEX NAME)

CM 1  
 CRN 99963-03-8  
 CMF C30 H35 N5 O3

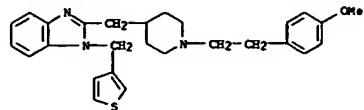


CM 2  
 CRN 144-62-7  
 CMF C2 H2 O4

RN 99963-13-0 CAPIUS  
 CN 1H-Benzimidazole, 2-[(1-2-(4-methoxyphenyl)ethyl)-4-piperidinyl]methyl]-1-(3-thienylmethyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1  
 CRN 99963-12-9  
 CMF C27 H31 N3 O5

L4 ANSWER 38 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



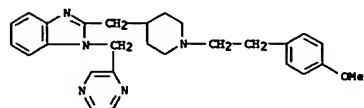
CM 2

CRN 144-62-7  
CMF C2 H2 O4

RN 99963-15-2 CAPIUS

CN 1H-Benzimidazole, 2-[(1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-1-(pyrazinylmethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

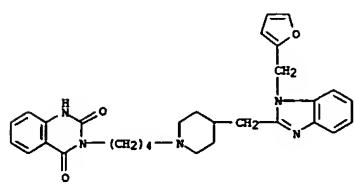
CM 1

CRN 99963-14-1  
CMF C27 H31 N5 O

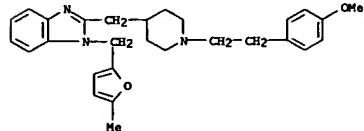
CM 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 38 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
CN 2,4(1H,3H)-Quinazolinidones, 3-[(4-[(1-(2-furanyl)methyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl)butyl]- (9CI) (CA INDEX NAME)RN 100044-14-2 CAPIUS  
CN 1H-Benzimidazole, 2-[(1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-1-(5-methyl-2-furanyl)methyl)-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 100044-13-1  
CMF C28 H33 N3 O2

CM 2

CRN 144-62-7  
CMF C2 H2 O4

IT 99958-34-0P

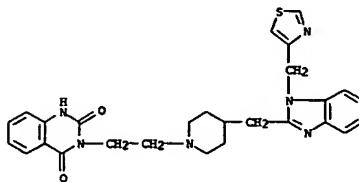
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and hydrolysis-decarboxylation of)

RN 99958-34-0 CAPIUS

CN 1-Piperidinecarboxylic acid, 4-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

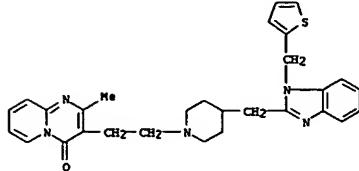
Habte

L4 ANSWER 38 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

RN 100015-20-7 CAPIUS  
2,4(1H,3H)-Quinazolinidones, 3-[(4-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

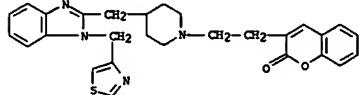
RN 100015-23-4 CAPIUS

CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[(4-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



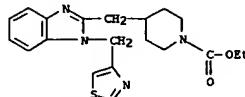
RN 100015-24-5 CAPIUS

CN 2H-1-Benzopyran-2-one, 3-[(4-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 100015-30-3 CAPIUS

L4 ANSWER 38 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

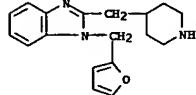


IT 99959-22-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and N-alkylation of, by (chloropropyl)benzimidazolone)

RN 99959-22-5 CAPIUS

CN 1H-Benzimidazole, 1-(2-furanyl)methyl)-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

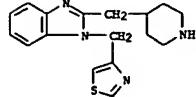


IT 99959-35-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and N-alkylation of, by chloroethyl anisyl ether)

RN 99959-35-1 CAPIUS

CN 1H-Benzimidazole, 2-(4-piperidinylmethyl)-1-(4-thiazolylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)



● 3 HBr

IT 99944-17-9P 99954-01-5P 99954-07-1P

99954-23-1P 99954-23-3P 99959-64-9P

99960-26-6P 99960-26-6P 99960-37-9P

99960-38-0P 99960-59-5P 99960-60-8P

99960-61-9P 99960-63-1P 99960-64-2P

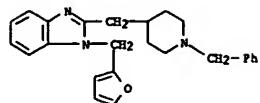
99960-70-0P 99960-71-1P 99961-16-7P

99963-18-5P 99963-20-9P 99963-57-2P

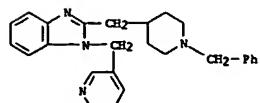
6/02/2003



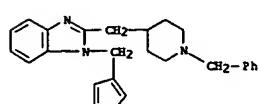
L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



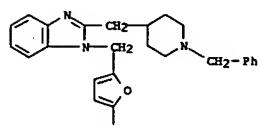
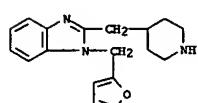
RN 99960-28-0 CAPLUS  
 CN 1H-Benzimidazole, 2-[(1-(phenylmethyl)-4-piperidinyl)methyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 99960-37-9 CAPLUS  
 CN 1H-Benzimidazole, 1-(3-furanyl)-2-[(1-(phenylmethyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)



RN 99960-38-0 CAPLUS  
 CN 1H-Benzimidazole, 1-[(5-methyl-2-furanyl)methyl]-2-[(1-(phenylmethyl)-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

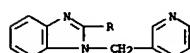
L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 CRN 99959-22-5  
 CMF C18 H21 N 3 O

CM 2  
 CRN 110-17-8  
 CMF C4 H4 O4

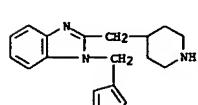
Double bond geometry as shown.



RN 99960-64-2 CAPLUS  
 CN 1H-Benzimidazole, 2-(4-piperidinylmethyl)-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



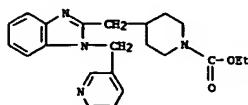
RN 99960-70-0 CAPLUS  
 CN 1H-Benzimidazole, 1-(3-furanyl)-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)



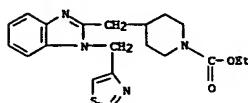
RN 99960-71-1 CAPLUS  
 CN 1H-Benzimidazole, 1-[(5-methyl-2-furanyl)methyl]-2-(4-piperidinylmethyl)-  
 Habte

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 99960-59-5 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

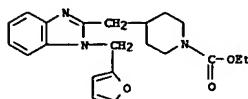


RN 99960-60-8 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)methyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



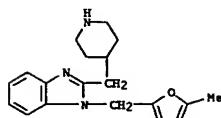
● HCl

RN 99960-61-9 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-(2-furanyl)-1H-benzimidazol-2-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



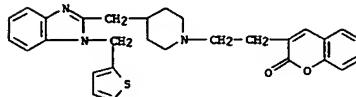
RN 99960-63-1 CAPLUS  
 CN 1H-Benzimidazole, 1-(2-furanyl)-2-(4-piperidinylmethyl)-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

L4 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 (9CI) (CA INDEX NAME)

RN 99961-16-7 CAPLUS  
 CN 2H-1-Benzopyran-2-one, 3-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]-ethanediol (1:2) (9CI) (CA INDEX NAME)

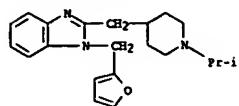
CM 1

CRN 99961-15-6  
 CMF C29 H29 N3 O2 S

CM 2

CRN 144-62-7  
 CMF C2 H2 O4

RN 99963-18-5 CAPLUS  
 CN 1H-Benzimidazole, 1-(2-furanyl)-2-[(1-(1-methylethyl)-4-piperidinyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

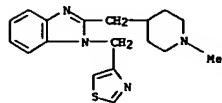


• HCl

RN 99963-20-9 CAPIUS  
 CN 1H-Benzimidazole, 2-[(1-methyl-4-piperidinyl)methyl]-1-(4-thiazolylmethyl)-ethanedicarboxylic acid (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99963-19-6  
 CMF C18 H22 N4 S

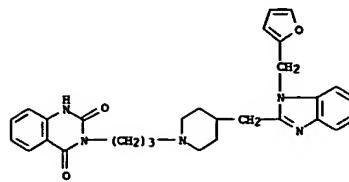


CM 2

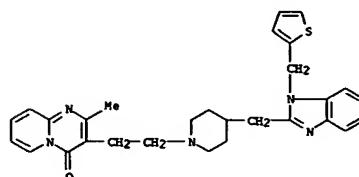
CRN 144-62-7  
 CMF C2 H2 O4



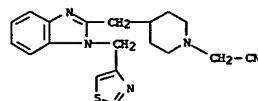
RN 99963-57-2 CAPIUS  
 CN 2,4(1H,3H)-Quinazolinedione, 3-[3-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]propyl- (9CI) (CA INDEX NAME)



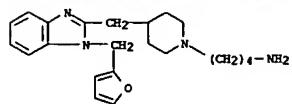
RN 100015-23-4 CAPIUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl- (9CI) (CA INDEX NAME)



RN 100015-50-7 CAPIUS  
 CN 1-Piperidineacetonitrile, 4-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



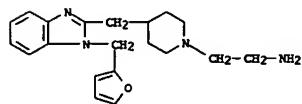
RN 100015-57-4 CAPIUS  
 CN 1-Piperidinebutanamine, 4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



RN 100015-58-5 CAPIUS  
 CN 1-Piperidineethanamine, 4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-, (2E)-2-butenedicarboxylic acid (1:3) (9CI) (CA INDEX NAME)

CM 1

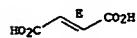
CRN 99960-06-2  
 CMF C20 H26 N4 O



CM 2

CRN 110-17-8  
 CMF C4 H4 O4

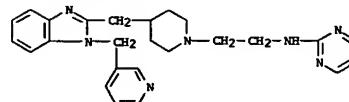
Double bond geometry as shown.



RN 100015-64-3 CAPIUS  
 CN 2-Pyridinamine, N-[2-[(1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl-, ethanedicarboxylic acid (1:4) (9CI) (CA INDEX NAME)

CM 1

CRN 100015-63-2  
 CMF C25 H29 N7



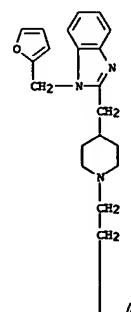
CM 2

CRN 144-62-7  
 CMF C2 H2 O4

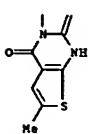


RN 100016-06-6 CAPIUS  
 CN Thiopheno[2,3-d]pyrimidin-4(1H)-one, 3-[2-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl-2,3-dihydro-6-methyl-2-thioxo- (9CI) (CA INDEX NAME)

PAGE 1-A

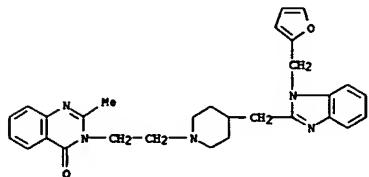


L4 ANSWER 3B OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



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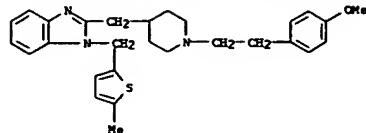
RN 100016-11-3 CAPLUS  
 CN 4-(3H)-Quinazolinone, 3-[2-{4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl}ethyl]-2-methyl-, trihydrochloride (9CI) (CA INDEX NAME)



•3 HCl

RN 100016-31-7 CAPLUS  
 CN 1H-Benzimidazole, 2-[(1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-1-(5-methyl-2-thienyl)methyl-, ethanediolate (1:2) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 100016-30-6  
 CMF C28 H33 N3 O 5

L4 ANSWER 3B OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

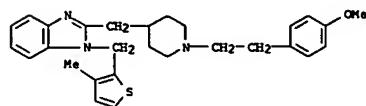


CH 2  
 CRN 144-62-7  
 CMF C2 H2 O 4



RN 100016-33-9 CAPLUS  
 CN 1H-Benzimidazole, 2-[(1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl)methyl]-1-(3-methyl-2-thienyl)methyl-, ethanediolate (1:2) (9CI) (CA INDEX NAME)

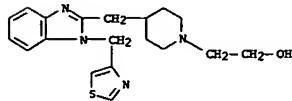
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 CMF C28 H33 N3 O 5



CH 2  
 CRN 144-62-7  
 CMF C2 H2 O 4

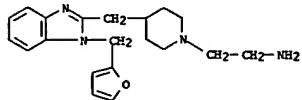


L4 ANSWER 3B OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 RN 100044-16-4 CAPLUS  
 CN 1-Piperidineethanol, 4-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)methyl]-, ethanediolate (2:5) (salt) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 100044-15-3  
 CMF C19 H24 N4 O 5



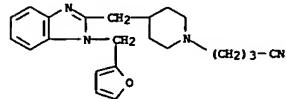
CH 2  
 CRN 144-62-7  
 CMF C2 H2 O 4

IT 99960-06-2  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prep. of, for amidation of anthranilic acid)  
 RN 99960-06-2 CAPLUS  
 CN 1-Piperidineethanamine, 4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)

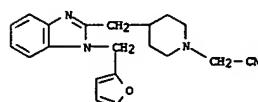


IT 99959-83-8 99959-84-9  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (redn. of)  
 RN 99959-83-8 CAPLUS  
 CN 1-Piperidinebutanenitrile, 4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)

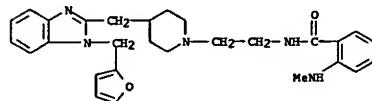
L4 ANSWER 3B OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 99959-84-5 CAPLUS  
 CN 1-Piperidineacetonitrile, 4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



IT 99960-16-4  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (N-acetylation of)  
 RN 99960-16-4 CAPLUS  
 CN Benzamide, N-[2-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)methyl]-1-piperidinyl]ethyl-2-(methylamino)- (9CI) (CA INDEX NAME)



L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1986:68856 CAPLUS  
 DOCUMENT NUMBER: 104:68856  
 TITLE: Bicyclic heterocycl containing N-(bicyclic heterocycl)-4-piperidinamines  
 INVENTOR(S): Janssens, Frans Eduard; Torremans, Joseph Leo; Ghislainus, Hens, Jozef Francis; Van Offenvert, Theophilus Theresia J. M.  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.  
 SOURCE: Eur. Pat. Appl., 106 pp.  
 COEN: EP00000000  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

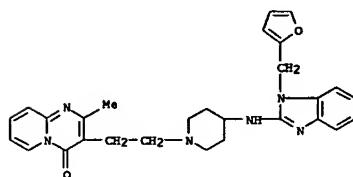
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EP 144101	A2	19850612	EP 1984-201611	19841107
EP 144101	A3	19850724		
EP 144101	B1	19910206		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4695569	A	19870922	US 1984-660608	19841012
AT 60769	E	19910215	AT 1984-201611	19841107
SU 1500162	A3	19890807	SU 1984-3814401	19841123
CA 1257258	A1	19890711	CA 1984-468587	19841126
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SK 278443	B6	19970507	SK 1984-9128	19841128
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FI 80446	C	19900611		
NO 8404755	A	19850531	NO 1984-4755	19841129
NO 164171	B	19900528		
NO 164171	C	19900905		
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AU 579121	B2	19881117		
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PL 146377	B1	19890131	PL 1984-250633	19841129
HU 35677	O	19850729	HU 1984-4444	19841130
HU 199837	B	19900328		
RO 90414	B3	19861210	RO 1984-116474	19841130
US 4888426	A	19891219	US 1987-56200	19870601
SU 1694064	A3	19911123	SU 1987-4203318	19870917
CA 1330081	A1	19940607	CA 1988-564954	19880422
FI 8804037	A	19880901	FI 1988-4037	19880901
FI 84070	B	19910628		
FI 84070	C	19911010		
US 5025014	A	19910618	US 1989-447312	19891207
US 5126339	A	19920630	US 1991-671338	19910319
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		US 1983-556742		19831130
		US 1984-660608		19841012
		EP 1984-201611		19841107

L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 CA 1984-68856 CAPLUS  
 FI 1984-4708 19841129  
 US 1987-56200 19870601  
 US 1989-447312 19891207

OTHER SOURCE(S): CASREACT 104:68856  
 GI For diagram(s), see printed CA issue.  
 AB The title compds. [I; R = H, cycloalkyl, pyridinyl, pyrazinyl, alkyl-(un)substituted furanyl, thiacyclic, imidazolyl, halo-(un)substituted thiacyl, (un)substituted alkyl, Ph; R1 = H, alkyl, cycloalkyl, alkanoyl, alkoxycarbonyl, (un)substituted phenylalkyl; R2 = H, alkyl; R3 = alkyl, pyrrolidinyl, piperidinyl, homopiperidinyl, each substituted by a group contg. a bicyclic heterocyclic moiety; X = atoms required to complete an (un)substituted C6H5 or pyridine ring] (>150 in all) were prep'd. Thus, 1-(4-fluorophenyl)methyl-N-(4-piperidinyl)-1H-benzimidazol-2-amine was alkylated by heating at 70°.degree. with 6-(2-bromoethyl)-3,7-dimethyl-5-thiazolo[3,2-a]pyrimidin-5-one-HBr in DMF contg. Na2CO3 to give 62.8% II. II had antihistaminic activity in rats, counteracting the lethality of compd. 48/80 with an ED50 of 0.31 mg/kg s.c. or orally, and inhibiting gastric lesions caused by the same agent with an ED50 of 0.63 mg/kg orally.

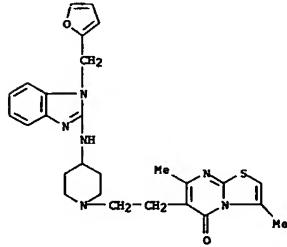
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 99157-05-8P 99157-11-6P 99157-12-7P  
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 99157-93-4P 99157-96-4P 99157-97-6P  
 99158-01-7P 99195-12-7P 99195-15-0P  
 99195-16-1P 99195-18-4P 99195-21-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); (prep'n. and antihistaminic activity of)

RN 99156-65-7 CAPLUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl- (9CI) (CA INDEX NAME)

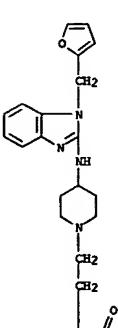


L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 99156-68-0 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl-3,7-dimethyl- (9CI) (CA INDEX NAME)

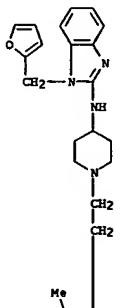


RN 99156-70-4 CAPLUS  
 CN 1H-Purine-2,6-dione, 1-[2-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl-3,7-dihydro-3,7-dimethyl- (9CI) (CA INDEX NAME)

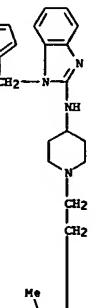


L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 2-A  
 RN 99156-79-3 CAPLUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl-2,3-dihydro-7-methyl- (9CI) (CA INDEX NAME)



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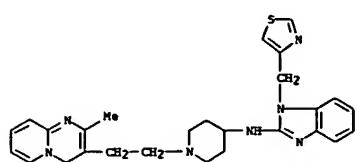
PAGE 2-A



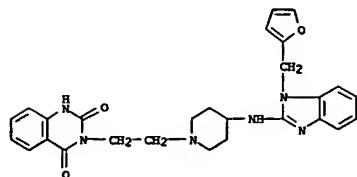
RN 99156-80-6 CAPLUS

6/02/2003

L4 ANSWER 39 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-methyl-4H-pyrido[1,2-a]pyrimidin-3-yl)ethyl]-4-piperidinyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)

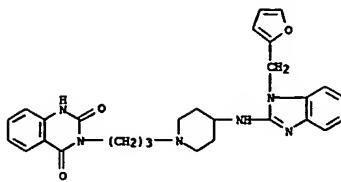


RN 99156-87-3 CAPIUS  
 CN 2,4(1H,3H)-Quinazolininedione, 3-[2-[4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



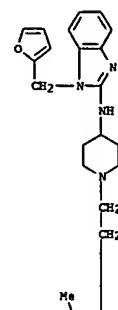
RN 99156-93-1 CAPIUS  
 CN 2,4(1H,3H)-Quinazolininedione, 3-[3-[4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 99156-94-2 CAPIUS  
 CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[(4-((1-(2-furanylmethyl)-1H-benzimidazol-2-yl)amino)-1-piperidinyl)ethyl]-7-methyl- (9CI) (CA INDEX NAME)

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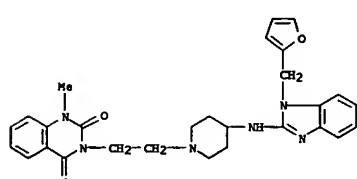


L4 ANSWER 39 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

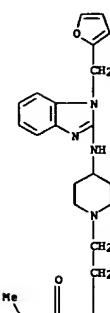
L4 ANSWER 39 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

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RN 99156-98-6 CAPIUS  
 CN 2,4(1H,3H)-Quinazolininedione, 3-[2-[4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-1-methyl- (9CI) (CA INDEX NAME)

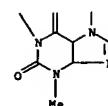


RN 99157-05-8 CAPIUS  
 CN 1H-Purine-2,6-dione, 7-[2-[4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-3,7-dihydro-1,3-dimethyl- (9CI) (CA INDEX NAME)

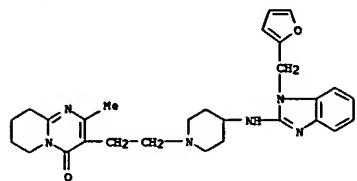


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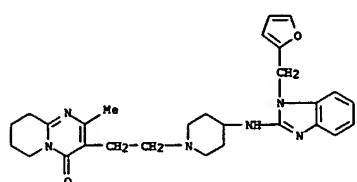
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 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



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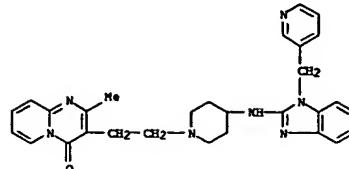


RN 99157-12-7 CAPIUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-[(1-(2-furanylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-1H-quinolinium trihydrochloride (9CI) (CA INDEX NAME)

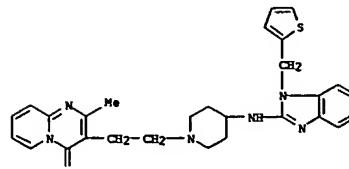


• 3 HCl

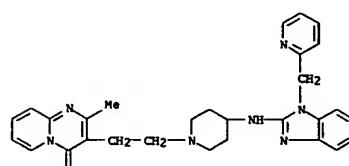
RN 99157-18-3 CAPIUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[4-[(1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 99157-19-4 CAPIUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[4-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

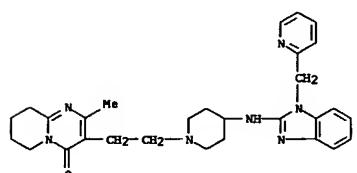


RN 99157-22-9 CAPIUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

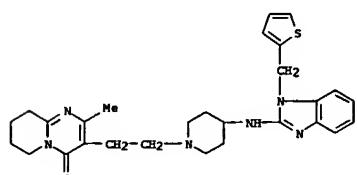


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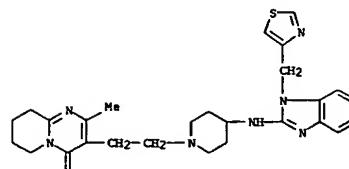
L4 ANSWER 39 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-[4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



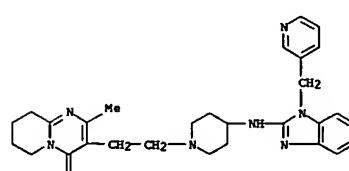
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 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-[4-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



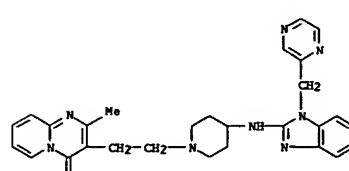
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 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-[4-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



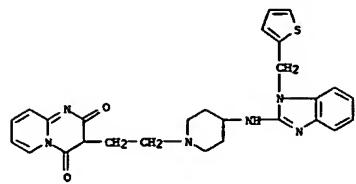
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 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 6,7,8,9-tetrahydro-2-methyl-3-[2-[4-[(1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



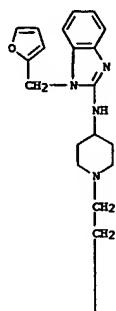
RN 99157-30-8 CAPIUS  
 CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 2-methyl-3-[2-[4-[(1-(4-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 99157-43-4 CAPIUS  
 CN 2H-Pyrido[1,2-a]pyrimidine-2,4(3H)-dione, 3-[2-[4-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

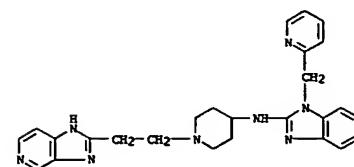


RN 99157-72-9 CAPIUS  
 CN 1H-Benzimidazol-2-amine, 1-[2-(2-furanylmethyl)-N-[1-[2-(1H-imidazo[4,5-c]pyridin-2-yl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

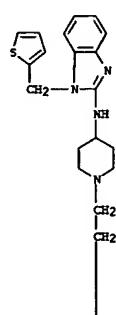


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RN 99157-76-3 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(1H-imidazo[4,5-c]pyridin-2-yl)ethyl]-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

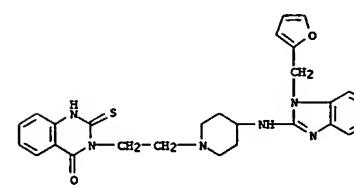


RN 99157-78-5 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-[1-[2-(1H-imidazo[4,5-c]pyridin-2-yl)ethyl]-4-piperidinyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



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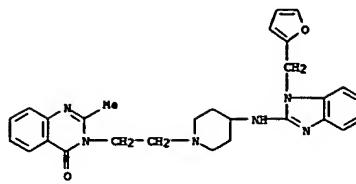
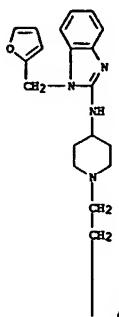
RN 99157-96-7 CAPIUS  
 CN 4(1H)-Quinazolinone, 3-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-2,3-dihydro-2-thioxo- (9CI) (CA INDEX NAME)



RN 99157-97-8 CAPIUS  
 CN Thieno[2,3-d]pyrimidin-4(1H)-one, 3-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-2,3-dihydro-6-methyl-2-thioxo- (9CI) (CA INDEX NAME)

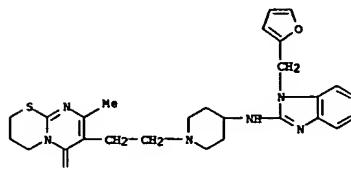
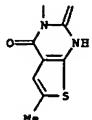
RN 99157-93-4 CAPIUS  
 CN 4(1H)-Quinazolinone, 3-[2-[4-[[1-(2-furanylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-2,3-dihydro-1-methyl-2-thioxo- (9CI) (CA INDEX NAME)

PAGE 1-A



RN 99195-12-7 CAPIUS  
 ZH, 2H-Pyrimido[2,1-b][1,3]thiazin-6-one, 7-[2-[4-[(1-(2-furanyl)methyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-3,4-dihydro-8-methyl- (9CI) (CA INDEX NAME)

PAGE 2-A



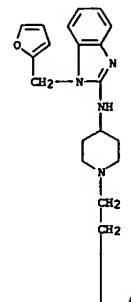
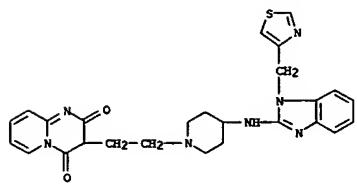
RN 99195-15-0 CAPIUS  
 ZH-Pyrido[1,2-a]pyrimidine-2,4(3H)-dione, 3-[2-[4-[(1-(4-thiazolyl)methyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl- (9CI) (CA INDEX NAME)

RN 99158-01-7 CAPIUS  
 CN 4(3H)-Quinazolinone, 3-[2-[4-[(1-(2-furanyl)methyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-2-methyl- (9CI) (CA INDEX NAME)

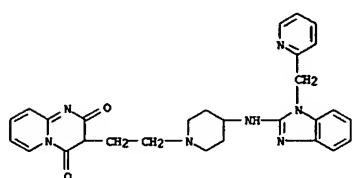
L4 ANSWER 39 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

L4 ANSWER 39 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

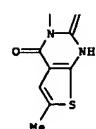
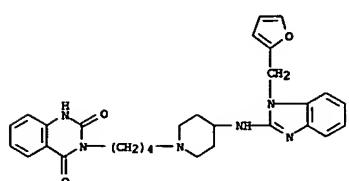
PAGE 1-A



RN 99195-16-1 CAPIUS  
 CN 2H-Pyrido[1,2-a]pyrimidine-2,4(3H)-dione, 3-[2-[4-[(1-(2-pyridinyl)methyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl- (9CI) (CA INDEX NAME)



RN 99195-19-4 CAPIUS  
 CN 2,4(1H,3H)-Quinazolininedione, 3-[4-[(1-(2-furanyl)methyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]butyl- (9CI) (CA INDEX NAME)



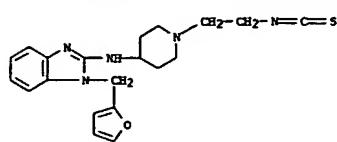
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 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and condensation of, with heterocyclic amines)  
 RN 99151-17-4 CAPIUS  
 1H-Benzimidazol-2-amine, 1-(2-furanyl)methyl)-N-[1-(2-isothiocyanatoethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 99195-21-8 CAPIUS  
 CN Thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-[2-[4-[(1-(2-furanyl)methyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]-6-methyl- (9CI) (CA INDEX NAME)

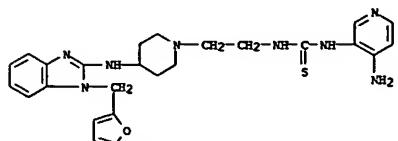
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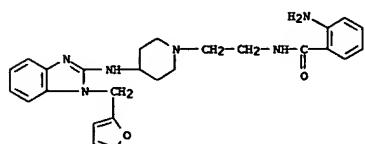
L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT 90518-10-89  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and cyclization of)  
 RN 90518-10-8 CAPLUS  
 CN Thiourea, N-(4-amino-3-pyridinyl)-N'-(2-[4-[(1-(2-furanyl)methyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl)ethyl- (9CI) (CA INDEX NAME)

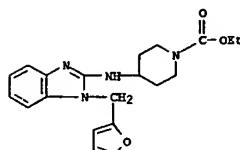


IT 99158-41-5P 99158-42-6P 99158-43-7P  
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 (prepn. and cyclocondensation reaction of)  
 RN 99158-41-5 CAPLUS  
 CN Benzoimide, 2-amino-N-(2-[4-[(1-(2-furanyl)methyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl)ethyl- (9CI) (CA INDEX NAME)

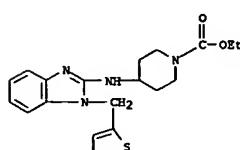


RN 99158-42-6 CAPLUS

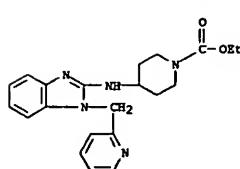
L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 90518-57-3 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

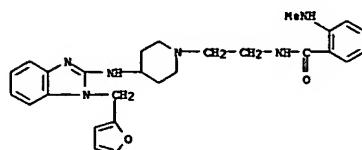


RN 90518-61-9 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

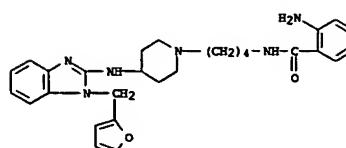


RN 90518-62-0 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 CN Benzoimide, N-[2-[(1-(2-furanyl)methyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl- (9CI) (CA INDEX NAME)

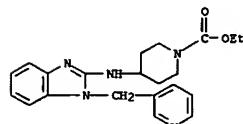


RN 99158-43-7 CAPLUS  
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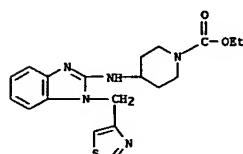


IT 90518-53-9P 90518-57-3P 90518-61-9P  
 90518-62-0P 90518-64-2P 90518-25-5P  
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 (prepn. and decarboxylation of)  
 RN 90518-53-9 CAPLUS  
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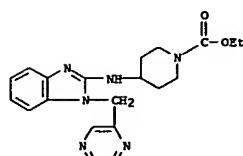
L4 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



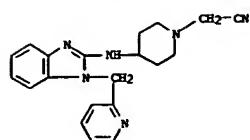
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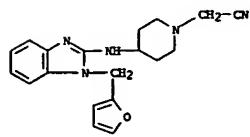
RN 99158-25-5 CAPLUS  
 1-Piperidinecarboxylic acid, 4-[(1-(pyrazinylmethyl)-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



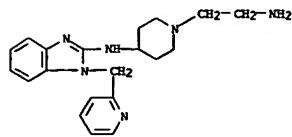
IT 90518-85-7P 99139-17-OP  
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 (prepn. and reduct. of)  
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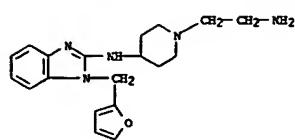
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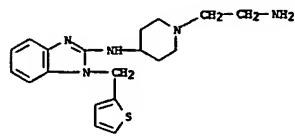
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 RN 90519-14-5 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-[(1-(2-aminoethyl)-4-piperidinyl)-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



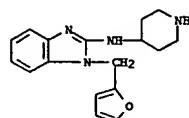
RN 90519-18-9 CAPIUS  
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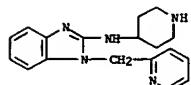
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 CN 1H-Benzimidazol-2-amine, N-[(1-(2-aminoethyl)-4-piperidinyl)-1-(2-thienyl methyl)- (9CI) (CA INDEX NAME)



IT 90519-67-5P 90519-71-1P 90519-72-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (prep., and N-alkylation of)  
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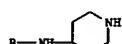
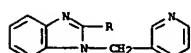


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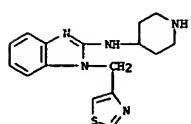
•3 HBr

RN 90518-72-2 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(3-pyridinylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)



•3 HBr

RN 90518-77-7 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(4-thiazolylmethyl)-, dihydrobromide (9CI) (CA INDEX NAME)



•2 HBr

RN 99158-31-3 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 40 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 DOCUMENT NUMBER: 104:50874 CAPLUS  
 DOCUMENT NUMBER: 104:50874  
 TITLE: N-(4-Piperidinyl) bicyclic condensed 2-imidazolamine derivatives  
 INVENTOR(S): Janssens, Frans Eduard; Torremans, Joseph Leo; Ghislainus, Hans; Jozef Francis; Van Offenwert, Theophilus Theresia Joannes  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.  
 SOURCE: Eur. Pat. Appl., 68 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 151824	A2	19850821	EP 1984-201812	19841206
EP 151824	A3	19851009		
EP 151824	B1	19900404		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4588722	A	19860513	US 1984-660670	19841015
CA 1246070	A1	19881206	CA 1984-469245	19841204
AT 51621	E	19900415	AT 1984-201812	19841206
ES 539266	A1	19860116	ES 1984-539266	19841231
AU 8537363	A1	19850801	AU 1985-37363	19850107
AU 575612	B2	19880804		
JP 60174778	A2	19850909	JP 1985-251	19850107
RO 91075	B3	19870227	RO 1985-117231	19850107
PL 144514	B1	19880630	PL 1985-251476	19850107
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FI 83781	B	19910515		
FI 83781	C	19910826		
NO 8500084	A	19850710	NO 1985-84	19850108
DK 8500088	A	19850710	DK 1985-88	19850108
HU 37780	A2	19860228	HU 1985-62	19850108
HU 196389	B	19881128		
ZA 8500166	A	19860827	ZA 1985-186	19850108
IL 74017	A1	19880331	IL 1985-74017	19850108
SU 1400509	A3	19880530	SU 1985-3838812	19851008
NO 8902563	A	19850710	NO 1989-2563	19890621
PRIORITY APPLN. INFO.:		US 1984-569115		19841019
		US 1984-660670		19841015
		EP 1984-201812		19841206
		NO 1985-84		19850108

OTHER SOURCE(S): CASREACT 104:50874

GI For diagram(s), see printed CA Issue.

AB The title compds. [1; A = (un)substituted CGH<sub>6</sub> or pyridine ring; R = H, alkyl, R<sub>1</sub> = H, alkyl, cycloalkyl, aralkyl, (alkyl)furanyl, (alkyl)imidazolyl, (halo)thienyl, pyridinyl, pyrazinyl, thiazolyl, (un)substituted Ph; R<sub>2</sub> = H, alkyl, cycloalkyl, aralkyl, alkanoyl, alkoxycarbonyl; R<sub>3</sub> = R<sub>4</sub>; (un)substituted satd. heterocyclyl; R<sub>5</sub> = acyl, acylamino, acyloxy, acylthio, (un)substituted Ph, aryl, etc.; Z = alkylene] were prep'd. Thus 3-chloro-2-nitropyridine was aminolyzed with 4-FC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>NH<sub>2</sub> and the product hydrogenated to give N3-[(4-

L4 ANSWER 40 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 fluorophenyl)methyl]-2,3-pyridinediamine. This was condensed with Et 4-isothiocyanatopyridinecarboxylate to give pyridinylthiourea deriv. II which was cyclized by heating in EtOH with Hg<sup>2+</sup> and S to give imidazopyridinamine III (RS = CO<sub>2</sub>E<sup>t</sup>). The latter was decarbonylated by heating in 48% aq. HBr to give III-2HBr (RS = H) which was alkylated with a p-methoxyphenyl halide to give III (RS = 4-MeOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CH<sub>2</sub>) (IV). I are antihistamines. In mice IV inhibited compd. 48/80-induced lethality with an ED<sub>50</sub> of 0.08 mg/kg s.c. or orally.

IT 90518-67-5P 90518-71-1P 90518-72-2P

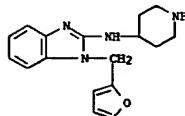
90518-77-7P 90519-18-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

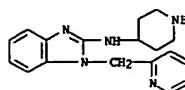
(prepn. and condensation reactions of)

RN 90518-67-5 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-(2-furanyl methyl)-N-4-piperidinyl- (9CI) (CA INDEX NAME)



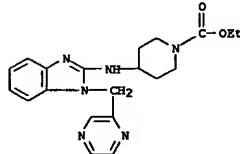
RN 90518-71-1 CAPLUS  
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●3 HBr

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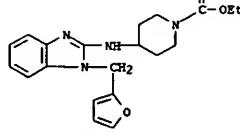
L4 ANSWER 40 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



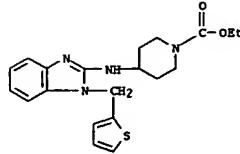
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 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and deoxycarbonylation of)

RN 90518-53-9 CAPLUS

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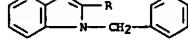
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RN 90518-61-9 CAPLUS  
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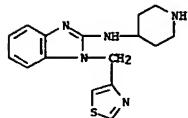
6/02/2003

L4 ANSWER 40 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



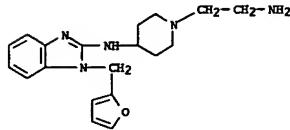
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RN 90518-77-7 CAPLUS  
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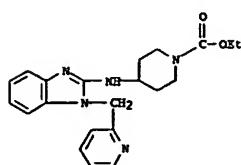
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RN 90519-18-9 CAPLUS  
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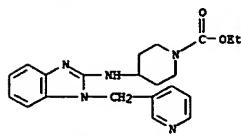


IT 99158-25-5P  
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 (prepn. and conversion of, to dihydrobromide)  
 RN 99158-25-5 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[[1-(pyrazinyl methyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

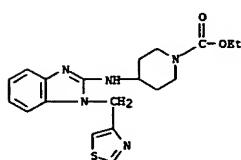
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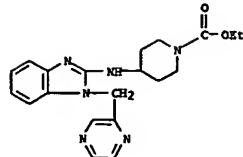
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 CN 1-Piperidinocarboxylic acid, 4-[(1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 90518-64-2 CAPIUS  
 CN 1-Piperidinocarboxylic acid, 4-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

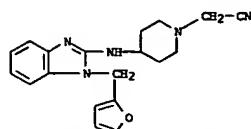


RN 99780-67-3 CAPIUS  
 CN 1-Piperidinocarboxylic acid, 4-[(1-(pyrazinylmethyl)-1H-benzimidazol-2-yl)amino]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

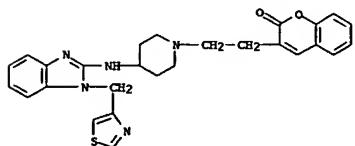


•2 HCl

IT 99139-17-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and hydroxylation of)  
 RN 99139-17-0 CAPIUS  
 CN 1-Piperidinocetonitrile, 4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)

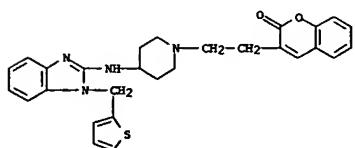


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 99780-06-0P 99780-08-2P 99780-09-3P  
 99780-12-8P 99780-42-4P 99780-56-0P  
 99780-58-2P 99780-60-6P 99780-61-7P  
 99780-63-9P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TBU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of, as antihistaminic)  
 RN 99780-02-6 CAPIUS  
 CN 2H-1-Benzopyran-2-one, 3-[2-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl-, dihydrochloride (9CI) (CA INDEX NAME)

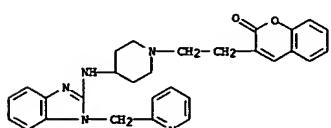


•2 HCl

RN 99780-04-8 CAPIUS  
 CN 2H-1-Benzopyran-2-one, 3-[2-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl (9CI) (CA INDEX NAME)



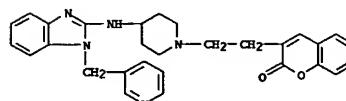
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 CN 2H-1-Benzopyran-2-one, 3-[2-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl, dihydrochloride (9CI) (CA INDEX NAME)



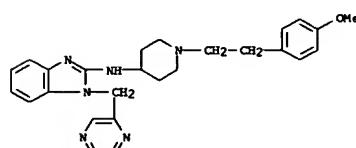
•2 HCl

RN 99780-06-0 CAPIUS  
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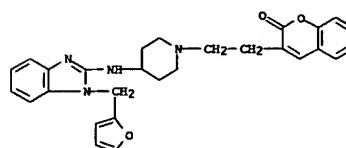
Habte



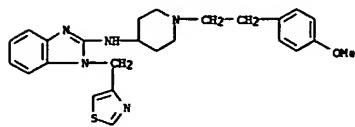
RN 99780-08-2 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-[(1-(2-(4-methoxyphenyl)ethyl)-1H-benzimidazol-2-yl)amino]-1-(pyrazinylmethyl)- (9CI) (CA INDEX NAME)



RN 99780-09-3 CAPIUS  
 CN 2H-1-Benzopyran-2-one, 3-[2-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl (9CI) (CA INDEX NAME)

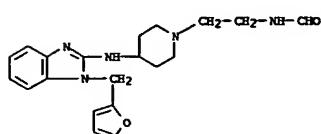


RN 99780-12-8 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-[(1-(2-(4-methoxyphenyl)ethyl)-1H-benzimidazol-2-yl)amino]-1-(4-thiazolylmethyl)-, dihydrobromide (9CI) (CA INDEX NAME)

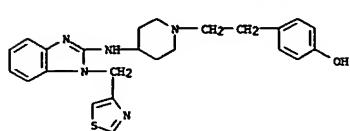


●2 HBr

RN 99780-42-4 CAPIUS  
 CN Formamide, N-[2-[(1-(2-furanyl)methyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl)- (9CI) (CA INDEX NAME)

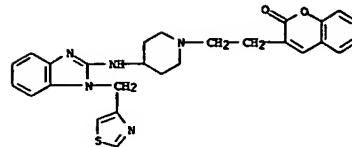


RN 99780-56-0 CAPIUS  
 CN Phenol, 4-[2-[(1-(4-thiazolyl)methyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl)-, dihydrobromoide (9CI) (CA INDEX NAME)

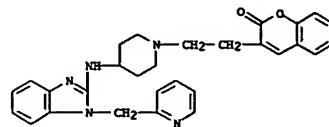


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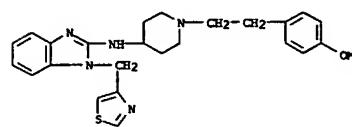
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 CN 2H-1-Benzopyran-2-one, 3-[2-[(1-(4-thiazolyl)methyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl)- (9CI) (CA INDEX NAME)



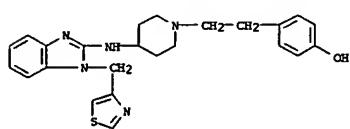
RN 99780-60-6 CAPIUS  
 CN 2H-1-Benzopyran-2-one, 3-[2-[(1-(2-pyridinyl)methyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl)- (9CI) (CA INDEX NAME)



RN 99780-61-7 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-(4-methoxyphenyl)ethyl)-4-piperidinyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)



RN 99780-63-9 CAPIUS  
 CN Phenol, 4-[2-[(1-(4-thiazolyl)methyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl)- (9CI) (CA INDEX NAME)



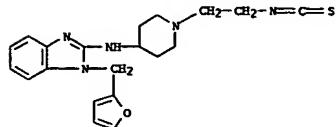
L4 ANSWER 41 OF 46 CAPIUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1985:615287 CAPIUS  
 DOCUMENT NUMBER: 103:215287  
 TITLE: Five membered heterocyclic ring containing N-(bicyclic heterocycl)-4-piperidinamines  
 INVENTOR(S): Janssen, Frans Eduard; Torremans, Joseph Leo; Ghislainus, Hens, Jozef Francis; Van Offenwert, Theophilus Theresia  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.  
 SOURCE: Eur. Pat. Appl., 76 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 145037	A2	19850619	EP 1984-201326	19840914
EP 145037	A3	19850710		
EP 145037	B1	19890118		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE US 4634704	A	19870106	US 1984-625343	19840627
CA 1247614	A1	19881227	CA 1984-462540	19840906
AT 40130	E	19890215	AT 1984-201326	19840914
IL 73118	A1	19880331	IL 1984-73118	19840930
RO 90457	B3	19861210	RO 1984-115894	19841004
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PL 146228	B1	19890131	PL 1984-249916	19841005
PRIORITY APPLN. INFO.:			US 1983-539597	19831006
			US 1984-625343	19840627
			EP 1984-201326	19840914

OTHER SOURCE(S): CASREACT 103:215287  
 GI For diagram(s), see printed CA issue.  
 AB The title compds. [1; R = H, alkyl, R1 = H, alkyl, thiophenyl, pyrazinyl, thiazolyl, alkylthiazolyl, imidazolyl, alkylimidazolyl, (un)substituted Ph, alkyl substituted by 1 or 2 of these arco. groups; R2 = H, alkyl, cycloalkyl, alkenyl, alkoxycarbonyl, (un)substituted Ph; R3 = R4(CH2)nZ1, R4(CH2)nZ2C(X1)Z2, Q1 R4 = 5-membered heterocyclicl contg. 4 to 6 N atoms, optionally fused to a C6H5 ring; X = (un)substituted CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH, CH:CHCH:N; X1 = O, S, OZCH, RSN; R5 = H, alkyl, cyano, NO2, acyl; 2 = O, S, R6 N, bond; R6 = H, alkyl; n = 0-6;

L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 ■ = O-2) were prep'd. Thus, N-(2-nitrophenyl)-2-furanmethanamine was hydrogenated and the diamine condensed with Et 4-isothiocyanato-1-piperidinecarboxylate to give thiourea deriv. II. This was cyclized to a benzimidazolid-2-amine by heating with HgO and S in EtOH, decarbonylated by heating in aq. HBr, and N-alkylated with 4-(chloromethyl)-5-methyl-1H-imidazole-HCl to give benzimidazolamine III. The antihistaminic properties of I were demonstrated in rats, where I inhibited the lethality of compd. 48/80 with ED50 0.005-1.25 mg/kg s.c. or orally, and inhibit gastric lesions in rats caused by the same agent with ED50 0.04-1.25 mg/kg s.c.

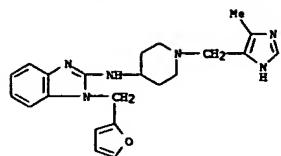
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 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and analysis of)  
 RN 99151-17-4 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-(2-furanylmethyl)-N-[1-(2-isothiocyanatoethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



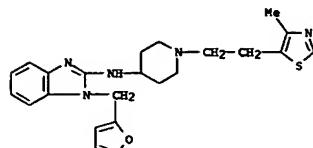
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 99138-20-2P 99138-23-5P 99138-34-8P  
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 99138-56-4P 99131-07-2P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (prepn. and antihistaminic activity of)

RN 99137-43-6 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-(2-furanylmethyl)-N-[1-[(5-methyl-1H-imidazol-4-yl)methyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

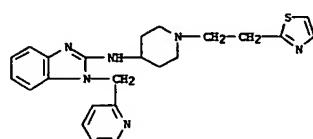
L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 99137-51-6 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-(2-furanylmethyl)-N-[1-(2-(4-methyl-5-thiazolyl)ethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



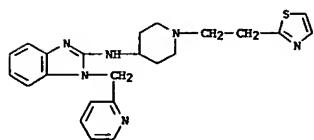
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 CN 1H-Benzimidazol-2-amine, 1-(2-pyridinylmethyl)-N-[1-(2-(2-thiazolyl)ethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 99137-72-1 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-(2-pyridinylmethyl)-N-[1-(2-(2-thiazolyl)ethyl)-4-piperidinyl]-, (2S)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

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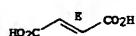
L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
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 CMF C23 H26 N6 S



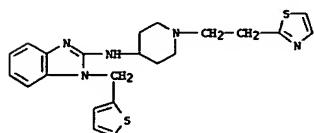
CM 2

CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.



RN 99137-73-2 CAPLUS  
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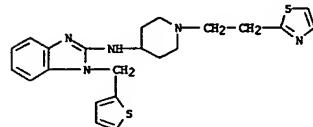


RN 99137-74-3 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-(2-thiazolyl)ethyl)-4-piperidinyl]-1-(2-thienylmethyl)-, ethanediote (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 99137-73-2  
 CMF C22 H25 N5 S2

L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)

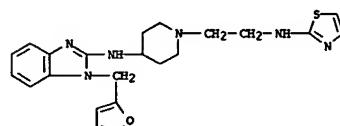


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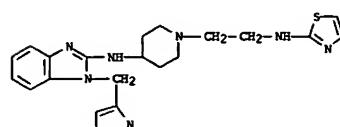
CRN 144-62-7  
 CMF C2 H2 O4



RN 99138-03-1 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-(2-furanylmethyl)-N-[1-(2-(2-thiazolylamino)ethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 99138-04-2 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-(2-thiazolylamino)ethyl)-4-piperidinyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)



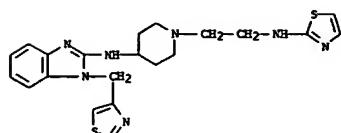
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6/02/2003

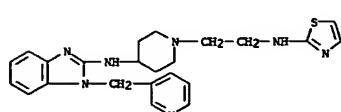
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L4 ANSWER 41 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

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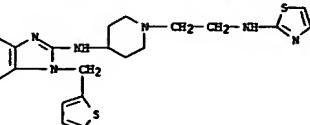
CM 2

CRN 144-62-7  
CMF C2 H2 O4RN 99138-20-2 CAPIUS  
CN 1H-Benzimidazol-2-amine, 1-(3-pyridinylmethyl)-N-[1-(2-(2-thiazolylamino)ethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)RN 99138-23-5 CAPIUS  
CN 1H-Benzimidazol-2-amine, N-[1-(2-(2-thiazolylamino)ethyl)-4-piperidinyl]-1-(2-thienylmethyl)-, (2E)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 99138-22-4  
CMF C22 H26 N6 S2

L4 ANSWER 41 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



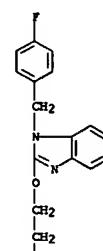
CM 2

CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

RN 99138-34-8 CAPIUS  
CN 1H-Benzimidazol-2-amine, N-[1-(2-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl)oxy]ethyl)-4-piperidinyl]-1-(2-furanyl methyl)- (9CI) (CA INDEX NAME)

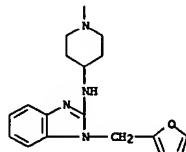
PAGE 1-A



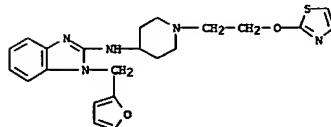
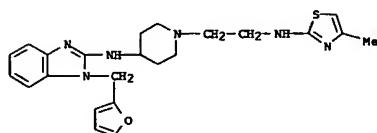
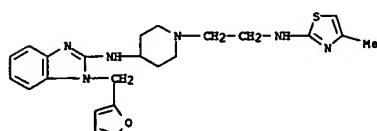
L4 ANSWER 41 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

L4 ANSWER 41 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

CN 1H-Benzimidazol-2-amine, 1-(2-furanyl methyl)-N-[1-(2-(2-thiazolyl)oxy)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 99138-36-0 CAPIUS  
CN 1H-Benzimidazol-2-amine, N-[1-(2-(2-benzothiazolyl)oxy)ethyl]-4-piperidinyl]-1-(2-furanyl methyl)- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 99138-55-3 CAPIUS  
CN 1H-Benzimidazol-2-amine, 1-(2-furanyl methyl)-N-[1-(2-[(4-methyl-2-thiazolyl)amino]ethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)RN 99138-56-4 CAPIUS  
CN 1H-Benzimidazol-2-amine, 1-(2-furanyl methyl)-N-[1-(2-[(4-methyl-2-thiazolyl)amino]ethyl)-4-piperidinyl]-, trihydrochloride (9CI) (CA INDEX NAME)

● 3 HCl

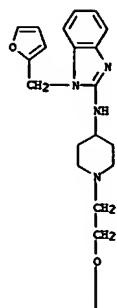
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PAGE 2-A

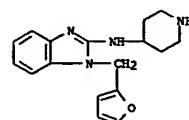
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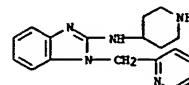
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L4 ANSWER 41 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
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 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (prepn. and cyanoalkylation of)  
 RN 90518-67-5 CAPIUS  
 1H-Benzimidazol-2-amine, 1-(2-furanyl methyl)-N-4-piperidinyl- (9CI) (CA INDEX NAME)



RN 90518-71-1 CAPIUS  
 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(2-furanyl methyl)-, trihydrobromide (9CI) (CA INDEX NAME)



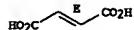
PAGE 2-A



CM 2

CRN 110-17-8  
 C14 C4 H4 O4

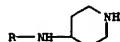
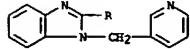
Double bond geometry as shown.



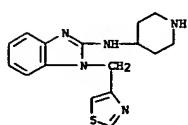
●3 HBr

RN 90518-72-2 CAPIUS  
 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(3-pyridinyl methyl)-, trihydrobromide (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



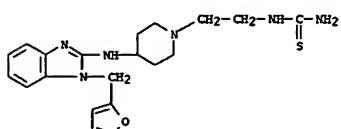
RN 90518-77-7 CAPIUS  
 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(4-thiazolyl methyl)-, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

IT 99151-22-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and cyclocondensation reaction of)

RN 99151-22-1 CAPIUS  
 Thiourea, [2-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

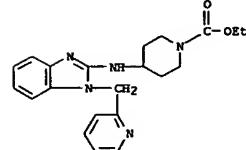


IT 90518-61-9 90518-62-0 90518-64-2  
 RL: RCT (Reactant); RACT (Reactant or reagent)

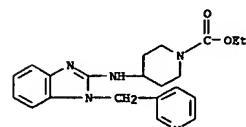
Habte

L4 ANSWER 41 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 (prepn. and decarboxylation of)

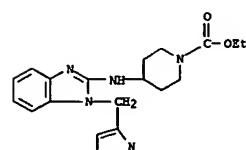
RN 90518-61-9 CAPIUS  
 1-Piperidinecarboxylic acid, 4-[(1-(2-pyridinyl methyl)-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 90518-62-0 CAPIUS  
 1-Piperidinecarboxylic acid, 4-[(1-(3-pyridinyl methyl)-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

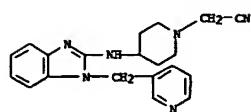


RN 90518-64-2 CAPIUS  
 1-Piperidinecarboxylic acid, 4-[(1-(4-thiazolyl methyl)-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

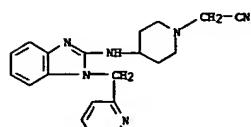


IT 90518-63-5 90518-65-7  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (prepn. and lithium aluminum hydride redn. of)  
 RN 90518-63-5 CAPIUS  
 1-Piperidinacetonitrile, 4-[(1-(3-pyridinyl methyl)-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)

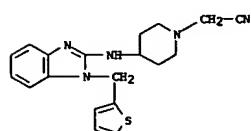
6/02/2003



RN 90518-85-7 CAPLUS  
 CN 1-Piperidineacetonitrile, 4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)

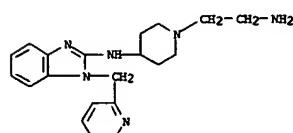


IT 90518-92-6P 99129-17-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepns. and lithium aluminum hydride redn. of)  
 RN 90518-92-6 CAPLUS  
 CN 1-Piperidineacetonitrile, 4-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)

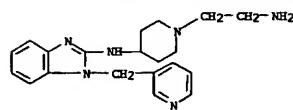


RN 99139-17-0 CAPLUS  
 CN 1-Piperidineacetonitrile, 4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)

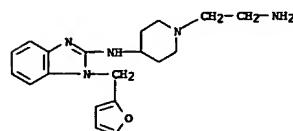
L4 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 (prepns. and N-alkylation of)  
 RN 90519-14-5 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



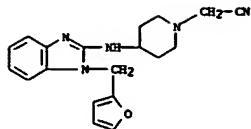
RN 90519-15-6 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



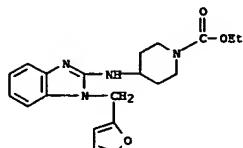
RN 90519-18-9 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(2-furanyl methyl)- (9CI) (CA INDEX NAME)



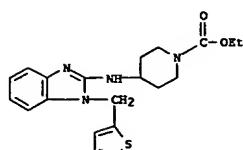
RN 90519-22-5 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



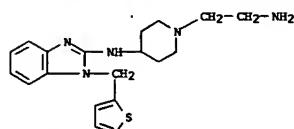
IT 90518-53-9 90518-57-3  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (prepns. and N-alkylation and decarboxylation of)  
 RN 90518-53-9 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



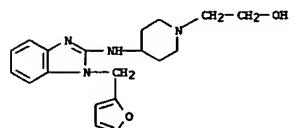
RN 90518-57-3 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[(1-(2-thienyl methyl)-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



IT 90519-14-5P 90519-15-6P 90519-18-9P  
 90519-22-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)



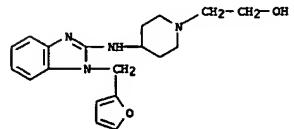
IT 90519-47-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepns. and O-alkylation of)  
 RN 90519-47-4 CAPLUS  
 CN 1-Piperidinethanol, 4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)



IT 90519-48-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepns. of)  
 RN 90519-48-5 CAPLUS  
 CN 1-Piperidinethanol, 4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)amino]-, (Z)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 90519-47-4  
 CMF C19 H24 N4 O2

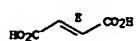


CM 2

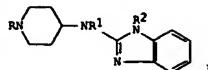
6/02/2003

L4 ANSWER 41 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 CRN 110-17-9  
 CMF C4 H4 O4

Double bond geometry as shown.



L4 ANSWER 42 OF 46 CAPIUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1985:596033 CAPIUS  
 DOCUMENT NUMBER: 103:196033  
 TITLE: New antihistaminic N-heterocyclic 4-piperidinamines.  
 1. Synthesis and antihistaminic activity of  
 N-(4-piperidinyl)-1H-benzimidazol-2-amines  
 Janssens, Frans; Torremans, Joseph; Janssen, Marcel;  
 Stokbroekx, Raymond A.; Luyckx, Marcel; Janssen, Paul  
 A. J.  
 CORPORATE SOURCE: N. V. Janssen Pharm., Res. Lab., Beerse, B-2340, Belg.  
 SOURCE: Journal of Medicinal Chemistry (1985), 28(12), 1925-33  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 103:196033  
 GI



AB The synthesis of a series of N-(4-piperidinyl)-1H-benzimidazol-2-amines I [R = (un)substituted alkyl, cycloalkyl, (un)substituted CH<sub>2</sub>CH<sub>2</sub>Ph; R1 = H, alkyl, cyclopropyl; R2 = H, alkyl, (un)substituted benzyl] (87 compds.) and the preliminary evaluation of their in vitro and in vivo antihistaminic activity are described. Cyclodesulfurization of (2-aminophenyl)thioureas with Hg<sup>2+</sup> resulted in 2-aminobenzimidazole intermediates, which were monoalkylated on the endo-nitrogen atom. After deprotection of the piperidin nitrogen atom with aq. HBr, I were obtained by alkylation, reductive amination, or oxirane ring-opening reactions. The in vivo antihistaminic activity was evaluated by the compd. 48/80-induced lethality test in rats and the histamine-induced lethality test in guinea pigs after oral and/or s.c. administration. The duration of action, for a selected no. of compds., was studied in the guinea pig. The phenylethyl derivs. showed the most potent antihistamine properties after oral administration in both animal species.

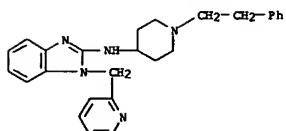
IT 73736-18-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and antihistamine activity of)

RN 73736-18-2 CAPIUS

CN 1H-Benzimidazol-2-amine, N-[1-(2-phenylethyl)-4-piperidinyl]-1-(2-piperidinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 42 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

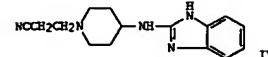
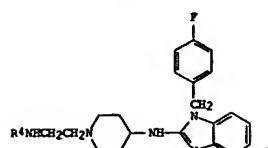
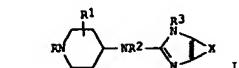


L4 ANSWER 43 OF 46 CAPIUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1984:423473 CAPIUS  
 DOCUMENT NUMBER: 101:23473  
 TITLE: N-(Bicyclic heterocyclyl)-4-piperidinamines  
 INVENTOR(S): Janssens, Frans Eduard; Torremans, Joseph Leo  
 Ghislainus, Hens, Jozef Francis; Van Offenwert,  
 Theophilus Theresia J. M.  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.  
 SOURCE: Eur. Pat. Appl., 87 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 99139	A2	19840125	EP 1983-200832	19830608
EP 99139	A3	19840222		
EP 99139	B1	19870211		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4556660	A	19851203	US 1983-487774	19830422
IN 156065	A	19850504	IN 1983-CA599	19830512
CA 1266267	A1	19900227	CA 1983-429869	19830607
AT 25459	E	19870215	AT 1983-200832	19830608
SU 1297728	A3	19870315	SU 1983-3608869	19830627
FI 8302521	A	19840113	FI 1983-2521	19830711
FI 78480	B	19890428		
FI 78480	C	19890810		
DE 8303185	A	19840113	DE 1983-3185	19830711
NO 8302524	A	19840113	NO 1983-2524	19830711
NO 160850	B	19890227		
NO 160850	C	19890607		
JP 59021680	A2	19840203	JP 1983-124900	19830711
HU 32108	O	19840628	HU 1983-2471	19830711
HU 203550	B	19910828		
AU 8316728	A1	19850117	AU 1983-16728	19830711
AU 563363	B2	19870709		
ZA 8305044	A	19850227	ZA 1983-5044	19830711
RO 87533	B3	19851031	RO 1983-111600	19830711
ES 524029	A1	19851116	ES 1983-524029	19830711
IL 69198	A1	19870130	IL 1983-69198	19830711
PL 147092	B1	19890429	PL 1983-242970	19830712
US 4760074	A	19880726	US 1985-800587	19851121
US 4820822	A	19890411	US 1987-115722	19871102
US 33833	E	19920225	US 1990-619558	19901129
PRIORITY APPLN. INFO.:			US 1982-397626	19820742
			US 1983-487774	19830422
			EP 1983-200832	19830608
			US 1985-800587	19851121
			US 1987-115722	19871102

OTHER SOURCE(S): CASREACT 101:23473  
 GI



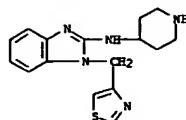
AB About 100 antihistaminic title compds. I [R = substituted piperidinyl, substituted alkyl; R1 = H, alkyl; R2 = H, alkyl, cycloalkyl, acyl, aralkyl; R3 = H, (un)substituted alkyl, cycloalkyl, aryl; X = CH:CHCH:CH, N:CH:CH, CH:NCH:CH, CH:CN:CH, CH:CHCH:N] were prep'd. Thus N-piperidinylbenzimidazolamine II (R4 = 2-pyrimidinyl) (III) was prep'd. from 2-chloropyrimidine and II (R4 = H), which was prep'd. from N-piperidinylbenzimidazolamine IV. III had an ED50 of 0.63 mg/kg s.c. against stomach lesions induced by vasoactive amines in rats.

IT 90519-42-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
(condensation of, with chloroethylcarbamate)

RN 90519-42-9 CAPLUS

CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(4-thiazolylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)



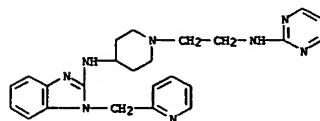
• 3 HBr

IT 90517-15-0P 90517-17-2P 90517-18-3P  
90517-19-4P 90517-20-7P 90517-21-6P  
90517-44-5P 90517-46-7P 90517-72-9P  
90517-73-0P 90517-78-5P 90517-82-1P  
90517-83-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and antihistamine activity of)

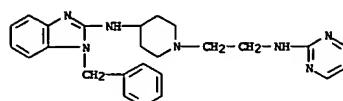
RN 90517-15-0 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-(2-pyridinylmethyl)-N-[1-(2-(2-pyrimidinylamino)ethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



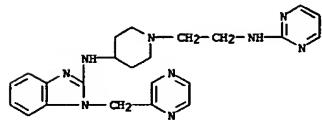
RN 90517-17-2 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-(2-(2-pyrimidinylamino)ethyl)-4-piperidinyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



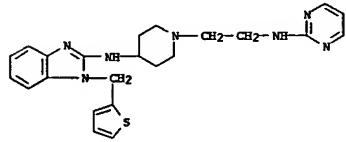
RN 90517-18-3 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-(2-pyrimidinylmethyl)-N-[1-(2-(2-pyrimidinylamino)ethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



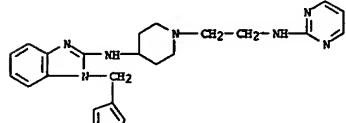
RN 90517-19-4 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-(2-(2-pyrimidinylamino)ethyl)-4-piperidinyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



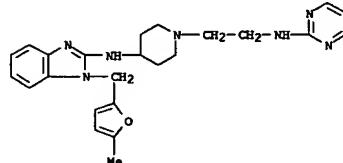
RN 90517-20-7 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-(3-furanyl methyl)-N-[1-(2-(2-pyrimidinylamino)ethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



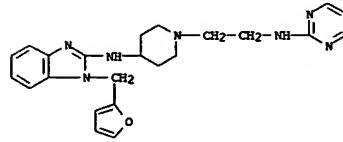
RN 90517-21-8 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-[(5-methyl-2-furanyl)methyl]-N-[1-(2-(2-pyrimidinylamino)ethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



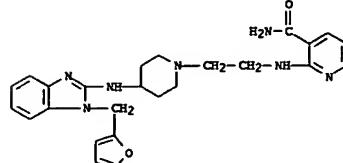
RN 90517-44-5 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-(2-furanyl methyl)-N-[1-(2-(2-pyrimidinylamino)ethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



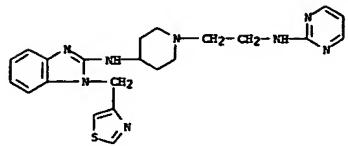
RN 90517-46-7 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(2-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)amino]ethyl)amino]- (9CI) (CA INDEX NAME)

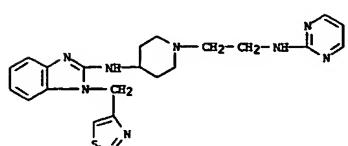


RN 90517-72-9 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-(2-(2-pyrimidinylamino)ethyl)-4-piperidinyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)



RN 90517-73-0 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-(2-pyrimidinylamino)ethyl)-4-piperidinyl]-1-(4-thiazolylmethyl)-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)  
 CM 1  
 CRN 90517-72-9  
 CMF C22 H26 N8 S

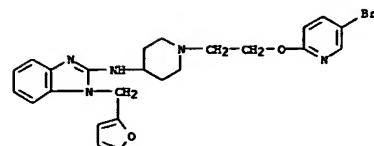


CM 2  
 CRN 110-17-8  
 CMF C4 H4 O4

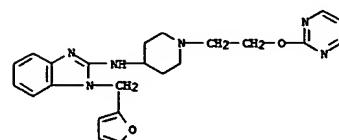
Double bond geometry as shown.



RN 90517-78-5 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-[2-[(5-bromo-2-pyridinyl)oxy]ethyl]-4-piperidinyl]-1-(2-furanyl methyl)- (9CI) (CA INDEX NAME)

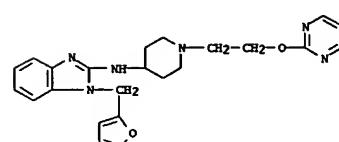


RN 90517-82-1 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-(2-furanyl methyl)-N-[1-(2-pyrimidinyl)ethyl]-4-piperidinyl- (9CI) (CA INDEX NAME)



RN 90517-83-2 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-(2-furanyl methyl)-N-[1-(2-(2-pyrimidinyl)oxy)ethyl]-4-piperidinyl-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1  
 CRN 90517-82-1  
 CMF C23 H26 N6 O2

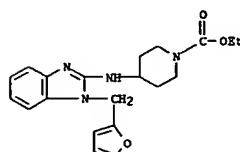


CM 2  
 CRN 110-17-8  
 CMF C4 H4 O4

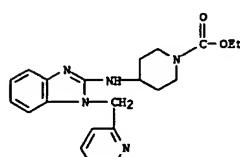
Double bond geometry as shown.



IT 90518-53-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prep. and deethoxycarbonylation of)  
 RN 90518-53-9 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[[1-(2-furanyl methyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



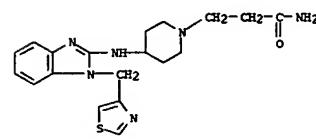
IT 90518-61-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prep. and deethoxycarbonylation of)  
 RN 90518-61-9 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[[1-(2-pyridinyl methyl)-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



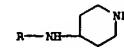
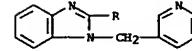
IT 90519-43-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prep. and hydrolysis of)  
 RN 90519-43-0 CAPLUS

Habte

1-Piperidinopropanamide, 4-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)

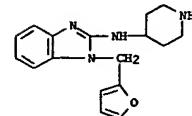


IT 90518-72-2P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prep. and reaction of, with chloroacetonitrile)  
 RN 90518-72-2 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(3-pyridinylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)



• 3 HBr

IT 90518-67-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prep. and reaction of, with oxopiperidinecarboxylate)  
 RN 90518-67-5 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-(2-furanyl methyl)-N-4-piperidinyl- (9CI) (CA INDEX NAME)



L4 ANSWER 43 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

IT 90517-49-9P 90518-10-0P 90518-57-3P

90518-58-4P 90518-59-5P 90518-62-0P

90518-63-1P 90518-64-2P 90518-65-3P

90518-69-6P 90518-71-1P 90518-77-7P

90518-78-8P 90518-83-5P 90518-85-7P

90518-87-9P 90518-92-6P 90518-94-8P

90518-95-9P 90518-06-5P 90518-14-5P

90518-15-6P 90518-17-0P 90518-18-9P

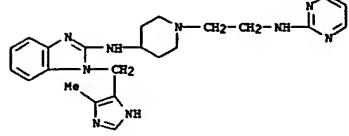
90518-22-5P 90518-23-6P 90518-24-7P

90518-35-0P 90518-44-1P 90518-46-5P

RN: SPN (Synthetic preparation); PREP (Preparation)  
(prepa. of)

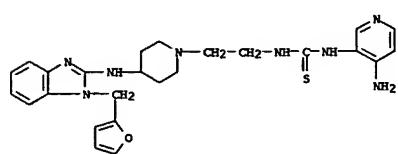
RN 90517-48-9 CAPIUS

CN 1H-Benzimidazol-2-amine, 1-[(5-methyl-1H-imidazol-4-yl)methyl]-N-[1-(2-(2-Pyrimidinylamino)ethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 90518-10-8 CAPIUS

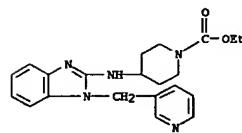
CN Thiourea, N-(4-amino-3-pyridinyl)-N'-(2-[4-((1-(2-furanyl methyl)-1H-benzimidazol-2-yl)amino)ethyl]- (9CI) (CA INDEX NAME)



RN 90518-57-3 CAPIUS

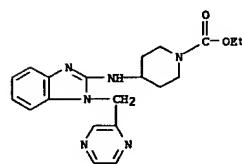
CN 1-Piperidinecarboxylic acid, 4-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 43 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 90518-63-1 CAPIUS

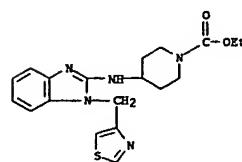
CN 1-Piperidinecarboxylic acid, 4-[(1-(pyrazinylmethyl)-1H-benzimidazol-2-yl)amino]-, ethyl ester, dihydrobromide (9CI) (CA INDEX NAME)



●2 HBr

RN 90518-64-2 CAPIUS

CN 1-Piperidinecarboxylic acid, 4-[(1-(4-thiazolylmethyl)-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

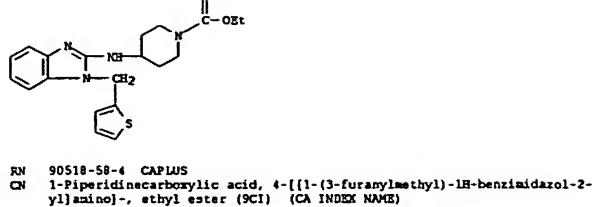


RN 90518-65-3 CAPIUS

CN 1-Piperidinecarboxylic acid, 4-[(1-[(5-methyl-1H-imidazol-4-yl)methyl]-1H-benzimidazol-2-yl)amino]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

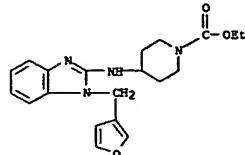
L4 ANSWER 43 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

RN 90518-58-4 CAPIUS



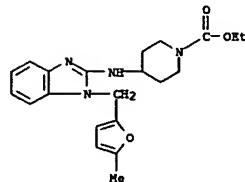
RN 90518-58-4 CAPIUS

CN 1-Piperidinecarboxylic acid, 4-[(1-(3-furanyl methyl)-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 90518-59-5 CAPIUS

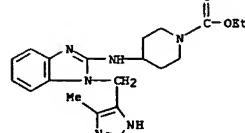
CN 1-Piperidinecarboxylic acid, 4-[(1-(5-methyl-2-furanyl methyl)-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 90518-62-0 CAPIUS

CN 1-Piperidinecarboxylic acid, 4-[(1-(3-pyridinyl methyl)-1H-benzimidazol-2-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

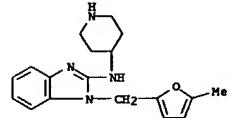
L4 ANSWER 43 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



●2 HCl

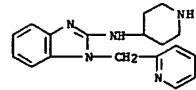
RN 90518-69-6 CAPIUS

CN 1H-Benzimidazol-2-amine, 1-[(5-methyl-2-furanyl methyl)-N-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 90518-71-1 CAPIUS

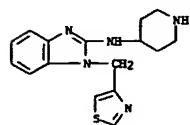
CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(2-pyridinylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)



●3 HBr

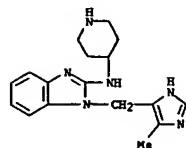
RN 90518-77-7 CAPIUS

CN 1H-Benzimidazol-2-amine, N-4-piperidinyl-1-(4-thiazolylmethyl)-, dihydrobromide (9CI) (CA INDEX NAME)



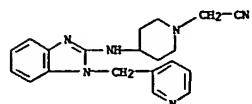
●2 HBr

RN 90518-78-8 CAPLUS  
 CN 1H-Benzimidazol-2-amine, 1-[(5-methyl-1H-imidazol-4-yl)methyl]-N-4-piperidinyl-, trihydrobromide (9CI) (CA INDEX NAME)

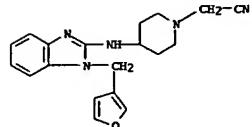


●3 HBr

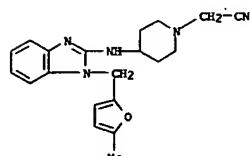
RN 90518-83-5 CAPLUS  
 CN 1-Piperidineacetonitrile, 4-[(1-(3-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)



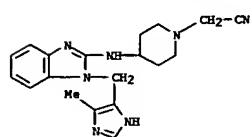
RN 90518-85-7 CAPLUS  
 CN 1-Piperidineacetonitrile, 4-[(1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)



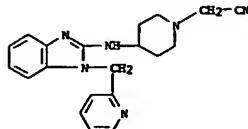
RN 90518-95-9 CAPLUS  
 CN 1-Piperidineacetonitrile, 4-[(1-[(5-methyl-2-furanyl)methyl]-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)



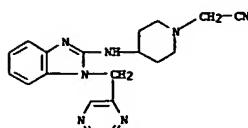
RN 90519-06-5 CAPLUS  
 CN 1-Piperidineacetonitrile, 4-[(1-[(5-methyl-1H-imidazol-4-yl)methyl]-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)



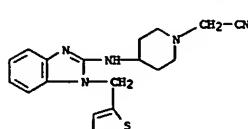
RN 90519-14-5 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



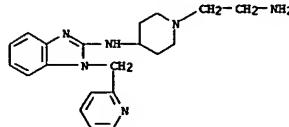
RN 90518-87-9 CAPLUS  
 CN 1-Piperidineacetonitrile, 4-[(1-(pyrazinylmethyl)-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)



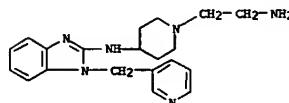
RN 90518-92-6 CAPLUS  
 CN 1-Piperidineacetonitrile, 4-[(1-(2-thienylmethyl)-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)



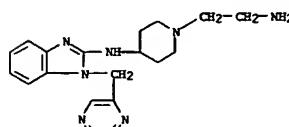
RN 90518-94-8 CAPLUS  
 CN 1-Piperidineacetonitrile, 4-[(1-(3-furanyl)-1H-benzimidazol-2-yl)amino]- (9CI) (CA INDEX NAME)



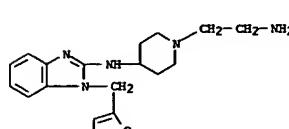
RN 90519-15-6 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 90519-17-8 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(pyrazinylmethyl)- (9CI) (CA INDEX NAME)

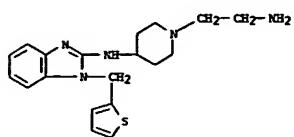


RN 90519-18-9 CAPLUS  
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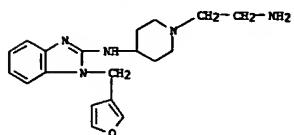


RN 90519-22-5 CAPLUS  
 6/02/2003

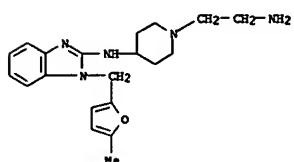
L4 ANSWER 43 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



RN 90519-23-6 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(3-furanyl methyl)- (9CI) (CA INDEX NAME)



RN 90519-24-7 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(5-methyl-2-furanyl)methyl]- (9CI) (CA INDEX NAME)



RN 90519-35-0 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-[(5-methyl-1H-imidazol-4-yl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 43 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)

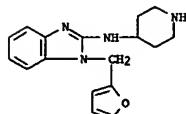
CRN 110-17-8  
 CMF C4 H4 O4

Double bond geometry as shown.

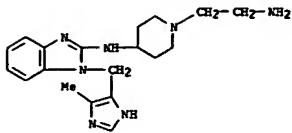


IT 90519-67-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with oxirane)

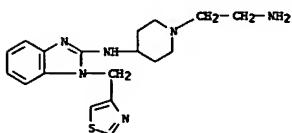
RN 90519-67-5 CAPIUS  
 CN 1H-Benzimidazol-2-amine, 1-(2-furanyl methyl)-N-4-piperidinyl- (9CI) (CA INDEX NAME)



L4 ANSWER 43 OF 46 CAPIUS COPYRIGHT 2003 ACS (Continued)



RN 90519-44-1 CAPIUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-aminoethyl)-4-piperidinyl]-1-(4-thiazolylmethyl)- (9CI) (CA INDEX NAME)

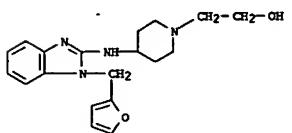


• 3 HBr

RN 90519-48-5 CAPIUS  
 1-Piperidinethanol, 4-[(1-(2-furanyl methyl)-1H-benzimidazol-2-yl)amino]-, (2E)-2-butenedioate (2:3) (salt) (9CI) (CA INDEX NAME)

CH 1

CRN 90519-47-4  
 CMF C19 H24 N4 O2



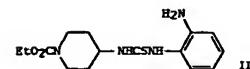
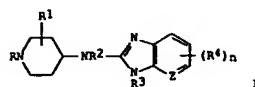
CH 2

L4 ANSWER 44 OF 46 CAPIUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1981:30579 CAPIUS  
 DOCUMENT NUMBER: 94:30579  
 TITLE: N-Heterocycl-4-piperidinamines  
 INVENTOR(S): Janssens, Frans; Luyckx, Marcel; Stokbroska, Raymond; Torremans, Joseph  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.  
 SOURCE: U.S., 27 pp. Cont.-in-part of U.S. Ser. No. 892,534, abandoned.  
 CODEN: USDXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4219559	A	19800826	US 1979-2276	19790110
CA 1140119	A1	19830125	CA 1979-323763	19790319
AU 7945296	A1	19791018	AU 1979-45296	19790321
AU 523352	B2	19820722		
DE 7901298	A	19791004	DK 1979-1298	19790329
DE 169325	B1	19940110		
EP 5318	A1	19791114	EP 1979-300525	19790330
EP 5318	B1	19820106		
R: BE, CH, DE, FR, GB, IT, LU, NL, SE				
RO 79320	P	19820817	RO 1979-97082	19790330
NO 7901097	A	19791004	NO 1979-1097	19790402
NO 154058	B	19860401		
NO 154058	C	19860709		
FI 7901084	A	19791004	FI 1979-1084	19790402
FI 64801	B	19830930		
FI 64801	C	19840110		
JP 54151982	A2	19791129	JP 1979-38447	19790402
JP 01001477	B4	19890111		
ES 479206	A1	19791216	ES 1979-479206	19780402
ZA 7901557	A	19800126	ZA 1979-1557	19780402
IL 56992	A1	19830331	IL 1979-56992	19780402
AT 7902425	A	19830715	AT 1979-2425	19790402
AT 373887	B	19840227		
CS 256358	B2	19880415	CS 1979-2227	19780402
CS 256380	B4	19880415	CS 1984-3451	19780402
PL 123380	B1	19821030	PL 1979-214648	19790403
HU 25906	O	19830829	HU 1979-JA841	19790403
HU 182965	B	19840328		
SU 1056902	A3	19831123	SU 1979-2747000	19790403
AT 0204538	A	19830715	AT 1982-4538	19821214
AT 373888	B	19840227		
DK 8300031	A	19830224	DK 1983-831	19830224
DK 171841	B1	19970630		
ES 524224	A3	19841216	ES 1983-524224	19830719
NO 8402563	A	19791004	NO 1984-2563	19840625
NO 154090	B	19860407		
NO 154090	C	19860716		
ES 542804	A3	19851216	ES 1985-542804	19850503
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PRIORITY APPLN. INFO.:				
			US 1978-892534	19780403
			US 1979-2276	19790110
			US 1979-2279	19790110

L4 ANSWER 44 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)  
 DK 1979-1298 19790329  
 AT 1979-2425 19790402  
 GI



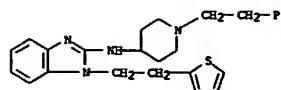
AB 1-(4-Piperidinyl)-3-(2-aminophenyl)thioureas and heteroarom. analogs underwent cyclocondensation to give title compds. I (R = alkyl, halo-, hydroxy-, cyano-, isothiocyanato-, alkoxyl-, aryl-, heteroaryl-, aryloxy-, (heteroaryl)oxy-, arylthio-, (heteroaryl)thio-, arylsulfonyl-, (heteroaryl)sulfonyl-, or aminocarbonyl, alkenyl, aryl- or (heteroaryl)cycloalkyl, cycloalkyl, aryl- or (heteroaryl)cycloalkyl, a 1H-benzimidazol-2-yl group, R5CmH2n (m = 1-6; R5 = a 4,5-dihydro-5-oxo-1H-tetrazol-1-yl group, 2,3-dihydro-1,4-benzodioxin-6-yl, 2,3-dihydro-2-oxo-1H-benzimidazol-1-yl, 2,3-dihydro-3-oxo-4H-1,4-benzodiazin-4-yl, (10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)ethyl, 4-morpholinyl, 1-piperidinyl, 1-pyrazolidinyl, mono- or disubstituted amino); R1 = H, alkyl; R2 = H, alkyl, cycloalkyl, aryl- or (heteroaryl)alkyl, alkanoyl; R3 = H, alkyl, aryl- or (heteroaryl)cycloalkyl, aryl- or (heteroaryl)alkyl, diaryl- or bis(heteroaryl)alkyl; 2 = CH, N; n = 0, 1, 2; R4 = halo, alkyl, alkoxy, CF3, useful as antihistaminics (no data). A mixt. of thiourea II and MeI in EtOH was refluxed 8 h to yield I (R = CO2Et, 2 = CH, n = 0, R1 = R2 = R3 = H), the latter was converted to the resp. I (R = H), and the product was N-alkylated to give I (R = PhCH2CH2, 2 = CH, n = 0, R1 = R2 = R3 = H).  
 73736-46-6

IT RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

RN 73736-46-6 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-(2-phenylethyl)-4-piperidinyl]-1-[2-(2-thienyl)ethyl]- (9CI) (CA INDEX NAME)

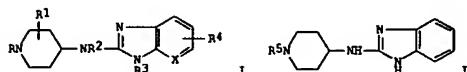
L4 ANSWER 44 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 45 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1980:215439 CAPLUS  
 DOCUMENT NUMBER: 92:215439  
 TITLE: N-Heterocycl-4-piperidinamines and pharmaceutical compositions comprising them  
 INVENTOR(S): Janssens, Frans; Stokbroekx, Raymond; Torremans, Joseph; Luyckx, Marcel  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
 SOURCE: Eur. Pat. Appl., 100 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 5318	A1	19791114	EP 1979-300525	19790330
EP 5318	B1	19820106		
R: BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4219559	A	19800826	US 1979-2276	19790110
CS 256358	B2	19880415	CS 1979-2227	19790402
CS 256380	B4	19880415	CS 1984-3451	19790402
PRIORITY APPLN. INFO.:				
		US 1978-092534	19780403	
		US 1979-2276	19790110	
		US 1979-2279	19790110	

GI



AB Antihistaminic (no data) piperidinylaminoimidazoles I (X = CH, N; R = optionally substituted alkyl, alkenyl, aralkyl, 1-alkyl-2-benzimidazolyl; R1 = H, alkyl; R2 = H, alkyl, cycloalkyl, aralkyl, alkanoyl; R3 = H, alkyl, aryl, cycloalkyl, aralkyl; R4 = halogen, alkyl, alkoxy, CF3) were prep'd. Thus, II (R5 = CH2CH2OPh) was obtained in 70% yield by treating II (R5 = H) with BrCH2CH2OPh.

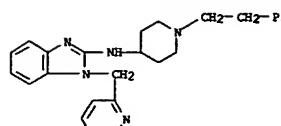
IT 73736-18-2 73736-46-6

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

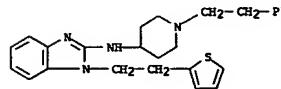
RN 73736-18-2 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[1-(2-phenylethyl)-4-piperidinyl]-1-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 45 OF 46 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 73736-46-6 CAPLUS  
 CN 1H-Benzimidazol-2-amine, N-[1-(2-phenylethyl)-4-piperidinyl]-1-[2-(2-thienyl)ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 46 OF 46 CAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1966:438549 CAPLUS  
 DOCUMENT NUMBER: 65:38549  
 ORIGINAL REFERENCE NO.: 65:7183g-h, 7184a-b, 7185a-b, 7186a-f  
 TITLE: Benzimidazoles  
 PATENT ASSIGNEE(S): Schering A.-G.  
 SOURCE: 45 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Unavailable  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BR 667333	BR	19660124	BR	19640723
FR 1440565	FR			
NL 6505973	NL			

GI For diagram(s), see printed CA Issue.  
 AB Title compds. of the formula I possess antiallergenic and antiinflammatory properties. Thus, 1-(4-chlorobenzyl)-2-chloromethylbenzimidazole-HCl (II,HCl) is treated with NaHCO<sub>3</sub> in H<sub>2</sub>O to yield the base II, m. 99-103.degree. (dil. MeOH). To 54.8 g. IV in 280 ml. CGH6 is added 40.25 g. EtOCH<sub>2</sub>CH<sub>2</sub>OB (III) in 50 ml. CGH6, the mixt. kept 2 days under anhyd. conditions, washed to neutrality with H<sub>2</sub>O, and dried over K<sub>2</sub>CO<sub>3</sub> and the solvent evapd. at <30.degree. to obtain 50 g. 1-(p-chlorobenzyl)-2-[N-ethyl-N-(2-hydroxymethyl)amino]methylbenzimidazole (IV), m. 101-3.degree., IV,HCl m. 154-6.degree. (iso-PrOH). To 45 g. II in 240 ml. CGH6 is added dropwise a soln. of 37.2 g. N-methylpiperazine (V) in 40 ml. CGH6. After the work-up for IV, the crude base is crystd. from petr. ether to give 40 g. 1-(p-chlorobenzyl)-2-[1-(methyl-4-piperazinyl)methylbenzimidazole, m. 99-100.5.degree., HCl salt m. 225-6.degree.. To a soln. of 40 g. II in 300 ml. CGH6 is added 43 g. N-(2-hydroxymethyl)piperazine (VI) in 50 ml. CGH6 with the temp. kept at 25.degree. by cooling the mixt. in an ice water bath when necessary. The mixt. is kept overnight, refluxed 2 hrs., cooled, washed to neutrality with H<sub>2</sub>O, and extd. with N HCl. The acid ext. is washed with Et<sub>2</sub>O, decolorized with C, and made alk. with Na<sub>2</sub>CO<sub>3</sub>. The mixt. is extd. with CHCl<sub>3</sub> and dried over Na<sub>2</sub>SO<sub>4</sub>, the solvent removed <40.degree., and the residue treated with C in CGH6 to give 40 g. 1-(p-chlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl)methylbenzimidazole, m. 144-5.degree.. II (15 g.) and 7 g. allylamine under similar conditions give 1-(p-chlorobenzyl)-2-allylaminoethylbenzimidazole which with N HCl gives the salt, m. 198-9.degree.. Similarly, II and N-(beta.-aminopropyl)morpholine give 1-(p-chlorobenzyl)-2-morpholinoethylaminomethylbenzimidazole-HCl, m. 180-2.degree. (base is an oil); II and .beta.-amino-.beta.-hydroxydiethyl ether give an oily base which is converted to the HCl salt, m. 199-201.degree.. A mixt. of 25 g. 2,5-dichloro-1-nitrobenzene and 36.9 g. 4-chlorobenzyllamine is heated to 135.degree. in an oil bath (the reaction becomes exothermic and the temp. is not allowed to exceed 145.degree.) to yield after 2 hrs. 23 g. 1-(4-chlorobenzylamino)-2-nitro-4-chlorobenzene (VII), m. 121-2.degree. is obtained. Redn. of 2 g. VII in 250 ml. dioxane with H in the presence of 2 g. Raney Ni at ambient temp. and 115 atm. yields 19 g. 1-(4-chlorobenzylamino)-2-amino-4-chlorobenzene (VIII), m. 138-41.degree.. To 10 g. VIII in 90 ml. abs. CHCl<sub>3</sub> is added 6.1 g. chloracetamino ether-HCl, (IX,HCl) in 35 ml. CGH3, the mixt. stirred 30 min. at room temp. and 2 hrs. at 40.degree. and neutralized with NaHCO<sub>3</sub>, the CHCl<sub>3</sub>

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 soln. washed with H<sub>2</sub>O and dried over Na<sub>2</sub>SO<sub>4</sub>, and the solvent removed to yield 10 g. 1-(p-chlorobenzyl)-2-chloromethyl-5-chlorobenzimidazole (X), m. 134-6.degree.. The reaction of X with VI yields 10 g. 1-(p-chlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl)methylbenzimidazole, m. 137-8.degree.. A soln. of 75.8 g. 4-chlorobenzylcyanamide is treated in 700 ml. MeOH satd. with K<sub>2</sub>H<sub>3</sub> and H in the presence of 3 g. Raney Ni at 70.degree. and initial temp. of 110.degree. to give 4-chlorophenylethylamine, (XI), b14 124-9.degree.. A mixt. of 49 g. XI, 49.5 g. o-CIC<sub>6</sub>H<sub>4</sub>NO<sub>2</sub>, and 47.1 g. powdered K<sub>2</sub>CO<sub>3</sub> is heated to 150-60.degree. in an oil bath 3 hrs., cooled, and dissolved in a mixt. of CHCl<sub>3</sub> and H<sub>2</sub>O, the CHCl<sub>3</sub> soln. washed with dil. HCl, H<sub>2</sub>O, dried, and the solvent removed. The residue is crystd. from MeOH to yield 69 g. 1-p-chlorophenylethyl-2-nitrobenzene (XII). Hydrogenation of XII in MeOH over Raney Ni yields 63 g. 1-(4-chlorophenylethyl)-2-aminobenzene (XIII) as a viscous oil. Condensation of XIII with IX yields 70 g. 1-(4-chlorophenylethyl)-2-chloromethylbenzimidazole (XIV), m. 104-7.degree., which is allowed to react with VI to yield 1-[2-(4-chlorophenylethyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl)methylbenzimidazole, m. 123-4.degree.. To 23.3 g. II in 160 ml. dioxane is added 19.4 g. EtOCH<sub>2</sub>CH<sub>2</sub>OB and the mixt. kept overnight and worked up to yield 12.5 g. product, m. 111-13.degree., which is treated with an equiv. amt. N H<sub>2</sub>SO<sub>4</sub> to yield 10 g. 1-(4-chlorobenzyl)-2-[bis(2-hydroxymethyl)amino]methylbenzimidazole-H<sub>2</sub>SO<sub>4</sub>, m. 150-2.degree. (MeOH). To a cold mixt. of 12.8 g. formylpiperazine, 6.4 g. Na<sub>2</sub>CO<sub>3</sub> and 150 ml. 95% EtOH is added 33.1 g. II, the mixt. refluxed 2 hrs., cooled, and filtered, the solvent removed, and the oily residue treated with 32 ml. concd. HCl and 150 ml. H<sub>2</sub>O on a steam bath 10 hrs. The major portion of the HCl is removed in vacuo, H<sub>2</sub>O added with stirring to the residue, and the base pptd. with dil. NaOH soln. The product is taken into CHCl<sub>3</sub>, washed with K<sub>2</sub>CO<sub>3</sub>, and the solvent removed to yield 20 g. 1-(4-chlorobenzyl)-2-piperazinylmethylbenzimidazole, m. 140-2.degree. (EtOAc). A soln. of 20.8 g. 4-fluorobenzaldehyde (XV) in 45 ml. MeOH kept cold in an ice bath. The ppt. is isolated and combined with a second portion obtained on concn. of the mother liquor to yield 30 g. N-(4-fluorobenzyl)-o-phenylenediamine (XVII), m. 73-4.degree. (cyclohexane). Redn. of 37.5 g. XVII in 500 ml. dioxane with H in the presence of 4 g. Raney Ni at 130 atm. pressure and at 70-5.degree. followed by filtration and evapn. of solvent <35.degree. gives 37.5 g. N-(4-fluorobenzyl)-o-phenylenediamine (XVIII), m. 80-1.degree.. A soln. of 37.5 g. XVIII in 208 ml. anhyd. CHCl<sub>3</sub> is added dropwise to 28.6 g. IX,HCl in 164 ml. anhyd. CHCl<sub>3</sub>, the mixt. kept at room temp. with cooling with H<sub>2</sub>O, stirred 30 min. and then kept at 40.degree. 2 hrs. The mixt. is worked up to yield 46 g. 1-(4-fluorobenzyl)-2-chloromethylbenzimidazole (XIX), m. 80-4.degree.. A soln. of 17.3 g. VI in 50 ml. CGH6 is added to a chilled soln. of 15 g. XII in 120 ml. CGH6 and after work up yields 13 g. 1-(4-fluorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl)methylbenzimidazole, m. 143-4.degree. (EtOAc). Similarly, XII and III yields 1-(4-fluorobenzyl)-2-[N-(ethyl-2-hydroxymethyl)amino]methylbenzimidazole, m. 116-17.degree. (CH<sub>3</sub>); XII and V give 1-(4-fluorobenzyl)-2-[1-(methyl-4-piperazinyl)methylbenzimidazole, which is converted to its HCl salt, m. 233-4.degree. (MeOH-Et<sub>2</sub>O). When II is allowed to react with the appropriate amine, the following XIXa are obtained (R and m.p. given): 1-methoxyethyl-4-piperazinyl, -- (HCl salt m. 127-9.degree. (cyclohexane-C<sub>6</sub>H<sub>6</sub>)); NHCH<sub>2</sub>CH<sub>2</sub>OB, 124-6.degree. (iso-PrOH); N[CH<sub>2</sub>CH(OH)Me]2, [HCl salt m. 192-4.degree. (MeOH-Et<sub>2</sub>O);

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 N(CH<sub>2</sub>CH<sub>2</sub>OB)CH<sub>2</sub>CH(OH)Me, -- [HCl salt m. 195-7.degree. (MeOH-Et<sub>2</sub>O); 4-benzylpiperazine, 147-8.degree. (MeCO). Using methods described above the following aldehydes (m.p. of the intermediate benzal deriv., m.p. of the benzyl deriv. m.p. of the chloromethylbenzimidazole) yield the corresponding methylbenzimidazoles: prep'd. from p-BrCH<sub>2</sub>CHO, intermediate benzal m. 112-13.degree., intermediate benzyl deriv., m. 69-72.degree., intermediate chloromethylbenzimidazole, m. 95-8.degree.; 1-(4-bromobenzyl)-2-[1-(methyl-4-piperazinyl)], m. 96-7.degree. (petr. ether); 1-(4-bromobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 139-40.degree. (CGH6). Prep'd. from a-CIC<sub>6</sub>H<sub>4</sub>CO, 50-2.degree., 1.degree. --; 1-(3-chlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 158-9.degree. (CGH6). Prep'd. from o-CIC<sub>6</sub>H<sub>4</sub>CHO, 115-16.degree., --; 1-(2-chlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 142-5.degree. (CGH6); 1-(2-chlorobenzyl)-2-[1-(methyl-4-piperazinyl)], m. 97-8.degree. (from CGH6-CGH12). Prep'd. from o-FCG<sub>4</sub>CHO, 87-9.degree., --, 69-74.degree. (from 2-FCG<sub>4</sub>CHO), 2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 148-9.degree. (CGH6). Prep'd. from 2,4-C12CGH<sub>3</sub>CO, 100-1.degree., --, 118-22.degree.; 1-(2,4-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 173-4.degree. (CGH6). Prep'd. from 2,6-C12CGH<sub>3</sub>CO, 107-9.degree., 79-86.degree., 139.degree.; 1-(2,6-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 105-6.degree. (--) 1-(2,6-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 97-8.degree. (from CGH6-CGH12). Prep'd. from o-FCG<sub>4</sub>CHO, 87-9.degree., --, 69-74.degree. (from 2-FCG<sub>4</sub>CHO), 2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 148-9.degree. (CGH6). Prep'd. from 2,4-C12CGH<sub>3</sub>CO, 100-1.degree., --, 118-22.degree.; 1-(2,4-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 173-4.degree. (CGH6). Prep'd. from 2,6-C12CGH<sub>3</sub>CO, 107-9.degree., 79-86.degree., 139.degree.; 1-(2,6-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 105-6.degree. (--) 1-(2,6-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 97-8.degree. (from CGH6-CGH12). Prep'd. from o-FCG<sub>4</sub>CHO, 87-9.degree., --, 69-74.degree. (from 2-FCG<sub>4</sub>CHO), 2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 148-9.degree. (CGH6). Prep'd. from 2,4-C12CGH<sub>3</sub>CO, 100-1.degree., --, 118-22.degree.; 1-(2,4-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 173-4.degree. (CGH6). Prep'd. from 2,6-C12CGH<sub>3</sub>CO, 107-9.degree., 79-86.degree., 139.degree.; 1-(2,6-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 105-6.degree. (--) 1-(2,6-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 97-8.degree. (from CGH6-CGH12). Prep'd. from o-FCG<sub>4</sub>CHO, 87-9.degree., --, 69-74.degree. (from 2-FCG<sub>4</sub>CHO), 2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 148-9.degree. (CGH6). Prep'd. from 2,4-C12CGH<sub>3</sub>CO, 100-1.degree., --, 118-22.degree.; 1-(2,4-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 173-4.degree. (CGH6). Prep'd. from 2,6-C12CGH<sub>3</sub>CO, 107-9.degree., 79-86.degree., 139.degree.; 1-(2,6-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 105-6.degree. (--) 1-(2,6-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 97-8.degree. (from CGH6-CGH12). Prep'd. from o-FCG<sub>4</sub>CHO, 87-9.degree., --, 69-74.degree. (from 2-FCG<sub>4</sub>CHO), 2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 148-9.degree. (CGH6). Prep'd. from 2,4-C12CGH<sub>3</sub>CO, 100-1.degree., --, 118-22.degree.; 1-(2,4-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 173-4.degree. (CGH6). Prep'd. from 2,6-C12CGH<sub>3</sub>CO, 107-9.degree., 79-86.degree., 139.degree.; 1-(2,6-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 105-6.degree. (--) 1-(2,6-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 97-8.degree. (from CGH6-CGH12). Prep'd. from o-FCG<sub>4</sub>CHO, 87-9.degree., --, 69-74.degree. (from 2-FCG<sub>4</sub>CHO), 2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 148-9.degree. (CGH6). Prep'd. from 2,4-C12CGH<sub>3</sub>CO, 100-1.degree., --, 118-22.degree.; 1-(2,4-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 173-4.degree. (CGH6). Prep'd. from 2,6-C12CGH<sub>3</sub>CO, 107-9.degree., 79-86.degree., 139.degree.; 1-(2,6-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 105-6.degree. (--) 1-(2,6-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 97-8.degree. (from CGH6-CGH12). Prep'd. from o-FCG<sub>4</sub>CHO, 87-9.degree., --, 69-74.degree. (from 2-FCG<sub>4</sub>CHO), 2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 148-9.degree. (CGH6). Prep'd. from 2,4-C12CGH<sub>3</sub>CO, 100-1.degree., --, 118-22.degree.; 1-(2,4-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 173-4.degree. (CGH6). Prep'd. from 2,6-C12CGH<sub>3</sub>CO, 107-9.degree., 79-86.degree., 139.degree.; 1-(2,6-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 105-6.degree. (--) 1-(2,6-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 97-8.degree. (from CGH6-CGH12). Prep'd. from o-FCG<sub>4</sub>CHO, 87-9.degree., --, 69-74.degree. (from 2-FCG<sub>4</sub>CHO), 2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 148-9.degree. (CGH6). Prep'd. from 2,4-C12CGH<sub>3</sub>CO, 100-1.degree., --, 118-22.degree.; 1-(2,4-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 173-4.degree. (CGH6). Prep'd. from 2,6-C12CGH<sub>3</sub>CO, 107-9.degree., 79-86.degree., 139.degree.; 1-(2,6-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 105-6.degree. (--) 1-(2,6-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 97-8.degree. (from CGH6-CGH12). Prep'd. from o-FCG<sub>4</sub>CHO, 87-9.degree., --, 69-74.degree. (from 2-FCG<sub>4</sub>CHO), 2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 148-9.degree. (CGH6). Prep'd. from 2,4-C12CGH<sub>3</sub>CO, 100-1.degree., --, 118-22.degree.; 1-(2,4-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 173-4.degree. (CGH6). Prep'd. from 2,6-C12CGH<sub>3</sub>CO, 107-9.degree., 79-86.degree., 139.degree.; 1-(2,6-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 105-6.degree. (--) 1-(2,6-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 97-8.degree. (from CGH6-CGH12). Prep'd. from o-FCG<sub>4</sub>CHO, 87-9.degree., --, 69-74.degree. (from 2-FCG<sub>4</sub>CHO), 2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 148-9.degree. (CGH6). Prep'd. from 2,4-C12CGH<sub>3</sub>CO, 100-1.degree., --, 118-22.degree.; 1-(2,4-dichlorobenzyl)-2-[1-(2-hydroxymethyl)-4-piperazinyl], m. 173-4.degree. (CGH6). 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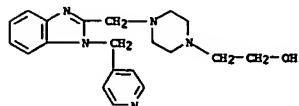
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 H<sub>2</sub>O is eliminated, the temp. is raised to 135.degree. and heated 1 hr. After cooling, the residue is dissolved in EtOH, the soln. heated, and H<sub>2</sub>O added followed by the addn. of NaHCO<sub>3</sub> soln. to yield 10 g. 1-(4-chlorobenzyl)-2-hydroxymethylbenzimidazole (XXXI), m. 131-2.degree. (aq. EtOH). XXXI is converted with SOCl<sub>2</sub> to its chloro deriv. which is allowed to react with VI to give 1-(4-chlorobenzyl)-2-[1-(2-hydroxymethyl)piperazinyl]methylbenzimidazole, m. 145.degree.. XXX and IX yield 58% XXXI which is treated with V to give 73% 1-(4-chlorobenzyl)-2-(1-methyl-4-piperazinyl)methylbenzimidazole, m. 99-100.degree. A mixt. of 67.8 g. Me .gamma.-bromobutyrate, 87.05 g. morpholine, and 392 ml. PhMe is refluxed 3.5 hrs. After work-up and hydrolysis of the product, .gamma.-morpholinobutyric acid-H<sub>2</sub>O, (XXXII), m. 73-4.degree., is isolated. A mixt. of 10.5 g. XXXII, 11.6 g. XXX, 12.7 g. H<sub>2</sub>O, 3.2 ml. EtOH, 2.4 g. HCl (concnd.) and 2.4 g. H<sub>3</sub>PO<sub>4</sub> (concnd.) is heated to 135-40.degree. 2 hrs. Work-up yields 9.1 g. 1-(4-chlorobenzyl)-2-[3-(4-morpholinyl)propyl]benzimidazole, m. 118-19.degree.; HCl salt m. 171-3.degree.. By methods described above is also prepd. 1-benzyl-2-[2-(2-hydroxyethoxy)-ethylamino]methylbenzimidazole-HCl, m. 174-5.degree.. Pharmacol. tests in animals are presented for a no. of the compds. prepd.

IT 7187-09-9 CAPLUS

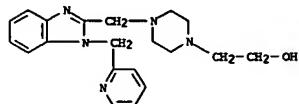
RN 7187-09-9 CAPLUS

CN 1-Piperazineethanol, 4-[(1-(4-pyridylmethyl)-2-benzimidazolyl)methyl]- (7CI, 8CI) (CA INDEX NAME)

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RN 7187-10-2 CAPLUS  
 CN 1-Piperazineethanol, 4-[(1-(2-pyridylmethyl)-2-benzimidazolyl)methyl]- (7CI, 8CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
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